STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 136911

TO: Tamthom Troung
Location: REM/5C18
Art Unit: 1624
Thursday, November 18, 2004

Case Serial Number: 09/964161

From: Deirdre Arnold
Location: Biotech-Chem Library
REM 1A64
Phone: 571-272-2532

Deirdre.Arnold@uspto.gov

Search Notes

- The search in USPATFULL was limited by date and by IPC.
- Packet 3 is an inventor search; beware of false hits on the names. Some of the records may duplicate hits from the structure search.

Please feel free to contact me if you have any questions or would like to amend the search.

Thank you for using STIC services.

Regards,
Deirdre Arnold

USPTO

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Biotech-Chem Library

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Mary Hale, Information Branch Supervisor
571-272-2507 Remsen E01 D86

Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* ☐ *Example: 1610*
- *Relevant prior art **found**, search results used as follows:*
  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature
  (journal articles, conference proceedings, new product announcements etc.)

- *Relevant prior art **not found:***
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC/Biotech-Chem Library Remsen Bldg.

sira
Search and Information Resources Administration

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11/10/04

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Tech Center:

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( ) TC2900 ( ) TC 3600 ( ) TC 3700 ( ) Law Lib ( ) Other

Enter your Contact Information below:

Name: TAMTHOM TRUONG
Employee Number: 74142 Phone: 20676
Art Unit or Office: 1624 Building & Room Number: 5B19

Enter the case serial number (Required): 09/ 964,161
If not related to a patent application, please enter NA here.

Class / Subclass(es) 544/262+, AND CLASS 546

Earliest Priority Filing Date:

Format preferred for results:
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Provide detailed information on your search topic:

- In your own words, describe in detail the concepts or subjects you want us to search.
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- *For Chemical Structure Searches Only*
  Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers
- *For Sequence Searches Only*
  Include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

- *For Foreign Patent Family Searches Only*
  Include the country name and patent number.
- Provide examples or give us relevant citations, authors, etc., if known.
- FAX or send the **abstract, pertinent claims** (not all of the claims), **drawings, or chemical structures** to your EIC or branch library.

Enter your Search Topic Information below:

PLEASE SEARCH CLAIM 1.

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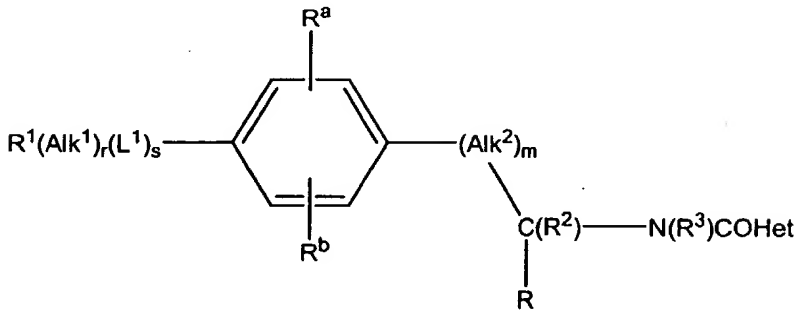
Press ALT + F, then P to print this screen for your own information.

SEND RESET

This listing of claims will replace all prior versions, and listings, of claims in the application.

Listing of Claims:

1. (currently amended) A compound of formula (1):



wherein:

R is a carboxylic acid group or an ester or amide derivative thereof;

R^1 is C_6-C_{12} aromatic group or a C_1-C_9 heteroaromatic group containing one, two, three, or four heteroatoms selected from oxygen, sulfur, or nitrogen, R^1 being optionally substituted with one, two or three $-L_2(CH_2)_pL_3(R^c)_q$ atoms or groups;

Alk^1 is an ~~optionally substituted~~ aliphatic or heteroaliphatic chain;

L^1 is a linker atom or group selected from the group consisting of -O-, -S-, -C(O)-, -C(O)O-, -C(S)-, -S(O)-, $-S(O)_2$-, $-N(R^4)$-, $-OC(O)N(R^4)$-, $-CSN(R^4)$-, --$C(O)N(R^4)$-, $-N(R^4)CO$-, $-N(R^4)C(O)O$-, $-N(R^4)CS$-, $-S(O)N(R^4)$-, $-S(O)_2 N(R^4)$-, $-N(R^4)S(O)$-, $-N(R^4)S(O)_2$-, $-N(R^4)CON(R^4)$-, $-N(R^4)CSN(R^4)$-, $-N(R^4)SON(R^4)$- and $-N(R^4)SO_2 N(R^4)$-;

r and s, which may be the same or different, is each zero or an integer 1;

R^a and R^b, which may be the same or different, is each an atom or group -- $L^2(CH_2)_pL^3(R^c)_q$; ~~n which~~

L^2 and L^3 is each a covalent bond,

p is zero or the integer 1,

q is an integer 1, 2 or 3, ~~and~~

R^c is a hydrogen or halogen atom or a group selected from straight or branched alkyl, OR^d, $-SR^d$, $-NR^dR^e$, $-NO_2$, -CN, $-CO_2R^d$, $-SO_3H$, SO_2R^d, $-OCO_2R^d$, $-CONR^dR^e$, $-OCONR^dR^e$, $-CSNR^dR^e$, $-COR^d$, $-N(R^d)COR^e$, $-N(R^d)CSR^e$, $-SO_2N(R^d)(R^e)$, $-N(R^d)SO_2R^e$, $-N(R^d)CONR^eR^f$, $-N(R^d)CSNR^eR^f$ or $-N(R^d)SO_2NR^eR^f$;

R^d, R^e, and R^f are each, independently, a hydrogen atom or ~~an optionally substituted~~ a straight or branched alkyl group;

Alk^2 is a straight or branched alkylene chain;

m is zero or an integer 1;

R^2 is a hydrogen atom or methyl group;

R^3 and R^4, which may be the same or different, are each a hydrogen atom or a straight or branched alkyl group;

Het is ~~an optionally substituted nine- to thirteen-membered fused-ring heteroaromatic group~~ a nine- to thirteen-membered fused-ring heteroaromatic group selected from the group consisting of benzofuryl, [2,3-dihydro]-benzofuryl, benzothienyl, benzotriazolyl, indolyl, isoindolyl, benzimidazolyl, imidazo[1,2-a]pyridyl, benzothiazolyl, benzoxazolyl, benzopyranyl, [3,4-dihydro]benzopyranyl, quinazolinyl, naphthyridinyl, pyrido[3,4-b]pyridyl, pyrido[3,2-b]pyridyl, pyrido[4,3-b]pyridyl, quinolinyl, isoquinolinyl, tetrazolyl, 5,6,7,8-tetrahydroquinolinyl, 5,6,7,8-tetrahydroisoquinolinyl, and imidyl, any of which groups may be optionally substituted by one, two or three substituents R^6 in which R^6 is $-R^{6a}$ or $-Alk^3(R^{6a})_m$, where R^{6a} is a halogen atom, amino, nitro, cyano, amidino, hydroxyl, formyl, carboxyl, esterified carboxyl, thiol, $-COR^7$, $-CSR^7$, $-SO_3H$, $-SO_2R^7$ $-SO_2NH_2$, $-SO_2NHR^7$, $-SO_2N(R^7)_2$, $-CONH_2$, $-CSNH_2$, $-CONHR^7$, $-CSNHR^7$, $-CON(R^7)_2$, $-CSN(R^7)_2$, $-N(R^4)SO_2R^7$, $-N(SO_2R^7)_2$, $-NH(R^4)SO_2NH_2$, $-N(R^4)SO_2NHR^7$, $-N(R^4)SO_2N(R^7)_2$, $-N(R^4)COR^7$, $-N(R^4)CON(R^7)_2$, $-N(R^4)CSN(R^7)_2$, $-N(R^4)CSR^7$, $-N(R^4)C(O)OR^7$, $-SO_2$ $NHet^1$, $-CONHet^1$, $-CSNHet^1$, $-N(R^4)SO_2NHet^1$, $-N(R^4)CONHet^1$, $-N(R^4)CSNHet^1$, $-SO_2N(R^4)Het^2$, $-CON(R^4)Het^2$, $-CSN(R^4)Het^2$, $-N(R^4)CON(R^4)Het^2$, $-N(R^4)CSN(R^4)Het^2$, aryl or heteroaryl group;

$-NHet^1$ is a C_{5-7} cyclicamino group optionally additionally containing one or more -O- or -S- atoms or $-N(R^4)-$, -C(O)- or -C(S)- groups;

Het^2 is a monocyclic C_{5-7} carbocyclic group optionally containing one or more -O- or -S- atoms or $-N(R^4)-$, -C(O)- or -C(S)- groups;

R^7 is an $-Alk^3(R^{6a})_m$, aryl or heteroaryl,

Alk^3 is a straight or branched C_{1-6} alkylene, C_{2-6} alkenylene or C_{2-6} alkynylene chain, optionally interrupted by one, two or three -O- or -S- atoms or $-S(O)_n$, or $-N(R^8)-$ groups;

R^8 is a hydrogen atom or C_{1-6} alkyl;

n is an integer 1 or 2,

m is zero or an integer 1, 2 or 3;

and the salts, solvates, hydrates, and N-oxides thereof.

2-3. (canceled)

4. (previously presented) The compound of Claim 1 wherein R is a $-CO_2H$ group.

5. (previously presented) The compound of Claim 1 wherein Alk^2 is a $--CH_2--$ chain and m is the integer 1.

6. (previously presented) The compound of Claim 1 wherein each of R^2 and R^3 is a hydrogen atom.

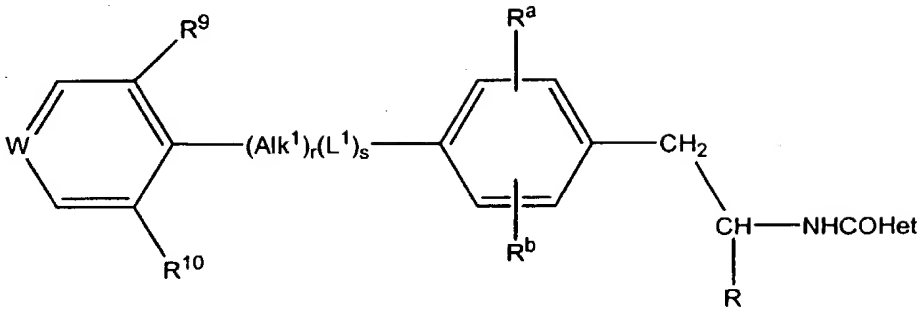
7. (canceled)

8 (currently amended) The compound of Claim 1 wherein R^1 is an ~~optionally substituted~~ phenyl, pyridyl, or pyrimidinyl group, each of which can be optionally substituted with one, two or three $-L_2(CH_2)_pL_3(R^c)_q$ atoms or groups.

9. (previously presented) The compound of Claim 1 wherein $-(Alk^1)_r(L^1)_s$ is a $-CH_2O-$, $-SO_2NH-$, $-C(O)O-$, or $-CON(R^4)$ group.

10. (previously presented) The compound of Claim 9 wherein $-(Alk^1)_r(L^1)_s$ is a $-CONH$ group.

11 (previously presented) The compound of Claim 1 which has the formula (1a):



(1a)

wherein –W= is –CH= or –N=, R^9 and R^{10}, which may be the same or different is each a $-L^2(CH_2)_pL^3(R^c)_q$ atom or group, and the salts, solvates, hydrates and N-oxides thereof.

12-13. (canceled)

14. (previously presented) A pharmaceutical composition comprising a compound of Claim 1 together with one or more pharmaceutically acceptable carriers, excipients or diluents.

=> fil lreg

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STRUCTURE FILE UPDATES: 16 NOV 2004 HIGHEST RN 782447-68-1
DICTIONARY FILE UPDATES: 16 NOV 2004 HIGHEST RN 782447-68-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
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=> fil uspatfull

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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 16 Nov 2004 (20041116/PD)
FILE LAST UPDATED: 16 Nov 2004 (20041116/ED)
HIGHEST GRANTED PATENT NUMBER: US6820278
HIGHEST APPLICATION PUBLICATION NUMBER: US2004226068
CA INDEXING IS CURRENT THROUGH 16 Nov 2004 (20041116/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 16 Nov 2004 (20041116/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2004
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2004

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
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>>> USPATFULL and USPAT2 can be accessed and searched together <<<
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>>> enter this cluster. <<<
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TOXCENTER has been enhanced with new files segments and search fields.
See HELP CONTENT for more information.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2004 vocabulary. See http://www.nlm.nih.gov/mesh/ and http://www.nlm.nih.gov/pubs/techbull/nd03/nd03_mesh.html for a description of changes.

=> fil casreact

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FILE CONTENT:1840 - 14 Nov 2004 VOL 141 ISS 20

```
************************************************************
*                                                          *
*     CASREACT now has more than  8 million reactions      *
*                                                          *
************************************************************
```

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

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```
=> file stnguide

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LAST RELOADED: Nov 12, 2004 (20041112/UP).

=> d que l8
L6   (   2768866)SEA FILE=REGISTRY ABB=ON  PLU=ON  CNRS>2 (P) ((NRRS>1 (S)
                 9-13/RATC) (P) (6-12/RATC))
L7               STR
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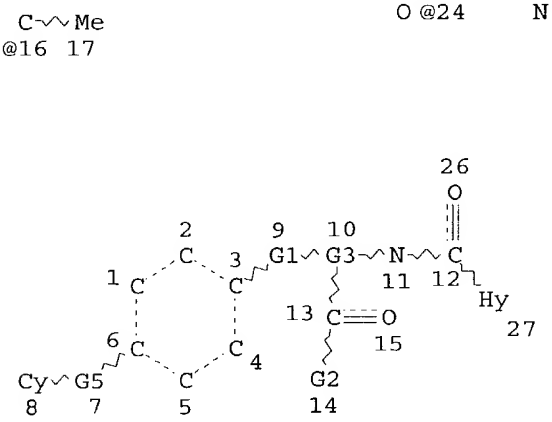


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VAR G2=24/25
VAR G3=CH/16
REP G5=(0-20) A
NODE ATTRIBUTES:
NSPEC   IS RC     AT  24
NSPEC   IS RC     AT  25
DEFAULT MLEVEL IS ATOM
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GGCAT   IS UNS  AT   8
GGCAT   IS PCY  UNS  AT  27
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  21

STEREO ATTRIBUTES: NONE
L8          168 SEA FILE=REGISTRY SUB=L6 SSS FUL L7


=> d l10
L10         ANALYZE L8 1- LC :       9 TERMS

TERM #   # OCC  # DOC  % DOC LC
------ ------- ------ ------ ---------------
     1     168    168 100.00 CA
     2     168    168 100.00 CAPLUS
     3     139    139  82.74 USPATFULL
     4      62     62  36.90 TOXCENTER
     5      49     49  29.17 USPAT2
     6       4      4   2.38 CASREACT
     7       1      1   0.60 IFICDB
     8       1      1   0.60 IFIPAT
     9       1      1   0.60 IFIUDB
*********  END OF L10***


=> d que nos l11
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                 9-13/RATC) (P) (6-12/RATC))
L7               STR
L8          168 SEA FILE=REGISTRY SUB=L6 SSS FUL L7
L11          44 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L8


=> d que nos l22
L6   (   2768866)SEA FILE=REGISTRY ABB=ON  PLU=ON  CNRS>2 (P) ((NRRS>1 (S)
                 9-13/RATC) (P) (6-12/RATC))
L7               STR
L8          168 SEA FILE=REGISTRY SUB=L6 SSS FUL L7
L12          44 SEA FILE=USPATFULL ABB=ON  PLU=ON  L8
L22          14 SEA FILE=USPATFULL ABB=ON  PLU=ON  L12 AND (C07D213? OR
                 C07D207? OR C07D209? OR C07D241? OR C07D277? OR C07D231? OR
                 C07D215? OR C07D401? OR C07D409?)/IPC


=> d que nos l13
L6   (   2768866)SEA FILE=REGISTRY ABB=ON  PLU=ON  CNRS>2 (P) ((NRRS>1 (S)
                 9-13/RATC) (P) (6-12/RATC))
L7               STR
L8          168 SEA FILE=REGISTRY SUB=L6 SSS FUL L7
L13          10 SEA FILE=TOXCENTER ABB=ON  PLU=ON  L8


=> d que nos l14
L6   (   2768866)SEA FILE=REGISTRY ABB=ON  PLU=ON  CNRS>2 (P) ((NRRS>1 (S)
                 9-13/RATC) (P) (6-12/RATC))
L7               STR
```

```
L8          168 SEA FILE=REGISTRY SUB=L6 SSS FUL L7
L14           3 SEA FILE=CASREACT ABB=ON  PLU=ON  L8


=> dup rem l11 l22 l13 l14

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PROCESSING COMPLETED FOR L11
PROCESSING COMPLETED FOR L22
PROCESSING COMPLETED FOR L13
PROCESSING COMPLETED FOR L14
L36          57 DUP REM L11 L22 L13 L14 (14 DUPLICATES REMOVED)
                ANSWERS '1-44' FROM FILE HCAPLUS
                ANSWERS '45-57' FROM FILE USPATFULL

=> file stnguide

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=> d ibib abs ed hitstr retable
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL' - CONTINUE? (Y)/N:y


L36  ANSWER 1 OF 57  HCAPLUS  COPYRIGHT 2004 ACS on STN DUPLICATE 1
ACCESSION NUMBER:         2004:45800  HCAPLUS
DOCUMENT NUMBER:          140:228461
TITLE:                    Recognition of Privileged Structures by G-Protein
                          Coupled Receptors
AUTHOR(S):                Bondensgaard, Kent; Ankersen, Michael; Thogersen,
                          Henning; Hansen, Birgit S.; Wulff, Birgitte S.;
                          Bywater, Robert P.
CORPORATE SOURCE:         Protein Engineering Medicinal Chemistry and Discovery
                          Biology, Novo Nordisk A/S, Malov, DK-2760, Den.
SOURCE:                   Journal of Medicinal Chemistry (2004), 47(4), 888-899
                          CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER:                American Chemical Society
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
AB   Privileged structures are ligand substructures that are widely used to
     generate high-affinity ligands for more than one type of receptor.  To
```

explain this, we surmised that there must be some common feature in the target proteins. For a set of class A GPCRs, we found a good correlation between conservation patterns of residues in the ligand binding pocket and the privileged structure fragments in class A GPCR ligands. A major part of interior surface of the common ligand binding pocket of class A receptors, identified in many GPCRs, is lined with variable residues that are responsible for selectivity in ligand recognition, while other regions, typically located deeper into the binding pocket, are more conserved and retain a predominantly hydrophobic and aromatic character. The latter is reflected in the chemical nature of most GPCR privileged structures and is proposed to be the common feature that is recognized by the privileged structures. Further, we find that this subpocket is conserved even in distant orthologs within the class A family. Three pairs of ligands recognizing widely different receptor types were docked into receptor models of their target receptors utilizing available structure-activity relationships and mutagenesis data. For each pair of ligands, the ligand-receptor complexes reveal that the nature of the privileged structure binding pocket is conserved between the two complexes, in support of our hypothesis. Only part of the privileged structures can be accommodated within the conserved subpocket. Some contacts are established between the privileged structure and the nonconserved parts of the binding pocket. This implies that any one particular privileged structure can target only a subset of receptors, those complementary to the full privileged structure. Our hypothesis leads to a valuable novelty in that ligand libraries can be designed without any foreknowledge of the structure of the endogenous ligand, which in turn means that even orphan receptors can in principle now be addressed as potential drug targets.

ED Entered STN: 20 Jan 2004

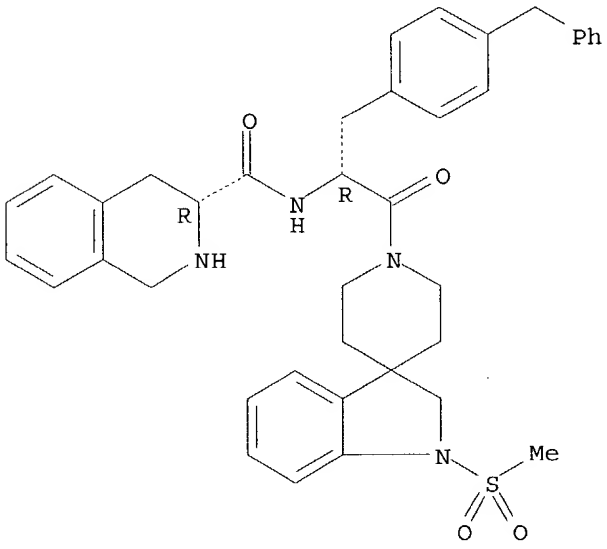
IT **668454-71-5**

RL: PAC (Pharmacological activity); BIOL (Biological study)
(recognition of privileged structures by G-protein coupled receptors)

RN 668454-71-5 HCAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[[4-(phenylmethyl)phenyl]methyl]ethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Ankersen, M	1997	7	1293	Bioorg Med Chem Lett	HCAPLUS
Bergsma, D	1992	183	989	Biochem Biophys Res	HCAPLUS
Bissantz, C	2003	50	5	Proteins-Struct Func	HCAPLUS
Chakravarty, P	1993			US 5204354	HCAPLUS
Chen, M	1996	6	2163	Bioorg Med Chem Lett	HCAPLUS
Chen, M	1999	9	1261	Bioorg Med Chem Lett	HCAPLUS
Chiu, A	1990	252	711	J Pharmacol Exp Ther	HCAPLUS
Dascal, D	1998	423	15	FEBS Lett	HCAPLUS
Dean, D	1996	39	1767	J Med Chem	HCAPLUS
Devita, R	1994	4	2249	Bioorg Med Chem Lett	HCAPLUS
Devita, R	1998	41	1716	J Med Chem	HCAPLUS
Donnelly, D	1993	2	55	Protein Sci	HCAPLUS
Evans, B	1988	31	2235	J Med Chem	HCAPLUS
Feighner, S	1998	12	137	Mol Endocrinol	HCAPLUS
Fong, T	1992	267	25664	J Biol Chem	HCAPLUS
Gether, U	2000	21	90	Endocr Rev	HCAPLUS
Gouldson, P	2004			Proteins-Struct Func	
Halgren, T	1990	112	4710	J Am Chem Soc	HCAPLUS
Hansen, B	1999	141	180	Eur J Endocrinol	HCAPLUS
Horn, F	2001	29	346	Nucleic Acids Res	HCAPLUS
Hunyady, L	1998	54	427	Mol Pharm	HCAPLUS
Ji, H	1994	269	16533	J Biol Chem	HCAPLUS
Labrou, N	2001	276	37944	J Biol Chem	HCAPLUS
Mason, J	1999	42	3251	J Med Chem	HCAPLUS
Nargund, R	1999			WO 9964002	HCAPLUS
Noda, K	1995	270	2284	J Biol Chem	HCAPLUS
Palczewski, K	2000	289	739	Science	HCAPLUS
Patchett, A	1995	92	7001	Proc Natl Acad Sci U	HCAPLUS
Pei, J	2001	17	700	Bioinformatics	HCAPLUS
Perlman, S	1997	51	301	Mol Pharm	HCAPLUS
Renzetti, A	1999	290	487	J Pharmacol Exp Ther	HCAPLUS
Rost, B	1995	4	521	Protein Sci	HCAPLUS
Sali, A	1993	234	779	J Mol Biol	HCAPLUS
Schambye, H	1994	91	7046	Proc Natl Acad Sci U	HCAPLUS
Schoen, W	1994	4	1117	Bioorg Med Chem Lett	HCAPLUS
Schoen, W	1994	37	897	J Med Chem	HCAPLUS
Sheikh, S	1999	274	17033	J Biol Chem	HCAPLUS
Shi, L	2002	42	437	Annu Rev Pharmacol T	HCAPLUS
Sinnokrot, M	2002	124	10887	J Am Chem Soc	HCAPLUS
Spalding, T	1998	273	21563	J Biol Chem	HCAPLUS
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Strader, C	1987	84	4384	Proc Natl Acad Sci U	HCAPLUS
Tata, J	1997	7	2319	Bioorg Med Chem Lett	HCAPLUS
Tata, J	1997	7	663	Bioorg Med Chem Lett	HCAPLUS
Vriend, G	1990	8	52	J Mol Graphics	HCAPLUS
Willoughby, C	2002	12	93	Bioorg Med Chem Lett	HCAPLUS
Wong, P	1990	255	211	J Pharmacol Exp Ther	HCAPLUS
Yanagisawa, H	1996	39	323	J Med Chem	HCAPLUS
Yang, L	1998	8	107	Bioorg Med Chem Lett	HCAPLUS

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YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL' - CONTINUE? (Y)/N:y

L36 ANSWER 2 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2003:319899 HCAPLUS
DOCUMENT NUMBER: 138:338490
TITLE: Preparation of β-carboline derivatives as protein tyrosine phosphatase (PTP)-inhibitors
INVENTOR(S): Mjalli, Adnan M. M.; Andrews, Robert C.; Xie, Rongyuan; Yarragunta, Ravindra R.; Ren, Tan
PATENT ASSIGNEE(S): Transtech Pharma, Inc., USA
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003033496	A1	20030424	WO 2002-US33520	20021018
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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US 2004014778	A1	20040122	US 2002-274546	20021018
EP 1438310	A1	20040721	EP 2002-780494	20021018
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PRIORITY APPLN. INFO.:			US 2001-346125P	P 20011019
			US 2001-346176P	P 20011019
			WO 2002-US33520	W 20021018

OTHER SOURCE(S): MARPAT 138:338490
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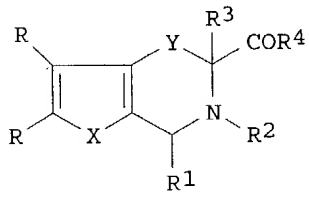
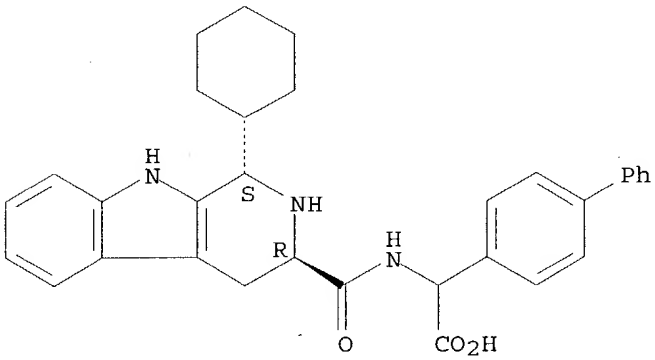


I

AB The invention provides compds. I [RCH:CHR is (un)substituted (hetero)aryl; X is O, S, imino; Y is CH2, CH2CH2; R1 is alk(en)(yn)yl, (hetero)aryl, heterocyclyl, cycloalkyl, (hetero)aryl, etc.; R2 is H, alk(en)(yn)yl, (hetero)aryl, heterocyclyl, cycloalkyl, arylalk(en)(yn)yl, carboxy, etc.; R3 is H, alk(en)(yn)yl, (hetero)arylalk(en)(yn)yl; R4 is OH, (cyclo)alkoxy, (un)substituted amino, etc.] which are useful as inhibitors of protein tyrosine phosphatases (PTPases). Thus, N-benzyl-1-(1,1'-biphenyl-4-yl)-1,2,3,4-tetrahydro-β-carboline-3-carboxamide was prepared from DL-tryptophan Me ester, 4-biphenylcarboxaldehyde, and benzylamine.

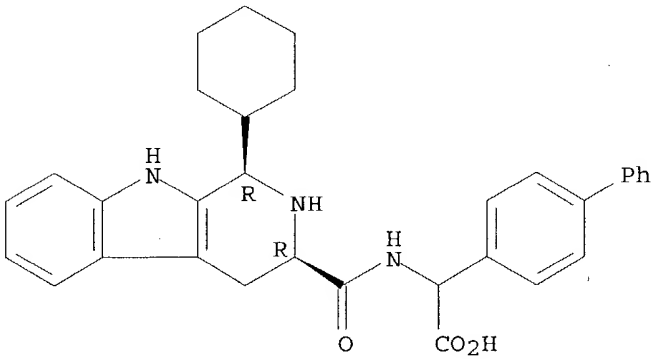
ED Entered STN: 25 Apr 2003
IT **515157-61-6P 515157-63-8P 515157-67-2P**
515157-84-3P 515157-88-7P 515158-07-3P
515158-23-3P 515158-25-5P 515158-27-7P
515158-29-9P 515158-61-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of β-carboline derivs. as protein tyrosine phosphatase (PTP)-inhibitors)
RN 515157-61-6 HCAPLUS
CN [1,1'-Biphenyl]-4-acetic acid, α-[[[(1S,3R)-1-cyclohexyl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



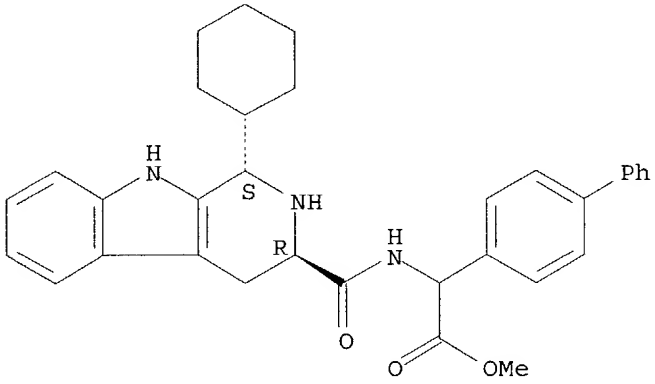
RN 515157-63-8 HCAPLUS
CN [1,1'-Biphenyl]-4-acetic acid, α-[[[(1R,3R)-1-cyclohexyl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



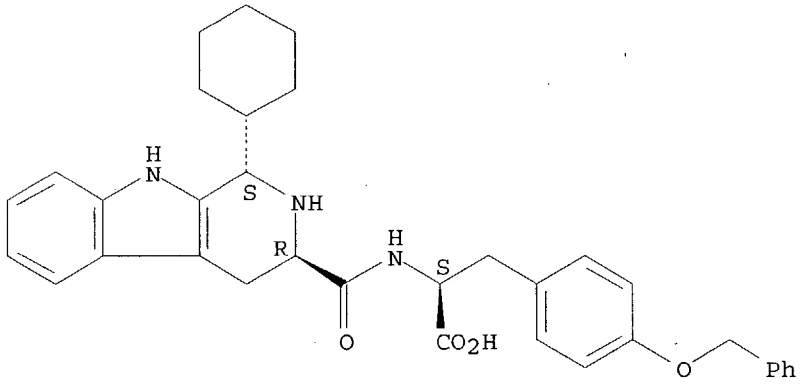
RN 515157-67-2 HCAPLUS
CN [1,1'-Biphenyl]-4-acetic acid, α-[[[(1S,3R)-1-cyclohexyl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



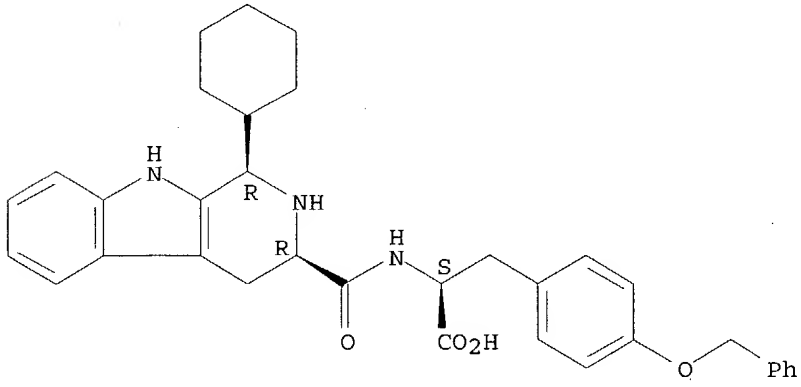
RN 515157-84-3 HCAPLUS
CN L-Tyrosine, N-[[(1S,3R)-1-cyclohexyl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



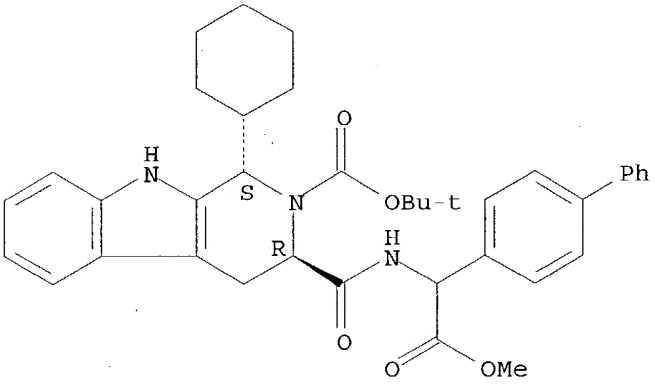
RN 515157-88-7 HCAPLUS
CN L-Tyrosine, N-[[(1R,3R)-1-cyclohexyl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



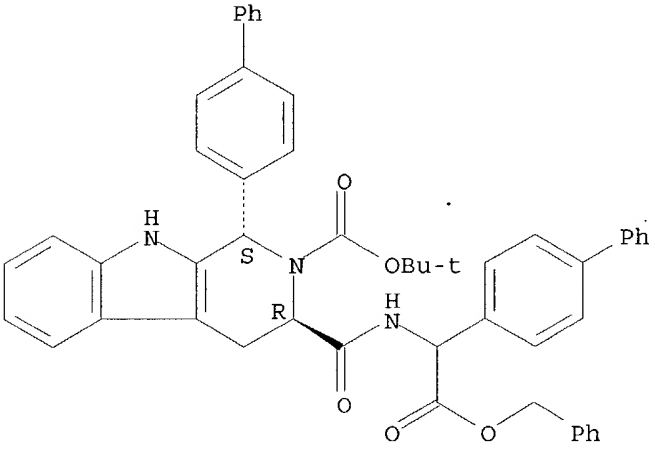
RN 515158-07-3 HCAPLUS
CN 2H-Pyrido[3,4-b]indole-2-carboxylic acid, 3-[[(1-[1,1'-biphenyl]-4-yl-2-methoxy-2-oxoethyl)amino]carbonyl]-1-cyclohexyl-1,3,4,9-tetrahydro-, 1,1-dimethylethyl ester, (1S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



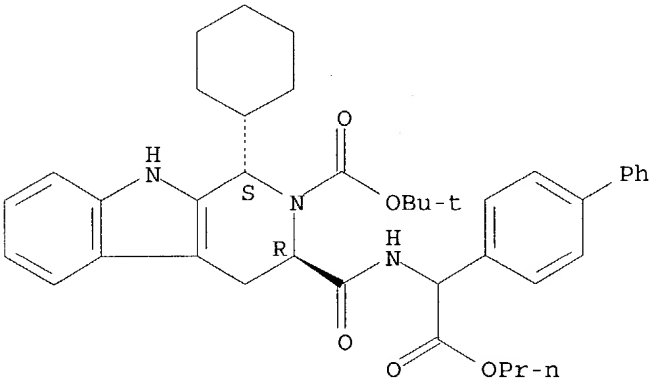
RN 515158-23-3 HCAPLUS
CN 2H-Pyrido[3,4-b]indole-2-carboxylic acid, 1-[1,1'-biphenyl]-4-yl-3-[[[1-[1,1'-biphenyl]-4-yl-2-oxo-2-(phenylmethoxy)ethyl]amino]carbonyl]-1,3,4,9-tetrahydro-, 1,1-dimethylethyl ester, (1S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



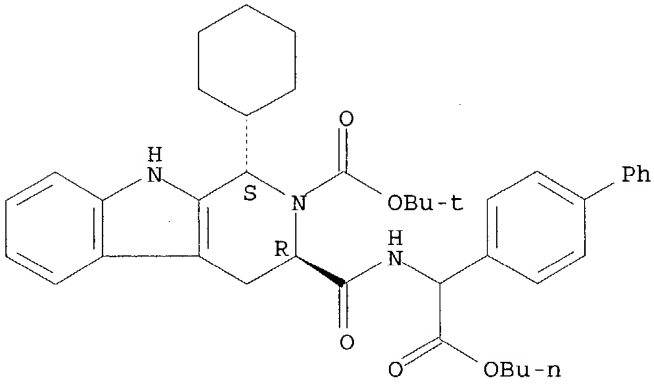
RN 515158-25-5 HCAPLUS
CN 2H-Pyrido[3,4-b]indole-2-carboxylic acid, 3-[[(1-[1,1'-biphenyl]-4-yl-2-oxo-2-propoxyethyl)amino]carbonyl]-1-cyclohexyl-1,3,4,9-tetrahydro-, 1,1-dimethylethyl ester, (1S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



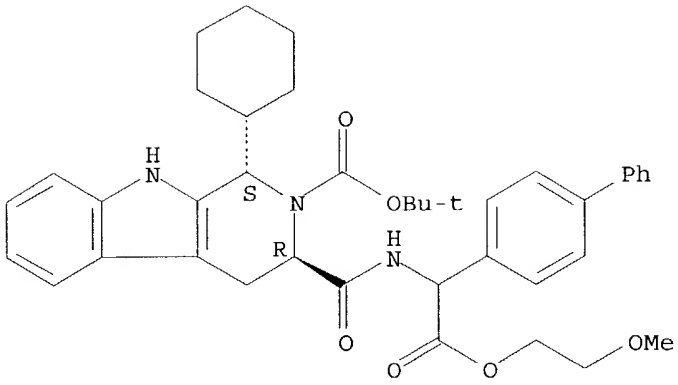
RN 515158-27-7 HCAPLUS
CN 2H-Pyrido[3,4-b]indole-2-carboxylic acid, 3-[[(1-[1,1'-biphenyl]-4-yl-2-butoxy-2-oxoethyl)amino]carbonyl]-1-cyclohexyl-1,3,4,9-tetrahydro-, 1,1-dimethylethyl ester, (1S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



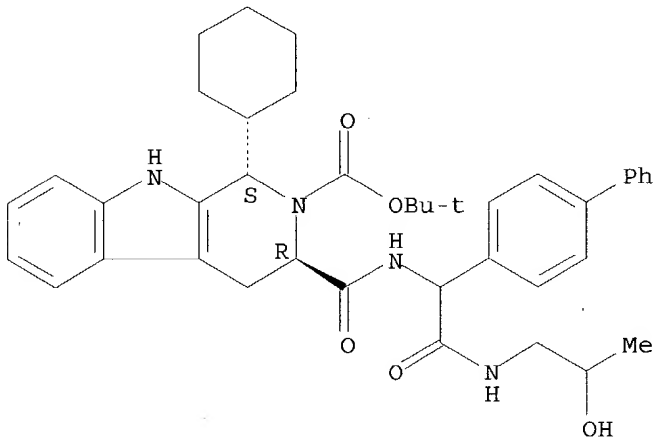
RN 515158-29-9 HCAPLUS
CN 2H-Pyrido[3,4-b]indole-2-carboxylic acid, 3-[[[1-[1,1'-biphenyl]-4-yl-2-(2-methoxyethoxy)-2-oxoethyl]amino]carbonyl]-1-cyclohexyl-1,3,4,9-tetrahydro-, 1,1-dimethylethyl ester, (1S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 515158-61-9 HCAPLUS
CN 2H-Pyrido[3,4-b]indole-2-carboxylic acid, 3-[[[1-[1,1'-biphenyl]-4-yl-2-[(2-hydroxypropyl)amino]-2-oxoethyl]amino]carbonyl]-1-cyclohexyl-1,3,4,9-tetrahydro-, 1,1-dimethylethyl ester, (1S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

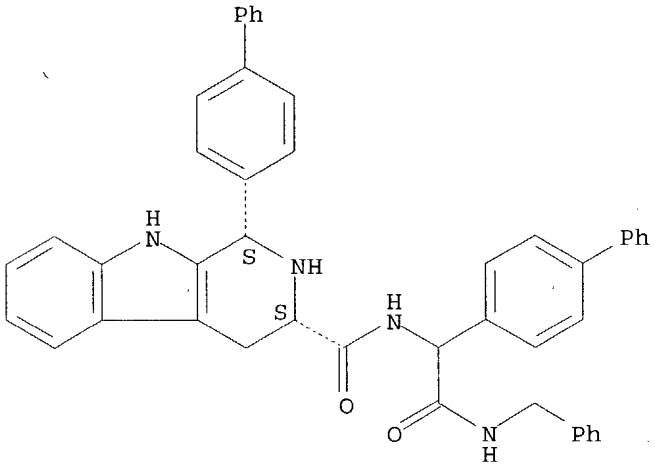


IT **515157-05-8P 515157-41-2P 515157-70-7P**
515157-72-9P 515157-74-1P 515157-75-2P
515157-77-4P 515157-80-9P 515157-82-1P
515157-90-1P 515157-92-3P 515157-93-4P
515157-94-5P 515157-98-9P 515158-00-6P
515158-02-8P 515158-04-0P 515158-05-1P
515158-13-1P 515158-15-3P 515158-17-5P
515158-21-1P 515158-37-9P 515158-38-0P
515158-40-4P 515158-42-6P 515158-44-8P
515158-63-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-carboline derivs. as protein tyrosine phosphatase (PTP)-inhibitors)

RN 515157-05-8 HCAPLUS
CN 1H-Pyrido[3,4-b]indole-3-carboxamide, 1-[1,1'-biphenyl]-4-yl-N-[1-[1,1'-biphenyl]-4-yl-2-oxo-2-[(phenylmethyl)amino]ethyl]-2,3,4,9-tetrahydro-,

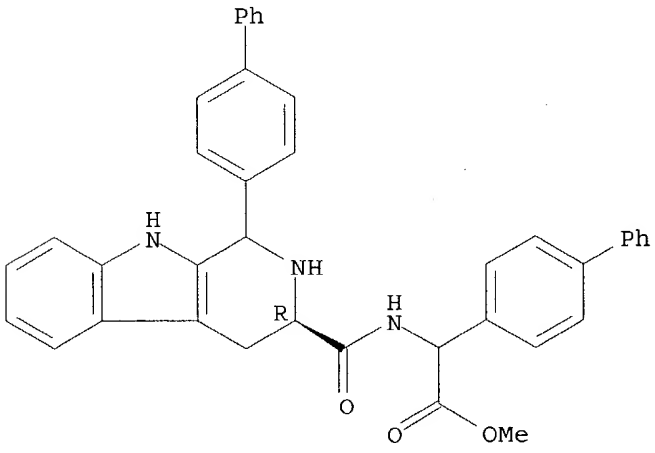
(1S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



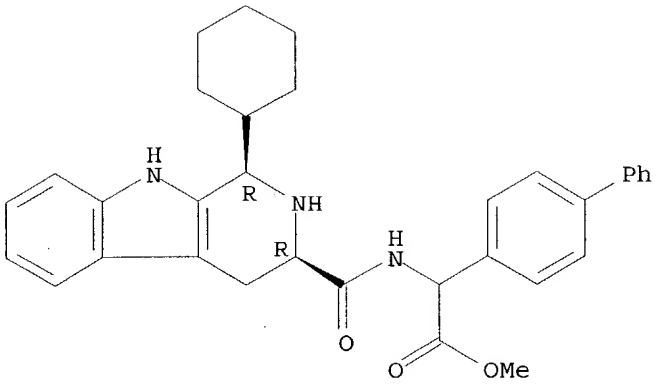
RN 515157-41-2 HCAPLUS
CN [1,1'-Biphenyl]-4-acetic acid, α-[[[(3R)-1-[1,1'-biphenyl]-4-yl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



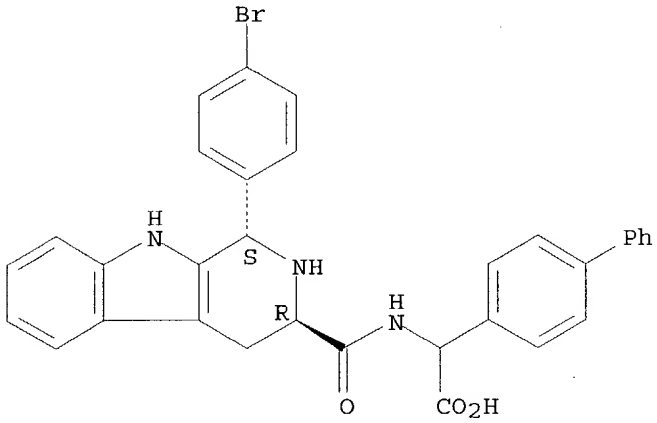
RN 515157-70-7 HCAPLUS
CN [1,1'-Biphenyl]-4-acetic acid, α-[[[(1R,3R)-1-cyclohexyl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



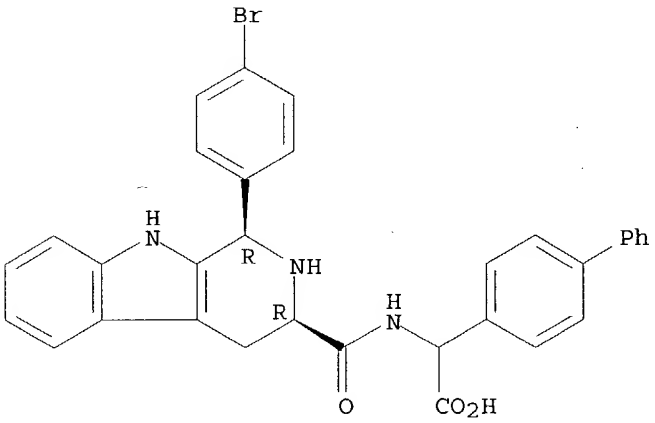
RN 515157-72-9 HCAPLUS
CN [1,1'-Biphenyl]-4-acetic acid, α-[[[(1S,3R)-1-(4-bromophenyl)-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



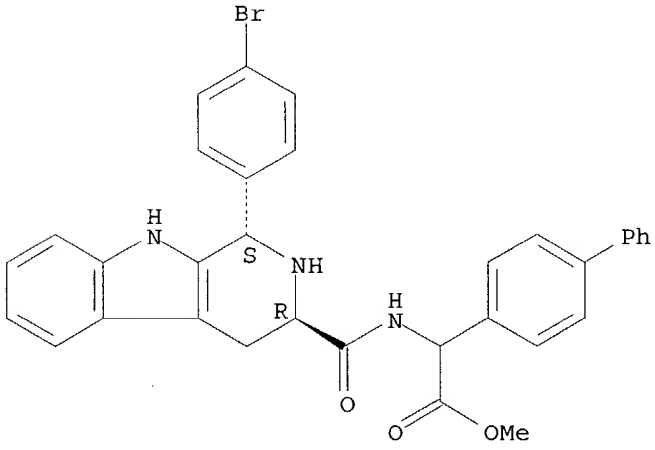
RN 515157-74-1 HCAPLUS
CN [1,1'-Biphenyl]-4-acetic acid, α-[[[(1R,3R)-1-(4-bromophenyl)-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



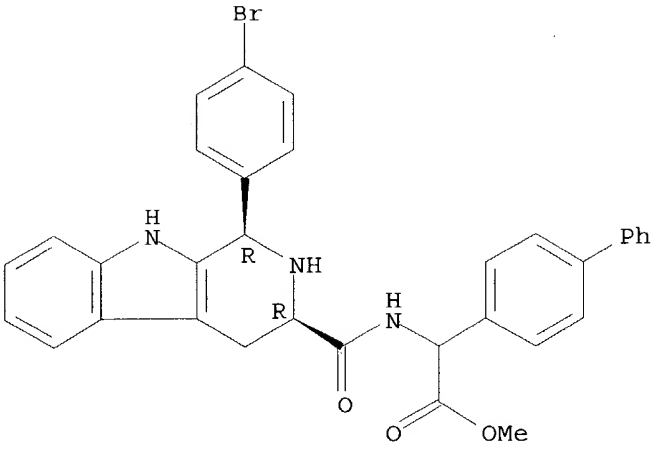
RN 515157-75-2 HCAPLUS
CN [1,1'-Biphenyl]-4-acetic acid, α-[[[(1S,3R)-1-(4-bromophenyl)-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



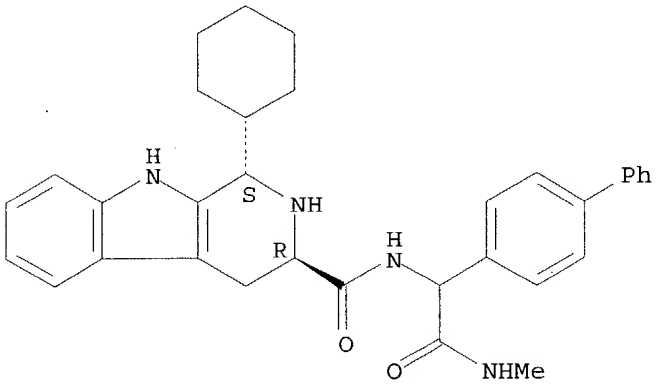
RN 515157-77-4 HCAPLUS
CN [1,1'-Biphenyl]-4-acetic acid, α-[[[(1R,3R)-1-(4-bromophenyl)-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



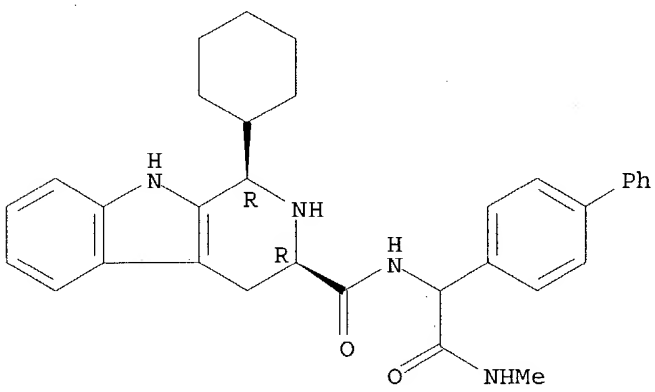
RN 515157-80-9 HCAPLUS
CN 1H-Pyrido[3,4-b]indole-3-carboxamide, N-[1-[1,1'-biphenyl]-4-yl-2-(methylamino)-2-oxoethyl]-1-cyclohexyl-2,3,4,9-tetrahydro-, (1S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



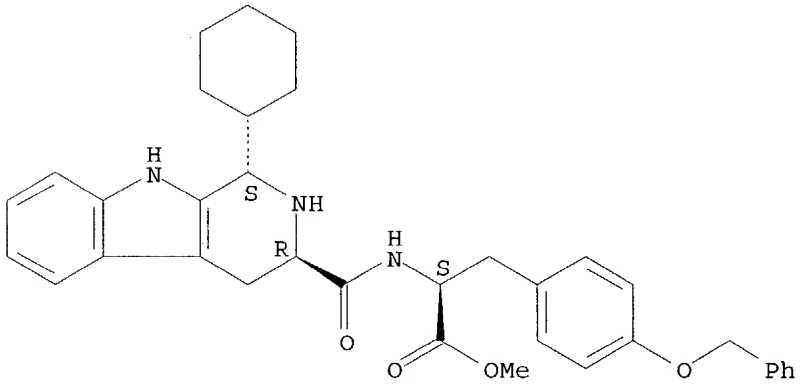
RN 515157-82-1 HCAPLUS
CN 1H-Pyrido[3,4-b]indole-3-carboxamide, N-[1-[1,1'-biphenyl]-4-yl-2-(methylamino)-2-oxoethyl]-1-cyclohexyl-2,3,4,9-tetrahydro-, (1R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



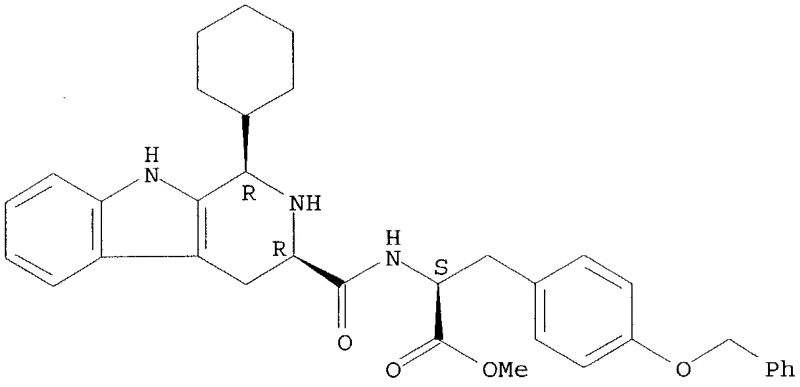
RN 515157-90-1 HCAPLUS
CN L-Tyrosine, N-[[(1S,3R)-1-cyclohexyl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



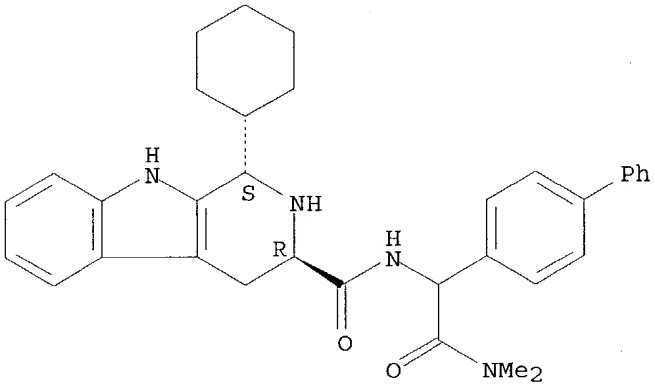
RN 515157-92-3 HCAPLUS
CN L-Tyrosine, N-[[(1R,3R)-1-cyclohexyl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



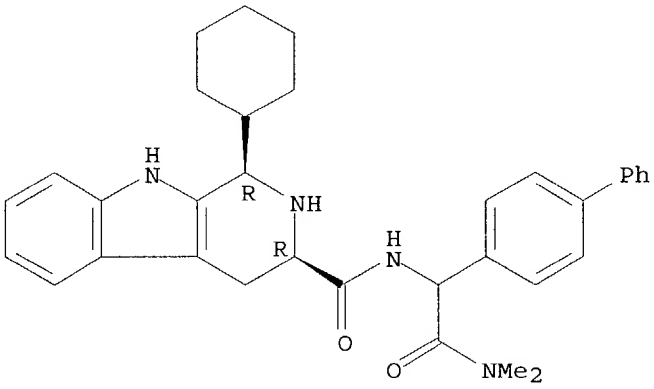
RN 515157-93-4 HCAPLUS
CN 1H-Pyrido[3,4-b]indole-3-carboxamide, N-[1-[1,1'-biphenyl]-4-yl-2-(dimethylamino)-2-oxoethyl]-1-cyclohexyl-2,3,4,9-tetrahydro-, (1S,3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



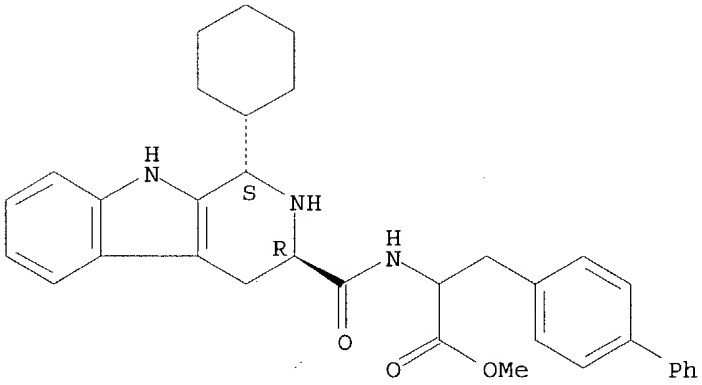
RN 515157-94-5 HCAPLUS
CN 1H-Pyrido[3,4-b]indole-3-carboxamide, N-[1-[1,1'-biphenyl]-4-yl-2-(dimethylamino)-2-oxoethyl]-1-cyclohexyl-2,3,4,9-tetrahydro-, (1R,3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



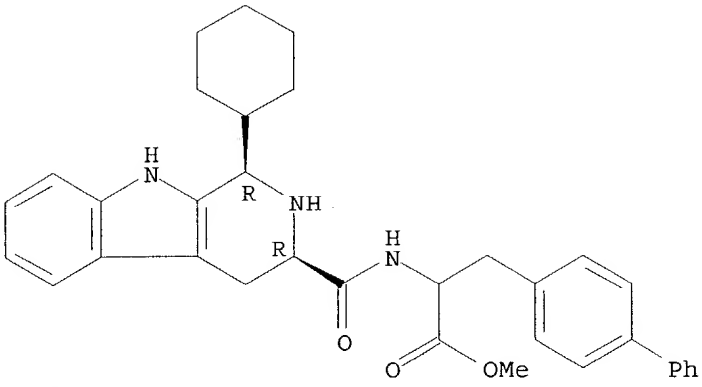
RN 515157-98-9 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[[(1S,3R)-1-cyclohexyl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



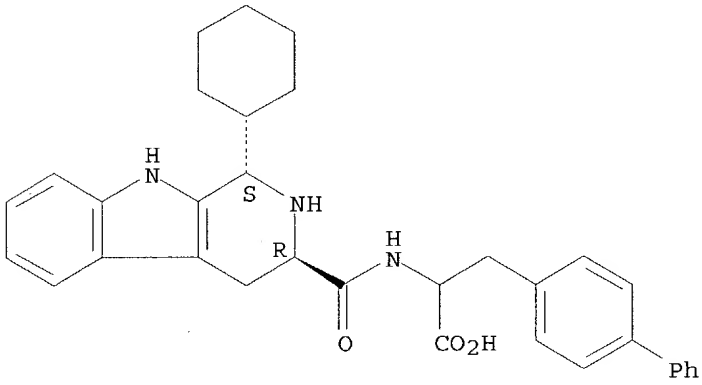
RN 515158-00-6 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[[(1R,3R)-1-cyclohexyl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



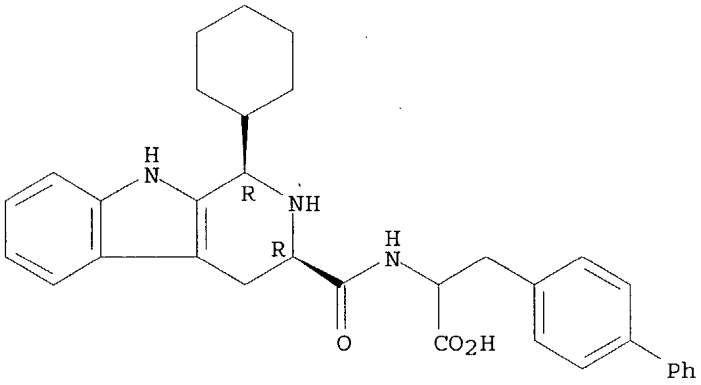
RN 515158-02-8 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[[(1S,3R)-1-cyclohexyl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



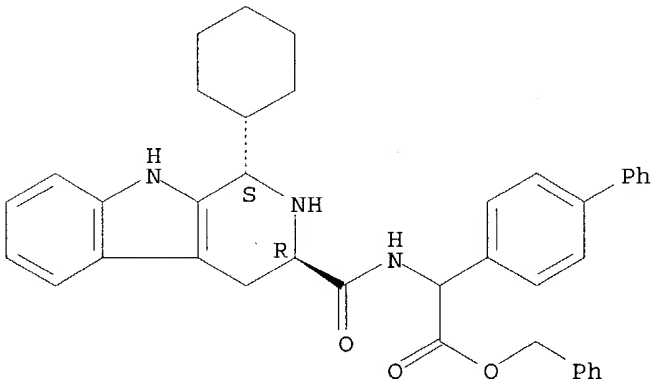
RN 515158-04-0 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[[(1R,3R)-1-cyclohexyl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



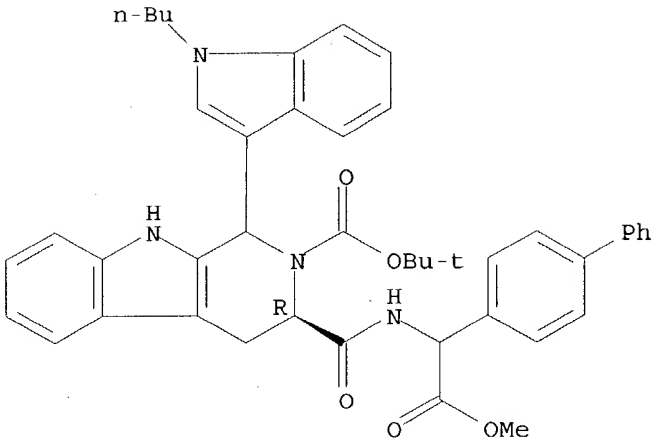
RN 515158-05-1 HCAPLUS
CN [1,1'-Biphenyl]-4-acetic acid, α-[[[(1S,3R)-1-cyclohexyl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



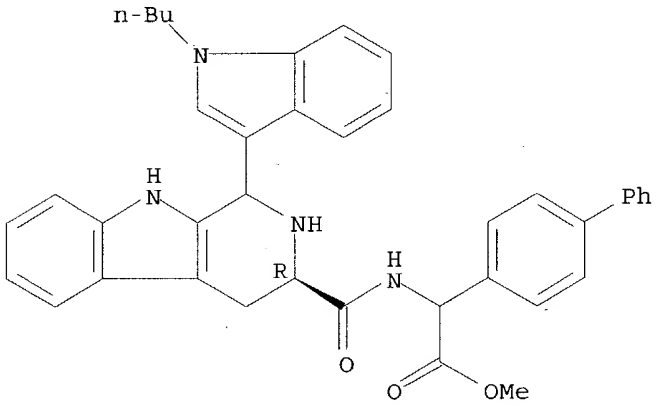
RN 515158-13-1 HCAPLUS
CN 2H-Pyrido[3,4-b]indole-2-carboxylic acid, 3-[[(1-[1,1'-biphenyl]-4-yl-2-methoxy-2-oxoethyl)amino]carbonyl]-1-(1-butyl-1H-indol-3-yl)-1,3,4,9-tetrahydro-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



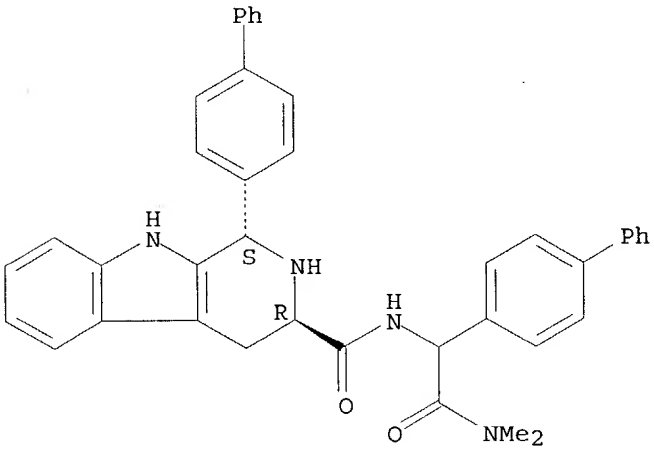
RN 515158-15-3 HCAPLUS
CN [1,1'-Biphenyl]-4-acetic acid, α-[[[(3R)-1-(1-butyl-1H-indol-3-yl)-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



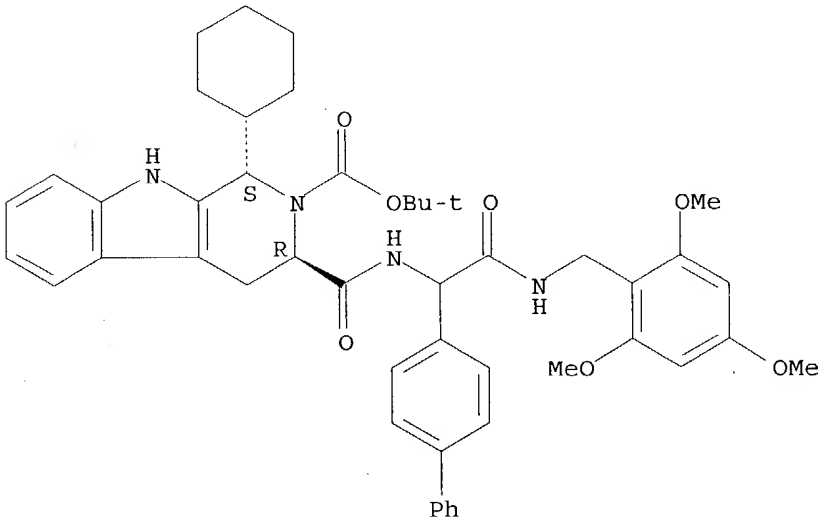
RN 515158-17-5 HCAPLUS
CN 1H-Pyrido[3,4-b]indole-3-carboxamide, 1-[1,1'-biphenyl]-4-yl-N-[1-[1,1'-biphenyl]-4-yl-2-(dimethylamino)-2-oxoethyl]-2,3,4,9-tetrahydro-, (1S,3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



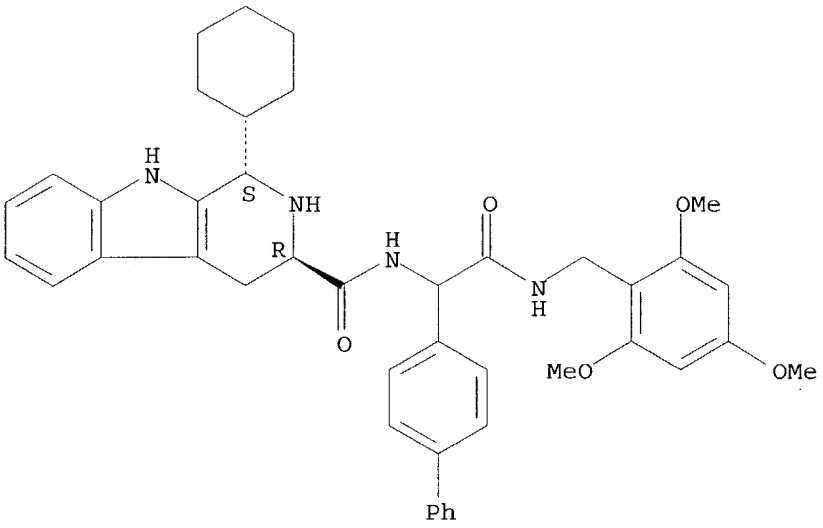
RN 515158-21-1 HCAPLUS
CN 2H-Pyrido[3,4-b]indole-2-carboxylic acid, 3-[[[1-[1,1'-biphenyl]-4-yl-2-oxo-2-[[(2,4,6-trimethoxyphenyl)methyl]amino]ethyl]amino]carbonyl]-1-cyclohexyl-1,3,4,9-tetrahydro-, 1,1-dimethylethyl ester, (1S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



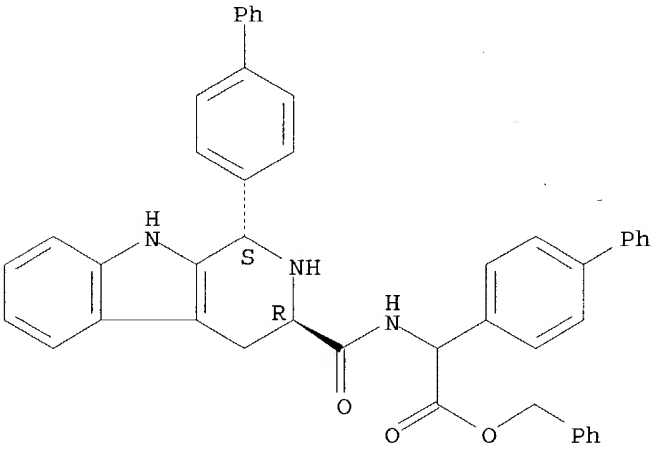
RN 515158-37-9 HCAPLUS
CN 1H-Pyrido[3,4-b]indole-3-carboxamide, N-[1-[1,1'-biphenyl]-4-yl-2-oxo-2-[[(2,4,6-trimethoxyphenyl)methyl]amino]ethyl]-1-cyclohexyl-2,3,4,9-tetrahydro-, (1S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



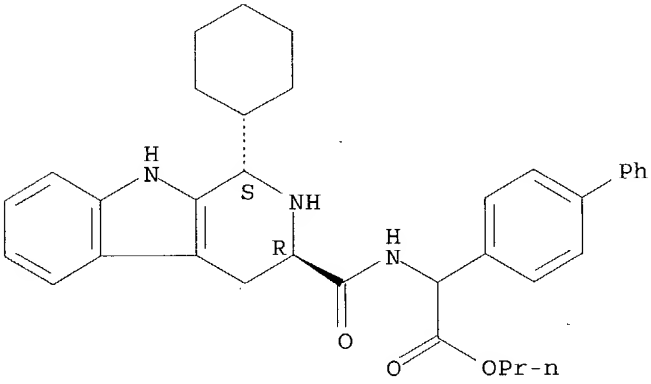
RN 515158-38-0 HCAPLUS
CN [1,1'-Biphenyl]-4-acetic acid, α-[[[(1S,3R)-1-[1,1'-biphenyl]-4-yl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



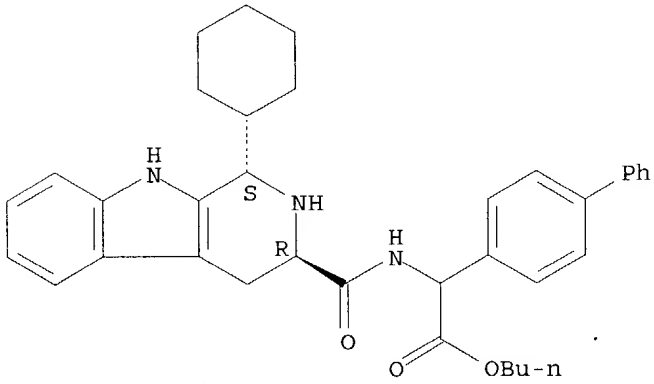
RN 515158-40-4 HCAPLUS
CN [1,1'-Biphenyl]-4-acetic acid, α-[[[(1S,3R)-1-cyclohexyl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]amino]-, propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



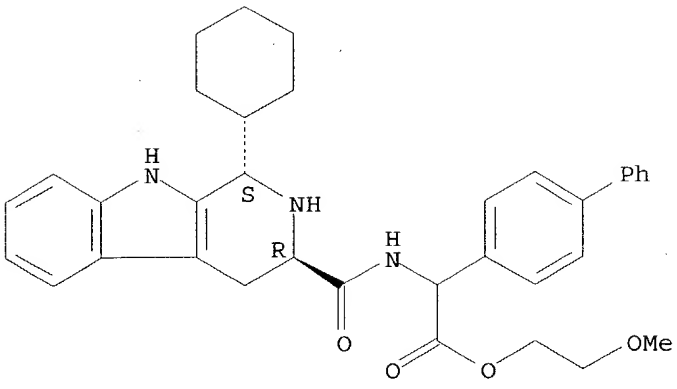
RN 515158-42-6 HCAPLUS
CN [1,1'-Biphenyl]-4-acetic acid, α-[[[(1S,3R)-1-cyclohexyl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]amino]-, butyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



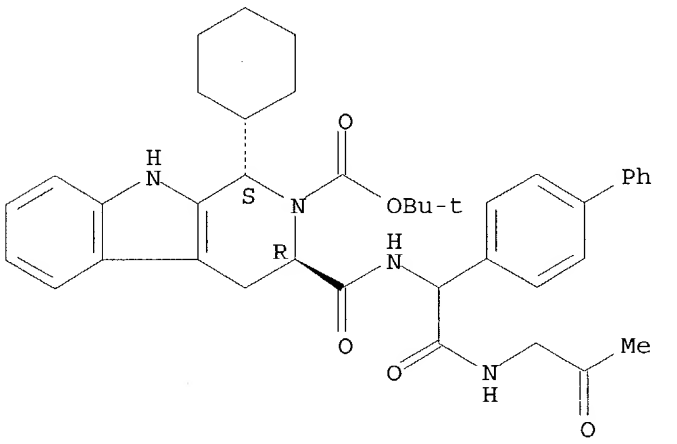
RN 515158-44-8 HCAPLUS
CN [1,1'-Biphenyl]-4-acetic acid, α-[[[(1S,3R)-1-cyclohexyl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-3-yl]carbonyl]amino]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 515158-63-1 HCAPLUS
CN 2H-Pyrido[3,4-b]indole-2-carboxylic acid, 3-[[[1-[1,1'-biphenyl]-4-yl-2-oxo-2-[(2-oxopropyl)amino]ethyl]amino]carbonyl]-1-cyclohexyl-1,3,4,9-tetrahydro-, 1,1-dimethylethyl ester, (1S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RETABLE

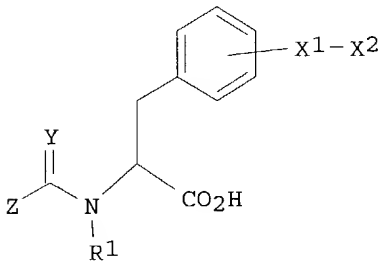
Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Deveau, A	2001	11	1251	BIOORGANIC & MEDICIN	HCAPLUS
Fantauzzi, P	1998	39	1291	TETRAHEDRON LETTERS	HCAPLUS
Novonordisk As	1999			WO 9946244 A	HCAPLUS
Sugen Inc	1998			WO 9856376 A	HCAPLUS

L36 ANSWER 3 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 3
ACCESSION NUMBER: 2002:142667 HCAPLUS
DOCUMENT NUMBER: 136:200103
TITLE: Preparation of (thio)urea moiety-containing heterocyclic compounds as VLA-4 antagonists
INVENTOR(S): Fukui, Hideto; Ikegami, Satoru; Okuyama, Akihiko
PATENT ASSIGNEE(S): Kaken Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014272	A1	20020221	WO 2001-JP6833	20010808
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001077720	A5	20020225	AU 2001-77720	20010808
PRIORITY APPLN. INFO.:			JP 2000-241657 A	20000809
			WO 2001-JP6833 W	20010808

OTHER SOURCE(S): MARPAT 136:200103
GI



I

AB The title compds. I [R1 = H, alkyl, etc.; X1 = single bond, C.tplbond.C, etc.; Y = O, etc.; Z = NR7R8, etc.; R7, R8 = H, hydrocarbon, etc.; X2 = heterocyclic ring (generic structure given); further details on said heterocyclic ring are given] are prepared A process for the preparation of I is claimed. In an assay for inhibition of VLA-4/VCAM-1 adhesion, 3-[4-[(3,5-dichloropyridine-4-carbonyl)amino]phenyl]-2-(S)-[3-isobutyl-3-[1(S)-phenylethyl]ureido]propionic acid showed IC50 of 1.1 nM.

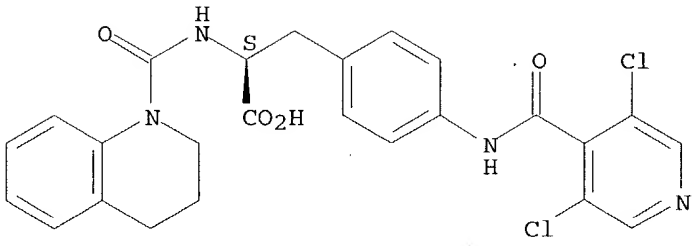
ED Entered STN: 22 Feb 2002

IT **401470-80-2P 401470-81-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (thio)urea moiety-containing heterocyclic compds. as VLA-4 antagonists)

RN 401470-80-2 HCAPLUS

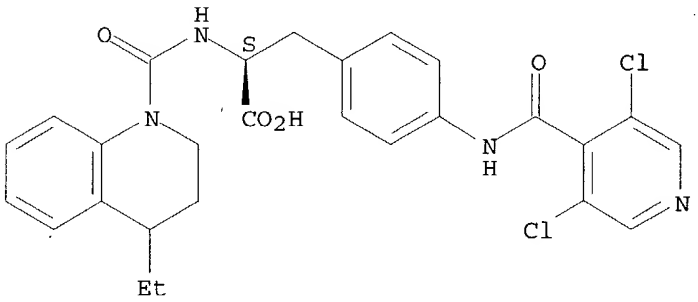
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[(3,4-dihydro-1(2H)-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 401470-81-3 HCAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[(4-ethyl-3,4-dihydro-1(2H)-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
G D Searle & Co	1997			JP 2000515493 A	
G D Searle & Co	1997			WO 9736859 A	HCAPLUS
Kaken Pharmaceutical Co	2001			WO 0132610 A	HCAPLUS
Merck & Co Inc	2001			WO 0114328 A	HCAPLUS
Merck & Co Inc	2001			AU 2000069093 A	HCAPLUS
Welfide K K	2000			JP 2000344748 A	HCAPLUS

L36 ANSWER 4 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 4
ACCESSION NUMBER: 2001:338483 HCAPLUS
DOCUMENT NUMBER: 134:353176
TITLE: Preparation of urea derivatives as VLA-4 antagonists
INVENTOR(S): Okuyama, Akihiko; Ikegami, Satoru; Harada, Tatsuhiro; Maruyama, Tatsuya; Matsumura, Yuzuru; Nagata, Naoya; Fukui, Hideto; Fujimoto, Kyouko
PATENT ASSIGNEE(S): Kaken Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032610	A1	20010510	WO 2000-JP7571	20001027

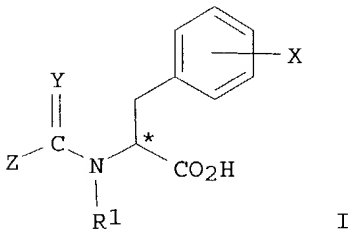
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1999-310316 A 19991029

OTHER SOURCE(S): MARPAT 134:353176

GI



I

AB The title compds. I [R1 is hydrogen, alkyl, etc.; X is hydrogen, halogeno, alkyl, aryl, arylamide, etc.; Y is oxygen or sulfur; and Z is a hydrocarbon or heterocyclic group containing a nitrogen atom through which Z is bonded to the carbon atom of CY; the asterisk indicates an asym. carbon] are prepared Processes for the preparation of I are also claimed. Several compds. of this invention in vitro at 0.01 nM to 3.7 nM gave 50% inhibition of VLA-4/VCAM-1 adhesion.

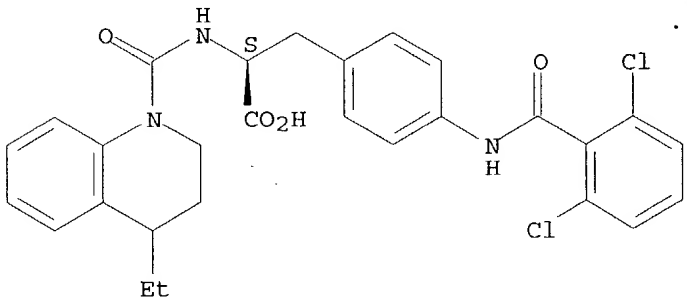
ED Entered STN: 11 May 2001

IT **339001-71-7P 339001-72-8P 339003-33-7P 339003-34-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of urea derivs. as VLA-4 antagonists)

RN 339001-71-7 HCAPLUS

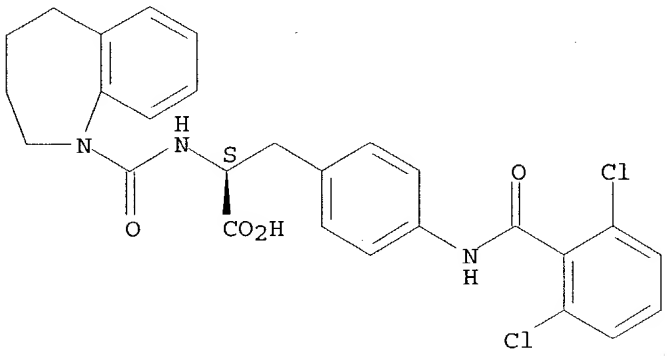
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[(4-ethyl-3,4-dihydro-1(2H)-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



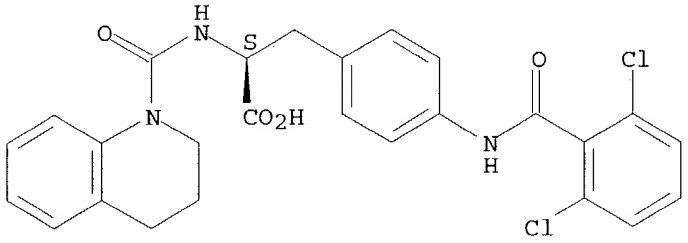
RN 339001-72-8 HCAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[(2,3,4,5-tetrahydro-1H-1-benzazepin-1-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



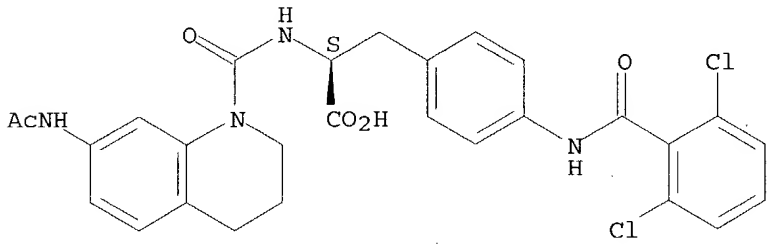
RN 339003-33-7 HCAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[(3,4-dihydro-1(2H)-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 339003-34-8 HCAPLUS
CN L-Phenylalanine, N-[[7-(acetylamino)-3,4-dihydro-1(2H)-quinolinyl]carbonyl]-4-[(2,6-dichlorobenzoyl)amino]- (9CI) (CA INDEX NAME)

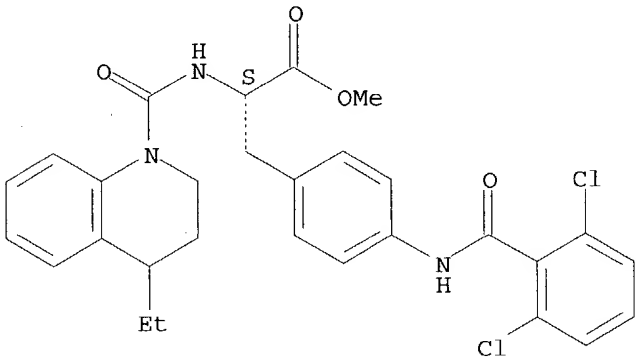
Absolute stereochemistry.



IT **339003-82-6P 339003-83-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of urea derivs. as VLA-4 antagonists)

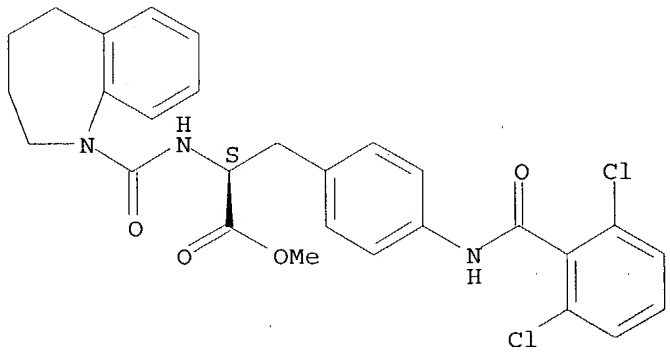
RN 339003-82-6 HCAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[(4-ethyl-3,4-dihydro-1(2H)-quinolinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 339003-83-7 HCAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[(2,3,4,5-tetrahydro-1H-1-benzazepin-1-yl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Fujisawa Pharmaceutical	1995			JP 72843 A	
G D Searle & Co				JP 2000515493 A	
G D Searle & Co				US 59523851 A	
G D Searle & Co				EP 891325 A1	HCAPLUS
G D Searle & Co	1997			WO 9736859 A1	HCAPLUS
Merck & Co Inc				US 6069163 A	HCAPLUS
Merck & Co Inc	1999			WO 9920272 A1	HCAPLUS
Ono Pharmaceutical Co L	1994			JP 06184086 A	HCAPLUS

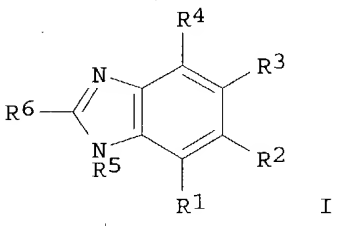
L36 ANSWER 5 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 5
ACCESSION NUMBER: 2001:12443 HCAPLUS
DOCUMENT NUMBER: 134:86539
TITLE: Preparation of benzimidazolecarboxylic acid amino acid amides as IκB kinase inhibitors.

INVENTOR(S): Ritzeler, Olaf; Stilz, Hans Ulrich; Neises, Bernhard; Bock, William Jerome, Jr.; Walser, Armin; Flynn, Gary A.
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000610	A1	20010104	WO 2000-EP5340	20000609
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19928424	A1	20001228	DE 1999-19928424	19990623
DE 10006297	A1	20010816	DE 2000-10006297	20000212
CA 2377085	AA	20010104	CA 2000-2377085	20000609
BR 2000012450	A	20020402	BR 2000-12450	20000609
EP 1194425	A1	20020410	EP 2000-938780	20000609
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003503400	T2	20030128	JP 2001-507019	20000609
EE 200100619	A	20030217	EE 2001-619	20000609
NZ 516348	A	20030630	NZ 2000-516348	20000609
AU 769350	B2	20040122	AU 2000-54042	20000609
NO 2001006154	A	20020219	NO 2001-6154	20011217

PRIORITY APPLN. INFO.:		DE 1999-19928424	A	19990623
		DE 2000-10006297	A	20000212
		WO 2000-EP5340	W	20000609

OTHER SOURCE(S): MARPAT 134:86539
GI



I

AB Title compds. [I; 1 of R1-R4 = DNR8CHR9Z; D = CO, SO, SO2; R8 = H, alkyl; R9 = amino acid residue, (substituted) aryl, heteroaryl, heterocyclyl, alkyl, etc.; Z = (substituted) aryl, heteroaryl, heterocyclyl, etc.; the remainder of R1-R4 = H, halo, alkyl, (substituted) heteroaryl, heterocyclyl, alkyl, cyano, aralkoxy, alkoxy, etc.; R5 = H, OH, O; R6 =

(substituted) aryl, Ph, heteroaryl, heterocyclyl], were prepared Thus, 2-pyrid-4-ylbenzimidazol-4-carboxylic acid (preparation given), H-Leu-OMe, TOTU, and (Me2CH)2EtN were stirred in MeCN to give 98% 2-pyrid-4-ylbenzimidazol-4-carbonylleucine Me ester. I inhibited IκB kinase with IC50 = 0.07-72 μM.

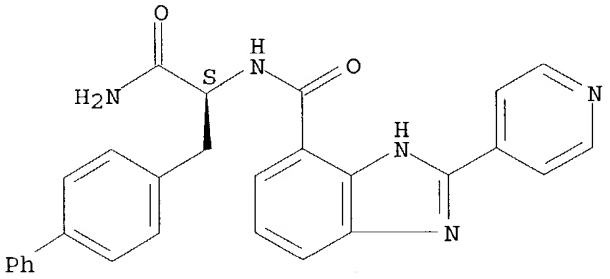
ED Entered STN: 05 Jan 2001

IT **313065-30-4P 313065-32-6P 313065-47-3P 313065-69-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazolecarboxylic acid amino acid amides as IκB kinase inhibitors)

RN 313065-30-4 HCAPLUS

CN 1H-Benzimidazole-4-carboxamide, N-[(1S)-2-amino-1-([1,1'-biphenyl]-4-ylmethyl)-2-oxoethyl]-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

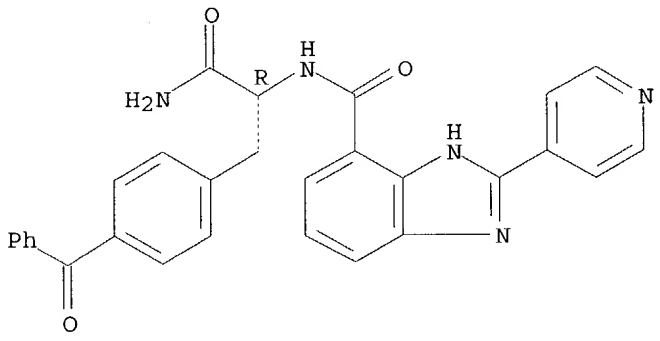
Absolute stereochemistry.



RN 313065-32-6 HCAPLUS

CN 1H-Benzimidazole-4-carboxamide, N-[(1R)-2-amino-1-[(4-benzoylphenyl)methyl]-2-oxoethyl]-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

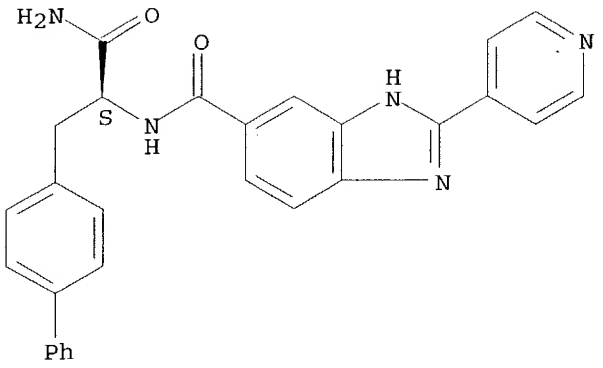
Absolute stereochemistry.



RN 313065-47-3 HCAPLUS

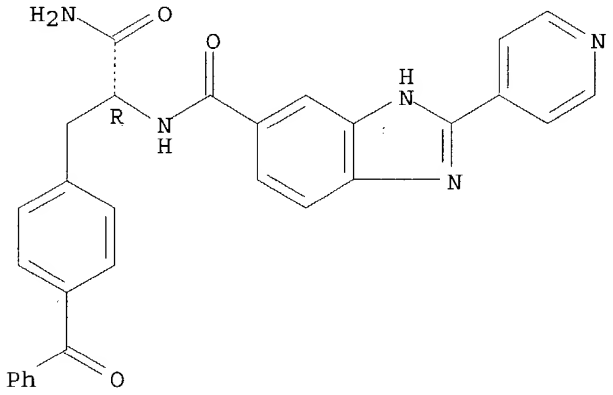
CN 1H-Benzimidazole-5-carboxamide, N-[(1S)-2-amino-1-([1,1'-biphenyl]-4-ylmethyl)-2-oxoethyl]-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313065-69-9 HCAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-[(1R)-2-amino-1-[(4-benzoylphenyl)methyl]-2-oxoethyl]-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Akimenko	1995			J BIOMOL STRUCT DYN	HCAPLUS
Akimenko	1995	12	1121	J BIOMOL STRUCT DYN	HCAPLUS
CV Therapeutics Inc	1998			WO 9805335 A	HCAPLUS
CV Therapeutics Inc	1998			WO 9805335 A	HCAPLUS
Cird	1986			GB 2164648 A	HCAPLUS
Cird	1986			GB 2164648 A	HCAPLUS
Denny, W	1990			JOURNAL OF MEDICINAL	
Denny, W	1990	33	814	JOURNAL OF MEDICINAL	HCAPLUS
Goeker	1996			IL FARMACO	HCAPLUS
Goeker	1996	51	53	IL FARMACO	HCAPLUS
Goeker	1998			IL FARMACO	
Goeker	1998	53	415	IL FARMACO	
Hoechst Ag	1978			DE 2641060 A	HCAPLUS
Hoechst Ag	1978			DE 2641060 A	HCAPLUS
Iwata, D	1998			US 5852011 A	HCAPLUS
Iwata, D	1998			US 5852011 A	HCAPLUS
Mitsui Toatsu Chemicals	1996			EP 0719765 A	HCAPLUS
Mitsui Toatsu Chemicals	1996			EP 0719765 A	HCAPLUS

O'Connor	1991			BULL CHEM SOC JPN	
O'Connor	1991	64	1364	BULL CHEM SOC JPN	HCAPLUS
Rafalski, M	1996		707	PEPT: CHEM, STRUCT B	HCAPLUS
Rafalski, M	1996	14TH	707	PEPT: CHEM, STRUCT B	
Vinogradov, A	1993			BIOTECHNIC AND HISTO	HCAPLUS
Vinogradov, A	1993	68	265	BIOTECHNIC AND HISTO	HCAPLUS
Xue, C	1996			BIOORGANIC & MEDICIN	
Xue, C	1996	6	339	BIOORGANIC & MEDICIN	HCAPLUS

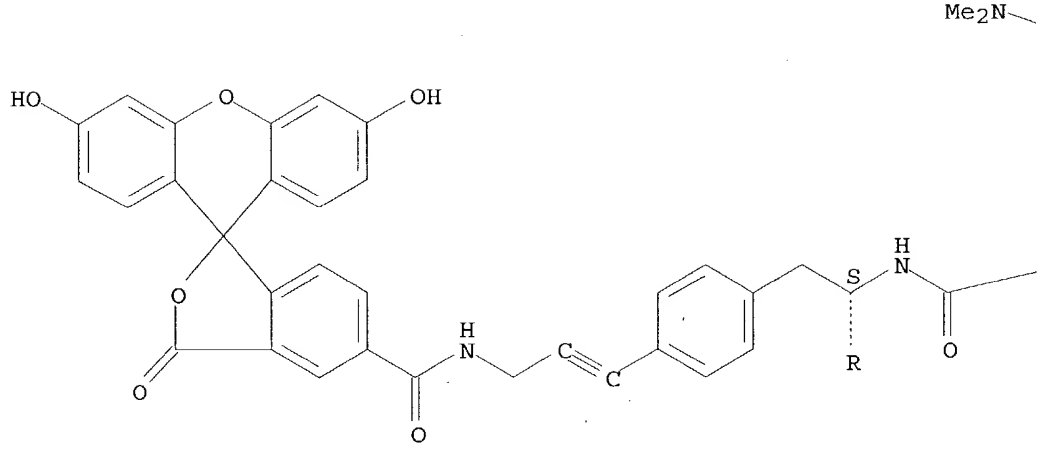
L36 ANSWER 6 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 6
ACCESSION NUMBER: 2000:836197 HCAPLUS
DOCUMENT NUMBER: 135:46388
TITLE: Fluorescence resonance energy transfer terminators for DNA sequencing
AUTHOR(S): Nampalli, Satyam; Khot, Mahesh; Kumar, Shiv
CORPORATE SOURCE: Nucleic Acid Chemistry, Amersham Pharmacia Biotech, Piscataway, NJ, 08855, USA
SOURCE: Tetrahedron Letters (2000), 41(46), 8867-8871
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:46388
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

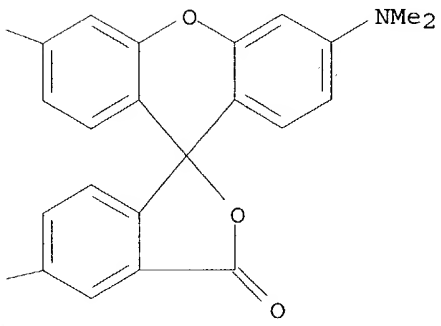
AB A four-color set of fluorescence resonance energy transfer dideoxy nucleotide terminators [(I); R = II-V resp.; Base = cytosine-5-yl, 9-deaza-adenine-9-yl, uracil-5-yl, 9-deaza-guanine-9-yl resp.], have been synthesized using a rigid and linear tri-functional phenylalanine derivative, synthesized via Heck coupling reaction of t-Boc-L-4-iodophenylalanine with N-TFA-propargylamine. Evaluation of the terminators in DNA sequencing reactions, in combination with Thermo Sequenase II DNA polymerase, demonstrated them to be excellent reagents for high-throughput DNA sequencing.
ED Entered STN: 30 Nov 2000
IT **344402-32-0P 344402-34-2P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of amino-acid fluorescence resonance energy transfer terminators for DNA sequencing)
RN 344402-32-0 HCAPLUS
CN Triphosphoric acid, P-[[(2S,5R)-5-[4-amino-5-[9-[[(2S)-2-[[[3',6'-bis(dimethylamino)-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl]carbonyl]amino]-3-[4-[3-[[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)carbonyl]amino]-1-propynyl]phenyl]-1-oxopropyl]amino]-4-oxo-1-nonynyl]-7H-pyrrolo[2,3-d]pyrimidin-7-yl]tetrahydro-2-furanyl]methyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

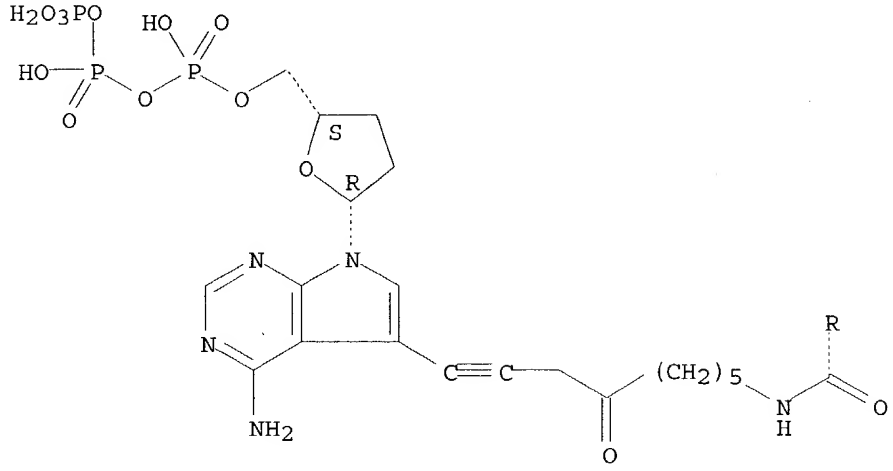
PAGE 1-A



PAGE 1-B



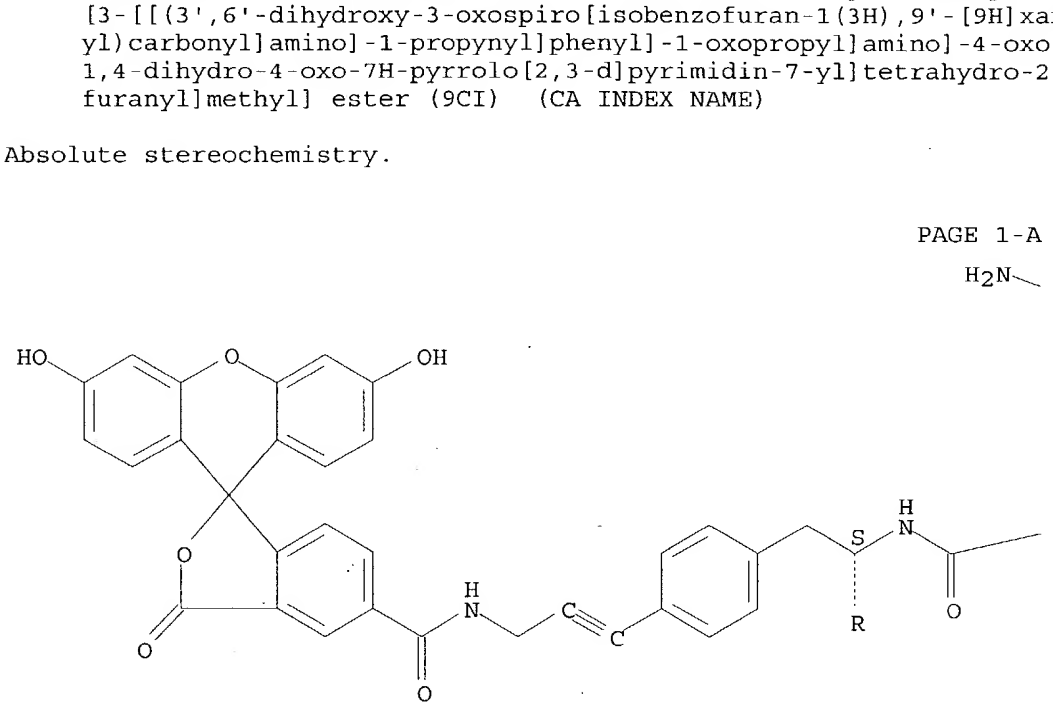
PAGE 2-A



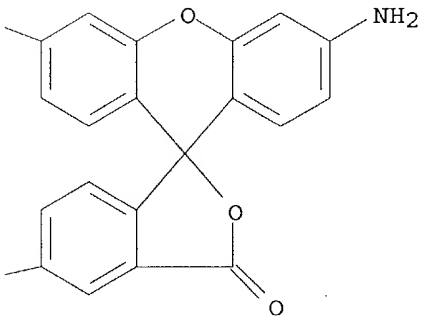
RN 344402-34-2 HCAPLUS
CN Triphosphoric acid, P-[[(2S,5R)-5-[2-amino-5-[9-[[(2S)-2-[[(3',6'-diamino-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)carbonyl]amino]-3-[4-[3-[[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)carbonyl]amino]-1-propynyl]phenyl]-1-oxopropyl]amino]-4-oxo-1-nonynyl]-1,4-dihydro-4-oxo-7H-pyrrolo[2,3-d]pyrimidin-7-yl]tetrahydro-2-furanyl]methyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

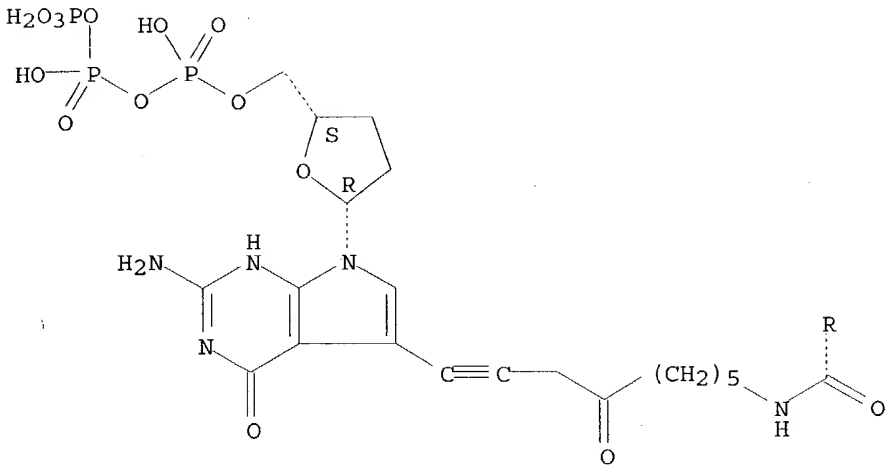
PAGE 1-A



PAGE 1-B



PAGE 2-A



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Cortese, N	1978	43	2952	J Org Chem	HCAPLUS
Hobbs, F	1991			US 5047519	HCAPLUS
Ju, J	1995	231	131	Anal Biochem	HCAPLUS
Ju, J	1996	24	1144	Nucleic Acids Resear	HCAPLUS
Ju, J	1995	92	4347	Proc Natl Acad Sci U	HCAPLUS
Lakowicz, J	1999		367	Principles of Fluore	
Lee, L	1997	25	2816	Nucleic Acids Resear	HCAPLUS
Metzker, M	1996	271	1420	Science	HCAPLUS
Rosenblum, B	1997	25	4500	Nucleic Acids Resear	HCAPLUS
Sanger, F	1977	74	5463	Proc Natl Acad Sci U	HCAPLUS
Tabor, S	1990	265	8322	J Biol Chem	HCAPLUS
Tabor, S	1995	61	6339	Proc Natl Acad Sci U	

L36 ANSWER 7 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 7
ACCESSION NUMBER: 2000:832189 HCAPLUS
DOCUMENT NUMBER: 134:116218
TITLE: Synthesis and evaluation of isothiocyanate-containing derivatives of the δ-opioid receptor antagonist Tyr-Tic-Phe-Phe (TIPP) as potential affinity labels for δ-opioid receptors
AUTHOR(S): Maeda, Dean Y.; Berman, Fred; Murray, Thomas F.; Aldrich, Jane V.
CORPORATE SOURCE: Department of Pharmaceutical Sciences School of Pharmacy, University of Maryland, Baltimore, MD, 21201, USA
SOURCE: Journal of Medicinal Chemistry (2000), 43(26), 5044-5049
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:116218
AB Derivs. of the δ-opioid receptor-selective peptide antagonist H-Tyr-Tic-Phe-Phe-OH (TIPP) containing an isothiocyanate moiety at the para position of either Phe3 or Phe4 were prepared as potential affinity labels

for δ-opioid receptors. The synthesis was accomplished using a general solution-phase synthetic procedure, which allows for the introduction of affinity labeling groups late in the synthesis of a variety of small peptide substrates. The target peptides and their corresponding amines were then evaluated in radioligand binding expts. using Chinese hamster ovary (CHO) cells expressing δ- and μ-opioid receptors. The peptides [Phe(p-NCS)3]TIPP (2) and [Phe(p-NCS)4]TIPP (4) showed affinity for δ-receptors comparable to the parent compound TIPP (IC50 = 12 and 5 nM, resp., vs. 6 nM for TIPP). Both peptides 2 and 4 were able to inhibit radioligand binding to δ-receptors in a wash-resistant manner at a concentration of 10 nM.

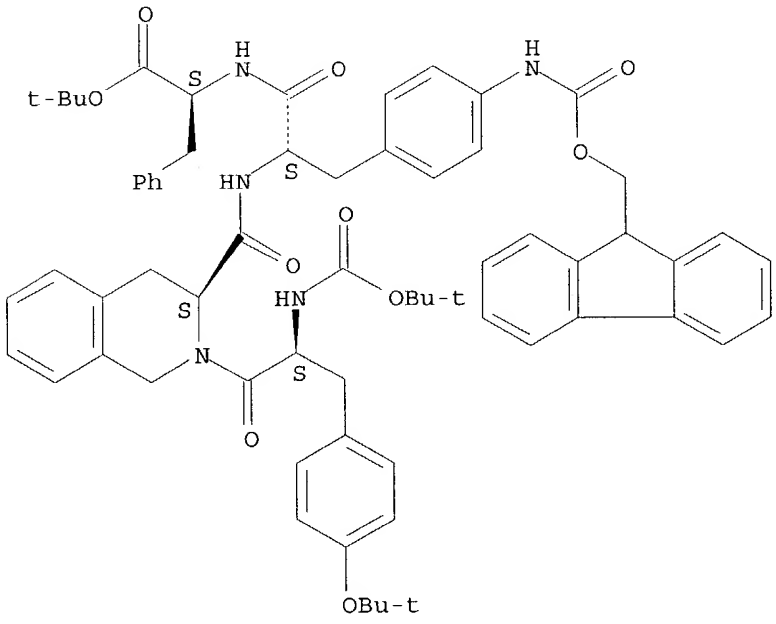
ED Entered STN: 29 Nov 2000

IT **320782-49-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and biol. evaluation of isothiocyanate-containing TIPP analogs as
antagonists of the δ-opioid receptor)

RN 320782-49-8 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-O-(1,1-dimethylethyl)-L-tyrosyl-(3S)-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-4-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-L-phenylalanyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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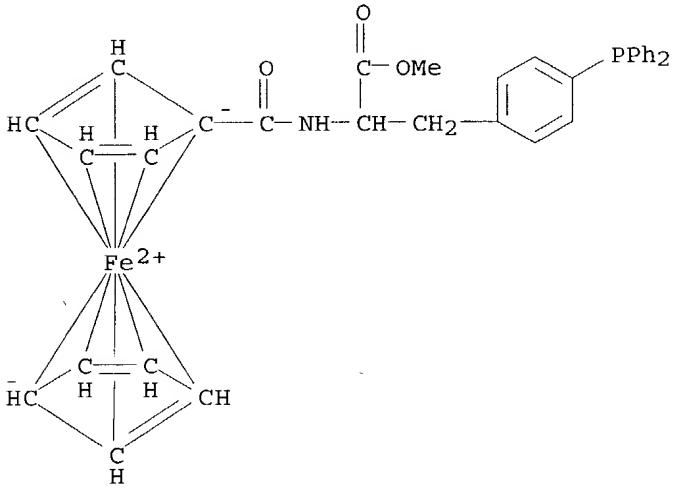
Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Anwar, M	1980		929	Synthesis	
Arttamangkul, S	1997	40	1211	J Med Chem	HCAPLUS
Bowen, W	1987	262	13434	J Biol Chem	HCAPLUS
Burke, T	1986	29	1087	J Med Chem	HCAPLUS
Coste, J	1990	31	205	Tetrahedron Lett	HCAPLUS
Filizola, M	1999	12	927	Protein Eng	HCAPLUS

Galpin, I	1979	35	2577	Tetrahedron	HCAPLUS
Kenner, G	1972	94	3259	J Am Chem Soc	HCAPLUS
Maeda, D	2000	43	3941	J Med Chem	HCAPLUS
Matsueda, R	1992		1259	Chem Lett	HCAPLUS
Mattia, A	1992	260	518	J Pharmacol Exp Ther	HCAPLUS
Pelton, J	1980	97	1391	Biochem Biophys Res	HCAPLUS
Poda, G	1998	5	193	Lett Pept Sci	HCAPLUS
Pogozheva, I	1998	75	612	Biophys J	HCAPLUS
Portoghese, P	1990	33	1547	J Med Chem	HCAPLUS
Rice, K	1983	220	314	Science	HCAPLUS
Schiller, P	1999	51	411	Biopolymers	HCAPLUS
Schiller, P	1992	89	11871	Proc Natl Acad Sci U	HCAPLUS
Simonds, W	1975	82	4974	Proc Natl Acad Sci U	
Szatmari, I	1999	265	513	Biochem Biophys Res	HCAPLUS
Takemori, A	1985	25	193	Annu Rev Pharmacol T	MEDLINE
Vorbruggen, H	1975	14	818	Angew Chem, Int Ed	
Zhu, J	1996	271	1430	J Biol Chem	HCAPLUS

L36 ANSWER 8 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 8
ACCESSION NUMBER: 2000:358667 HCAPLUS
DOCUMENT NUMBER: 133:177433
TITLE: P-C bond formation: synthesis of phosphino amino acids by palladium-catalyzed cross-coupling
AUTHOR(S): Kraatz, Heinz-Bernhard; Pletsch, Andreas
CORPORATE SOURCE: Department of Chemistry, University of Saskatchewan, Saskatoon, SK, S7N 5C9, Can.
SOURCE: Tetrahedron: Asymmetry (2000), 11(7), 1617-1621
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:177433
AB (4-Diethylphosphinyl)- and (4-diphenylphosphinyl) derivs. of D- and L-phenylalanine were synthesized using a Pd-catalyzed cross-coupling giving the desired products in very high yields and without racemization.
ED Entered STN: 31 May 2000
IT **288263-20-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of phosphino amino acids by palladium-catalyzed cross-coupling)
RN 288263-20-7 HCAPLUS
CN Ferrocene, [[[(1S)-1-[[4-(diphenylphosphino)phenyl]methyl]-2-methoxy-2-oxoethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Cai, D	1994	59	7180	J Org Chem	HCAPLUS
Gilbertson, S	1999	38	2750	Angew Chem, Int Ed	HCAPLUS
Gilbertson, S	1996	61	2922	J Org Chem	HCAPLUS
Gilbertson, S	1996	37	6475	Tetrahedron Lett	HCAPLUS
Kraatz, H				unpublished synthesi	
Lei, H	1994	59	4206	J Am Chem Soc	HCAPLUS
Lipshutz, B	1999	40	201	Tetrahedron Lett	HCAPLUS
Noyori, R	1991	30	49	Angew Chem, Int Ed E	
Noyori, R	1994			Asymmetric Catalysis	
Noyori, R	1989	5		Enantioselective Cat	HCAPLUS
Ojima, I	1993			Catalytic Asymmetric	
Ojima, I	1989	45	6901	Tetrahedron	HCAPLUS
Omae, I	1999			Application of Organ	
Stille, J	1991	10	1183	Organometallics	HCAPLUS
Tunney, S	1987	52	748	J Org Chem	HCAPLUS

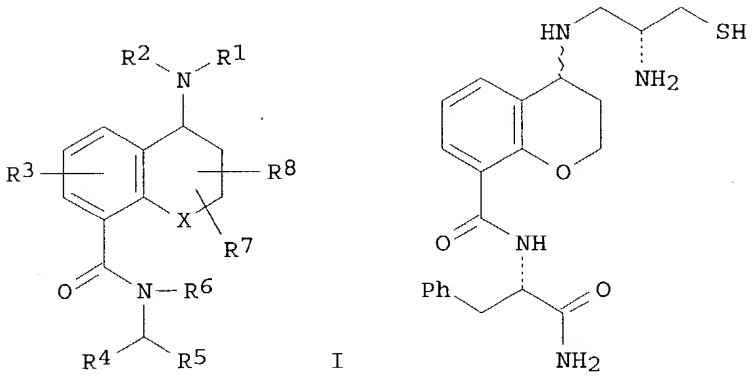
L36 ANSWER 9 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 9
ACCESSION NUMBER: 1999:529142 HCAPLUS
DOCUMENT NUMBER: 131:170268
TITLE: Condensed heterocyclic system derivatives, namely 4-amino(thio)chroman-8-carboxamides, useful as farnesyl transferase inhibitors, and their preparation and pharmaceutical compositions
INVENTOR(S): Baudoin, Bernard; Clerc, Francois; Dereu, Norbert; El-Ahmad, Youssef; Hardy, Jean-Claude; Jimonet, Patrick; Le Brun, Alain
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer S.A., Fr.
SOURCE: PCT Int. Appl., 228 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9941248	A1	19990819	WO 1999-FR298	19990211
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2774987	A1	19990820	FR 1998-1762	19980213
FR 2774987	B1	20000317		
ZA 9901073	A	19990810	ZA 1999-1073	19990210
CA 2321218	AA	19990819	CA 1999-2321218	19990211
AU 9924287	A1	19990830	AU 1999-24287	19990211
EP 1054882	A1	20001129	EP 1999-903732	19990211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002503659	T2	20020205	JP 2000-531443	19990211
PRIORITY APPLN. INFO.:			FR 1998-1762 A	19980213
			US 1998-81577P P	19980414
			WO 1999-FR298 W	19990211

OTHER SOURCE(S): MARPAT 131:170268

GI



I II

AB The invention concerns novel title compds. I, their preparation, pharmaceutical compns., and use for preparing medicines [wherein R1 = COCH(NH2)CH2SH, CH2CH(NH2)CH2SH, or CHRi1Ri2; R2 = H, alkyl, aralkyl, aryl, alkylcarbonyl, aralkylcarbonyl, arylcarbonyl, or heteroaralkyl; R3 = H, halo, alkyl, aryl, aralkyl; R4 = CHRi3Ri4; R5 = H, CORi5; R6 = H, alkyl, aryl, aralkyl; R7, R8 = H, alkyl, aryl, or aralkyl; X = O, S, S(O), S(O)2; Ri1 = (un)substituted heterocyclyl; Ri2 = H, alkyl, aryl, aralkyl; Ri3, Ri4 = H, (un)substituted aryl or heteroaryl (both ≠ H); Ri5 = OH, alkoxy, NH2, aralkylamino, alkylamino, NHCH(CO2Ri6)CH2CH2SMe; Ri6 = H, alkyl; including racemates stereoisomers, and salts]. The compds. are inhibitors of farnesyl transferase, and as such are potent antitumor and antileukemic agents. Examples include 86 syntheses, evaluation of the inhibition of farnesylation of K-ras in vitro, activity against human tumor cells (HCT116) in vitro [IC50 = 0.1 nM to 100 μM in both cases], and 3 pharmaceutical formulations. For instance, 4-chromanone underwent a sequence of reductive amination to the 4-amino compound (50.4%), N-protection by BOC (95.3%), 8-lithiation and carboxylation (53.2%), peptide coupling with H-L-Phe-NH2 (93%), removal of BOC (28%), reductive

amination with S-(triphenylmethyl)-N-BOC-L-cysteinal (87%), and final deprotection with Et3SiH and CF3CO2H, to give 2 diastereomers of title compound II, isolated as the di(trifluoroacetate) salts.

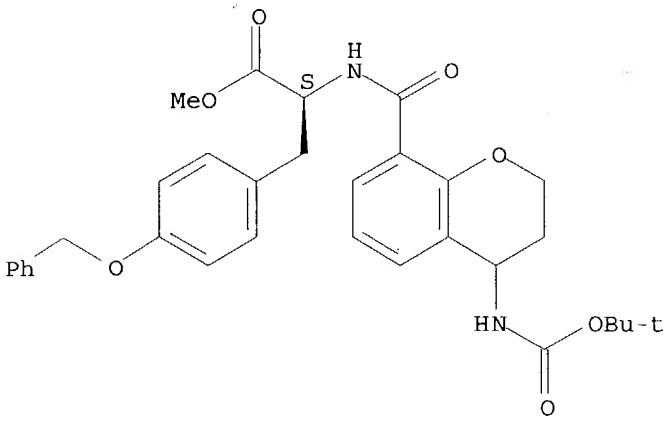
ED Entered STN: 24 Aug 1999

IT **238764-50-6P 238764-51-7P 238764-52-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of aminochromancarboxamides and -thiochromancarboxamides as farnesyl transferase inhibitors)

RN 238764-50-6 HCAPLUS

CN L-Tyrosine, N-[[4-[[(1,1-dimethylethoxy)carbonyl]amino]-3,4-dihydro-2H-1-benzopyran-8-yl]carbonyl]-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

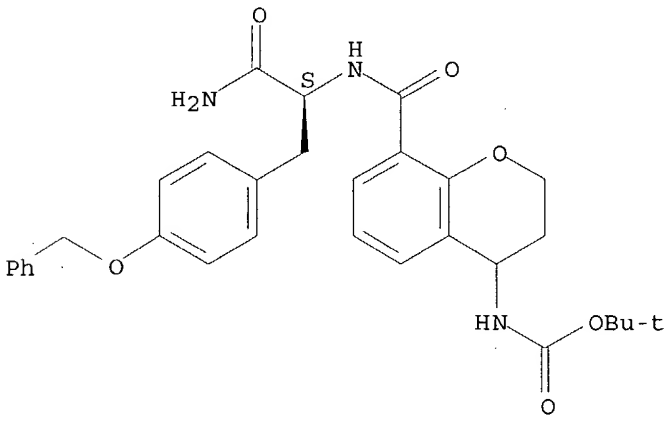
Absolute stereochemistry.



RN 238764-51-7 HCAPLUS

CN Carbamic acid, [8-[[[(1S)-2-amino-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]amino]carbonyl]-3,4-dihydro-2H-1-benzopyran-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

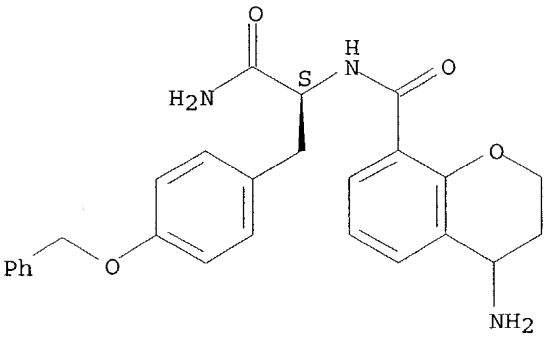
Absolute stereochemistry.



RN 238764-52-8 HCAPLUS

CN 2H-1-Benzopyran-8-carboxamide, 4-amino-N-[(1S)-2-amino-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-3,4-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

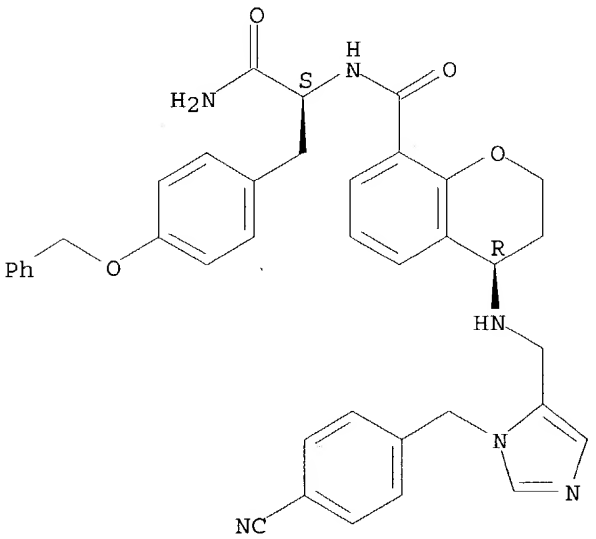


IT **238763-11-6P 238763-12-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of aminochromancarboxamides and -thiochromancarboxamides as farnesyl transferase inhibitors)

RN 238763-11-6 HCAPLUS

CN 2H-1-Benzopyran-8-carboxamide, N-[(1S)-2-amino-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-4-[[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]methyl]amino]-3,4-dihydro-, (4R)- (9CI) (CA INDEX NAME)

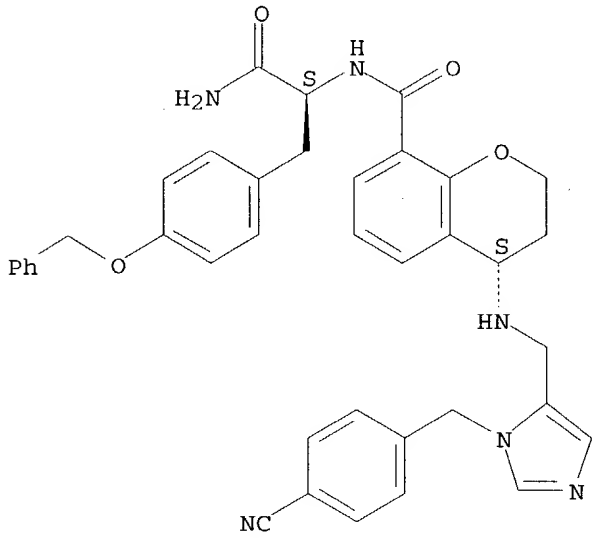
Absolute stereochemistry.



RN 238763-12-7 HCAPLUS

CN 2H-1-Benzopyran-8-carboxamide, N-[(1S)-2-amino-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-4-[[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]methyl]amino]-3,4-dihydro-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Bristol-Myers	1995			EP 0648758 A	HCAPLUS

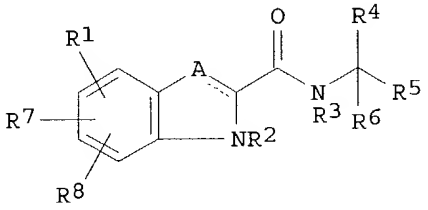
L36 ANSWER 10 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 10
ACCESSION NUMBER: 1997:129974 HCAPLUS
DOCUMENT NUMBER: 126:144110
TITLE: Preparation of substituted N-(indole-2-carbonyl)glycinamides and derivatives as glycogen phosphorylase inhibitors
INVENTOR(S): Hulin, Bernard; Hoover, Dennis J.; Treadway, Judith L.; Martin, William H.; Phillips, Douglas
PATENT ASSIGNEE(S): Pfizer, Inc., USA; Hulin, Bernard; Hoover, Dennis J.; Treadway, Judith L.; Martin, William H.; Phillips, Douglas
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9639384	A1	19961212	WO 1995-IB442	19950606
W: CA, FI, JP, MX, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2223625	AA	19961212	CA 1995-2223625	19950606
CA 2223625	C	20030603		
CA 2224062	AA	19961212	CA 1995-2224062	19950606
CA 2224062	C	20010904		
CA 2342471	AA	19961212	CA 1995-2342471	19950606
CA 2342471	C	20021029		
EP 832065	A1	19980401	EP 1995-918717	19950606
EP 832065	B1	20011010		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				

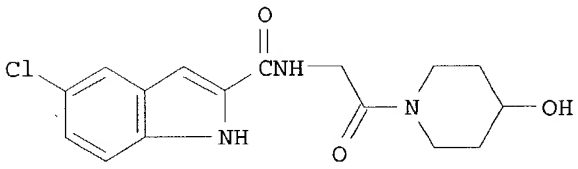
JP 10511687	T2	19981110	JP 1997-500244	19950606
JP 3314938	B2	20020819		
EP 1134213	A2	20010919	EP 2001-105284	19950606
EP 1134213	A3	20020417		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 206702	E	20011015	AT 1995-918717	19950606
ES 2161291	T3	20011201	ES 1995-918718	19950606
ES 2164151	T3	20020216	ES 1995-918717	19950606
PT 832065	T	20020228	PT 1995-918717	19950606
NO 9601664	A	19961209	NO 1996-1664	19960425
AU 9654626	A1	19961219	AU 1996-54626	19960530
AU 701465	B2	19990128		
CN 1142492	A	19970212	CN 1996-107768	19960530
ZA 9604409	A	19971201	ZA 1996-4409	19960530
BR 9602542	A	19981027	BR 1996-2542	19960530
RU 2143424	C1	19991227	RU 1996-110402	19960530
LV 11613	B	19970420	LV 1996-165	19960531
CN 1140709	A	19970122	CN 1996-107986	19960605
CN 1098838	B	20030115		
NO 9900405	A	19990128	NO 1999-405	19961209
US 6107329	A	20000822	US 1997-952669	19971202
FI 9704436	A	19980127	FI 1997-4436	19971205
US 6277877	B1	20010821	US 2000-638938	20000815
PRIORITY APPLN. INFO.:			CA 1995-2224062	A3 19950606
			EP 1995-918717	A3 19950606
			EP 1995-918718	A 19950606
			WO 1995-IB442	A 19950606
			US 1997-952669	A3 19971202

OTHER SOURCE(S): MARPAT 126:144110

GI



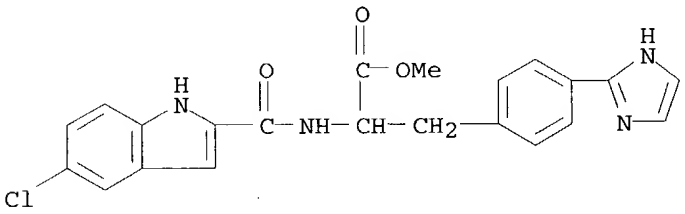
I



II

AB The title compds. [I; A = CH, C(halo), N, etc.; R1, R7, R8 = H, halo, CN, etc.; R2 = H; R3 = H, C1-5 alkyl; R4 = H, Me, heterocyclylalkyl, etc.; R5 = H, Me, Et, Pr, CH2OH, (CH2)2OH; R6 = COOH, C1-8 alkoxycarbonyl, benzyloxycarbonyl, etc.], useful to treat diabetes, hyperglycemia, hypercholesterolemia, hypertension, hyperinsulinemia, hyperlipidemia, atherosclerosis and myocardial ischemia in mammals, were prepared Thus, coupling 4-hydroxypiperidine with [(5-chloro-1H-indole-2-carbonyl)amino]acetic acid in the presence of hydroxybenzotriazole hydrate and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (DEC) in DMF/CH2Cl2 afforded 68% II. In general, compds. I were effective at

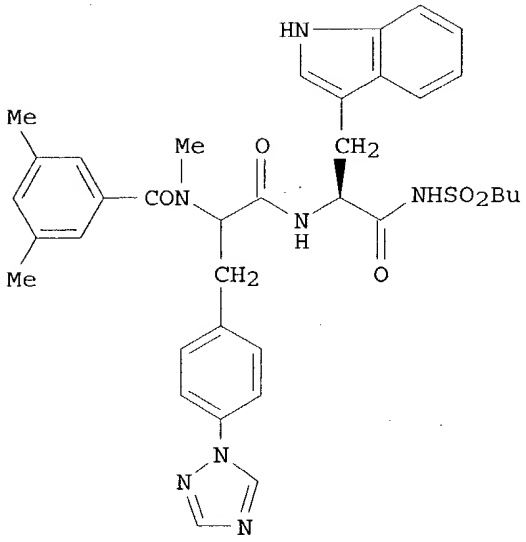
0.1-15 mg/kg/day.
ED Entered STN: 27 Feb 1997
IT **186430-79-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted N-(indole-2-carbonyl)glycinamides and derivs. as glycogen phosphorylase inhibitors)
RN 186430-79-5 HCAPLUS
CN Phenylalanine, N-[(5-chloro-1H-indol-2-yl)carbonyl]-4-(1H-imidazol-2-yl)-, methyl ester (9CI) (CA INDEX NAME)



L36 ANSWER 11 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 11
ACCESSION NUMBER: 1995:995520 HCAPLUS
DOCUMENT NUMBER: 124:146859
TITLE: Preparation of peptides as antagonists of endothelin receptors
INVENTOR(S): Frueh, Thomas; Pitterna, Thomas; Murata, Toshiki; Svensson, Lene D.; Yuumoto, Yoko; Sakaki, Junichi
PATENT ASSIGNEE(S): Japat Ltd., Switz.; Ciba Geigy Japan Ltd.
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9526360	A1	19951005	WO 1995-EP1013	19950317
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2183767	AA	19951005	CA 1995-2183767	19950317
AU 9521095	A1	19951017	AU 1995-21095	19950317
AU 694495	B2	19980723		
EP 753004	A1	19970115	EP 1995-928870	19950317
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1145075	A	19970312	CN 1995-192388	19950317
BR 9507220	A	19970909	BR 1995-7220	19950317
JP 09510720	T2	19971028	JP 1995-524938	19950317
ZA 9502461	A	19950928	ZA 1995-2461	19950327
US 5703106	A	19971230	US 1996-718593	19960925
PRIORITY APPLN. INFO.:			EP 1994-810191	19940328
			WO 1995-EP1013	19950317

OTHER SOURCE(S): MARPAT 124:146859
GI

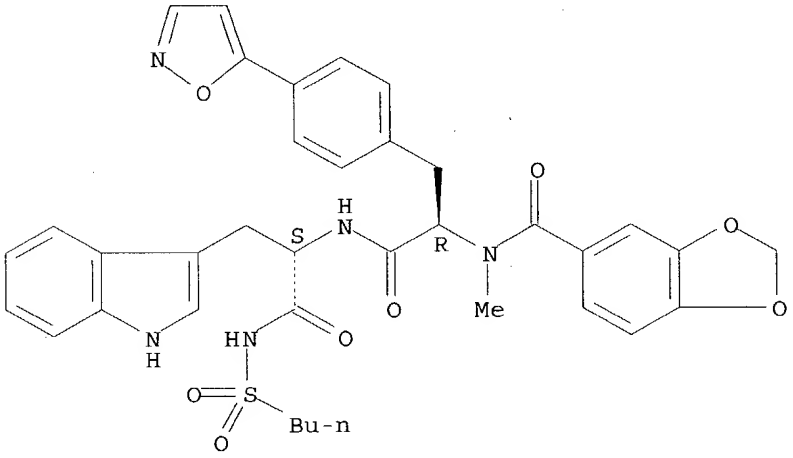


I

AB Peptides represented by formula R1CONR2CH(CR7R8-Ar-R3)C(:X)-Y-CH[(CH2)mR4]CONR5-Y1-R6 [Ar = a direct bond, arylene; m = 0-3; R1 = alkyl, cycloalkylalkyl, aralkyl, cycloalkyl, aryl, arylcycloalkyl, alkoxy, aryloxy; R2 = H, alkyl, aralkyl, cycloalkyl, cycloalkylalkyl; R3 = H, OH, NH2, NO2, alkyl, cycloalkyl, or aralkyl, provided that Ar = a direct bond or aryl; R7 = H, alkyl, cycloalkyl, aralkyl, aryl; or R3R7 = a ring structure, provided that Ar = a direct bond; R8 = H, alkyl, aryl; or R2R8 = (CH2)o-Ar1 or Ar1-(CH2)o, wherein o = 0-2, Ar1 = arylene, C(:X) = CO, CS, C(:NH), C(:N-alkyl), C(:NHOH), or CH2; Y = a direct bond, NH, alkylimino, O, or CH2; or C(:X) = CHOH and Y = a direct or CH2; R4 = (un)substituted alkyl, alkenyl, cycloalkyl, aralkyl, arylalkenyl, aryl; R5 = alkyl, haloalkyl, hydroxyalkyl, acyloxyalkyl, alkoxyalkyl, aryloxyalkyl, (un)substituted aralkyl, alkenyl, or arylalkenyl; or R5R6 = (CH2)p, (CH2)q Ar1, Ar1-(CH2)q; wherein p = 3-5; q = 0-2; Ar1 = arylene; Y1 = SO2, O, NH, NHCO, NHCO2, NHSO2] are prepared These peptides are useful for the treatment of cerebral and coronary vasospasm or ischemia, subarachnoidal hemorrhage, various types of hypertension, pulmonary hypertension, cardiac failure, Raynand-syndrome, diabetes, benign prostatic hyperplasia, atherosclerosis or restenosis due to denudation following angioplasty, asthma, renal failure, dialysis, glomerular injury, migraine, ocular diseases, glaucoma, endotoxin shock, or disseminated intravascular coagulation. Thus, to stirred solution of N-(3,5-dimethylbenzoyl)-N-methyl-4-[4-(1,2,4-triazol-1-yl)phenyl]-DL-alanine (preparation given) in DMF were added N-(butanesulfonyl)tryptophanamide hydrochloride and 1-hydroxybenzotriazole, followed by cooling the resulting mixture to 0° and adding 1-(3-dimethylaminopropyl)-3-carbodiimide, and the resulting mixture was allowed to react at 0° for 2 h, slowly warmed to room temperature, and stirred overnight to give the title compound(I). I inhibited the binding of [125I]endothelin-3 to endothelin B receptor and that of [125I]endothelin-1 to endothelin A in the presence of nonlabeled endothelin-3 with the binding affinity constant Ki of 0.16 and 3.5, resp.

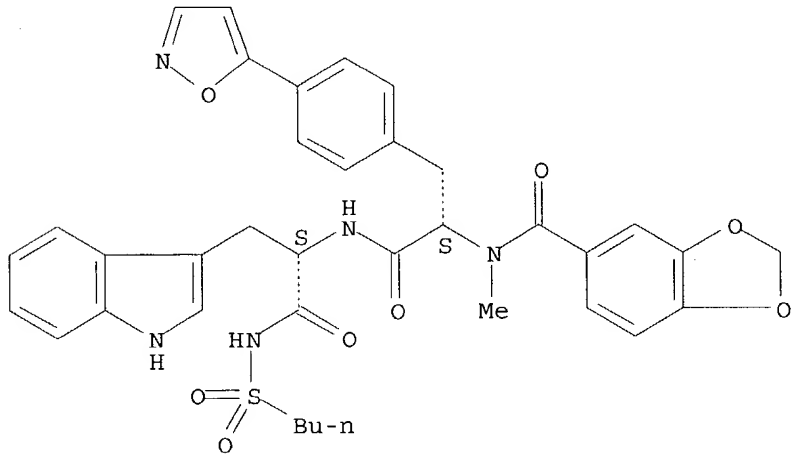
ED Entered STN: 22 Dec 1995
IT **173189-52-1P 173189-53-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as antagonists of endothelin receptors for treating diseases)
RN 173189-52-1 HCAPLUS
CN L-Tryptophanamide, N-(1,3-benzodioxol-5-ylcarbonyl)-4-(5-isoxazolyl)-N-methyl-D-phenylalanyl-N-(butylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 173189-53-2 HCAPLUS
CN L-Tryptophanamide, N-(1,3-benzodioxol-5-ylcarbonyl)-4-(5-isoxazolyl)-N-methyl-L-phenylalanyl-N-(butylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



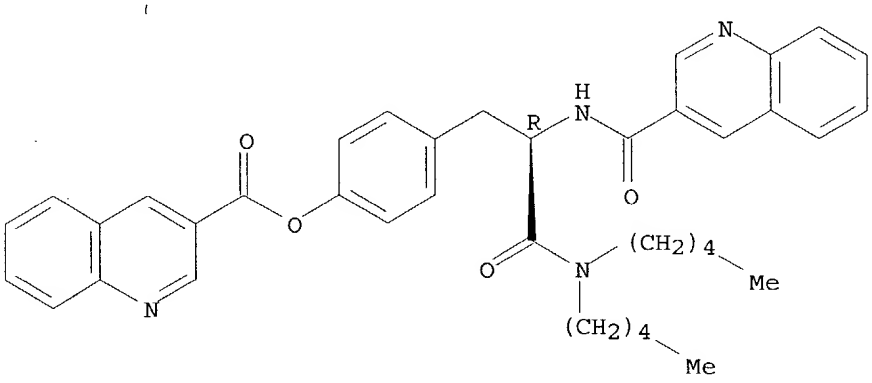
L36 ANSWER 12 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 12
ACCESSION NUMBER: 1995:538254 HCAPLUS
DOCUMENT NUMBER: 122:291527
TITLE: Preparation of amino acid amide cholecystokinin

antagonists.
INVENTOR(S): Kerwin, James F., Jr.; Holladay, Mark W.; Bennett, Michael J.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S., 42 pp. Cont.-in-part of U.S. Ser. No. 793,414, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5346907	A	19940913	US 1993-17565	19930216
JP 03503650	T2	19910815	JP 1989-505008	19890404
EP 442878	A1	19910828	EP 1989-905266	19890404
R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
PRIORITY APPLN. INFO.:			US 1988-177715	19880405
			US 1989-582896	19890404
			US 1989-376778	19890707
			US 1990-793414	19900626
			WO 1989-US1412	19890404

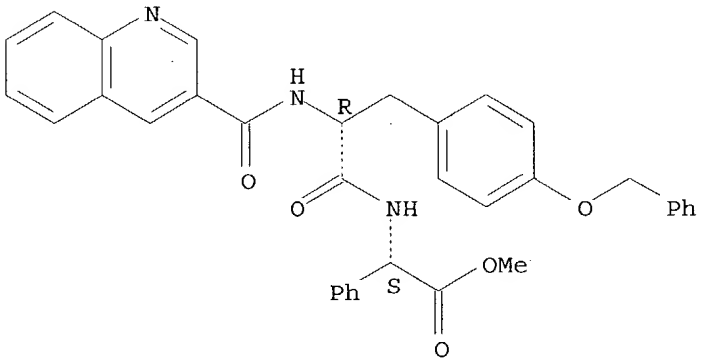
OTHER SOURCE(S): MARPAT 122:291527
AB ABCONR1CDR2CONR3R4 [A = (substituted) heteroaryl; B = null, O, S, (substituted) ethylene; R1 = H, alkyl; R2 = H, aralkyl, alkyl, cycloalkyl, alkenyl; R2D = (O -interrupted) alkylene; D = H, alkyl, alkenyl, cycloalkyl, (substituted) aryl, heterocyclyl, heterocyclylalkyl, etc.; R3 = H, alkyl, alkoxyalkyl, alkenyl, cycloalkyl, aralkyl, alkoxycarbonylalkyl; R3D = alkylaminocarbonyl, etc.; R4 = alkyl, alkoxyalkyl, alkenyl, aryl, aralkyl, cycoalkyl, cyanoalkyl, alkoxycarbonylalkyl, etc.; NR3R4 = (substituted) heterocyclyl; with provisos], were prepared Thus, BOC-(R)-Val-OH was treated with BOP-Cl, Et3N, and dipentylamine in CH2Cl2 at 0° to give 79% amide, which was deprotected with HCl in dioxane to give 100% (R)-valine dipentylamide hydrochloride. This was treated with EDCI, hydroxybenzotriazole, and quinoline-3-carboxylic acid in CH2Cl2 to give 54% N-(3'-quinolinylcarbonyl)-(R)-valine dipentylamide. This inhibited [125I]-BH-CCK8 binding to pancreatic and cortical membrane prepns. with IC50 = 40 nM and 17,000 nM, resp., and inhibited CCK8-induced amylase release with IC50 = 290 nM.
ED Entered STN: 10 May 1995
IT **135496-55-8P 135496-64-9P 135520-35-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid amide cholecystokinin antagonists)
RN 135496-55-8 HCAPLUS
CN 3-Quinolinecarboxylic acid, 4-[3-(dipentylamino)-3-oxo-2-[(3-quinolinylcarbonyl)amino]propyl]phenyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



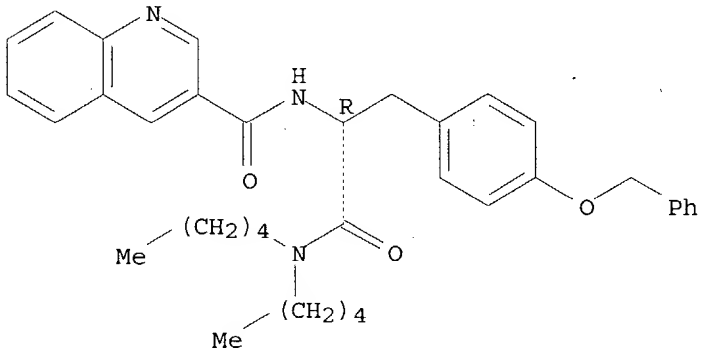
RN 135496-64-9 HCAPLUS
CN Glycine, L-2-phenyl-N-[O-(phenylmethyl)-N-(3-quinolinylcarbonyl)-D-tyrosyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 135520-35-3 HCAPLUS
CN 3-Quinolinecarboxamide, N-[2-(dipentylamino)-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L36 ANSWER 13 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 13

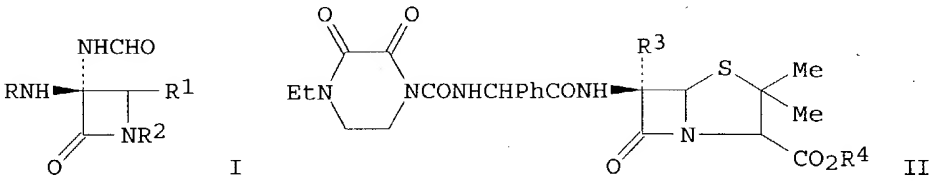
ACCESSION NUMBER: 1983:505050 HCAPLUS
DOCUMENT NUMBER: 99:105050
TITLE: β-Lactam antibacterial agents
INVENTOR(S): Milner, Peter Henry
PATENT ASSIGNEE(S): Beecham Group PLC, UK
SOURCE: Eur. Pat. Appl., 282 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 71395	A1	19830209	EP 1982-303821	19820721
EP 71395	B1	19880810		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
GB 2107307	A1	19830427	GB 1982-21059	19820721
GB 2107307	B2	19860226		
AT 36334	E	19880815	AT 1982-303821	19820721
NO 8202538	A	19830126	NO 1982-2538	19820723
NO 162192	B	19890814		
NO 162192	C	19891122		
FI 8202606	A	19830126	FI 1982-2606	19820723
FI 78702	B	19890531		
FI 78702	C	19890911		
DK 8203309	A	19830126	DK 1982-3309	19820723
ZA 8205296	A	19830525	ZA 1982-5296	19820723
HU 27347	O	19831028	HU 1982-2381	19820723
HU 188983	B	19860528		
ES 514308	A1	19831201	ES 1982-514308	19820723
AU 8286351	A1	19841018	AU 1982-86351	19820723
AU 568062	B2	19871217		
US 4539149	A	19850903	US 1982-401266	19820723
CA 1216576	A1	19870113	CA 1982-407903	19820723
PL 145252	B1	19880831	PL 1982-237640	19820723
PL 146092	B1	19881231	PL 1982-261915	19820723
PL 146182	B1	19890131	PL 1982-248815	19820723
JP 58038288	A2	19830305	JP 1982-128353	19820724
IL 67222	A1	19860429	IL 1982-67222	19821110
ES 520953	A1	19840516	ES 1983-520953	19830324
US 4609652	A	19860902	US 1985-694592	19850124
US 4877783	A	19891031	US 1985-694622	19850124
GB 2161803	A1	19860122	GB 1985-14519	19850607
GB 2161803	B2	19860723		

PRIORITY APPLN. INFO.:

GB 1981-23033	19810725
GB 1981-23034	19810725
GB 1981-36823	19811207
GB 1981-36824	19811207
GB 1982-7966	19820318
GB 1982-9953	19820403
GB 1982-9954	19820403
GB 1982-15007	19820522
EP 1982-303821	19820721
GB 1982-21059	19820721
US 1982-401266	19820723

OTHER SOURCE(S): CASREACT 99:105050
GI



I II

AB β-Lactams I (R = H, acyl; R1R2 = atoms required to complete a penam, cephem, or oxadithiacephem system) were prepared Thus II (R3 = SMe, R4 = CH2Ph) was treated with NH3 to give II (R3 = NH2, R4 = CH2Ph) which was formylated with HCO2Ac to give II (R3 = NHCHO, R4 = CH2Ph). Hydrogenolysis of the ester group and treatment with BuCHEtCO2Na gave II (R3 = NHCHO, R4 = Na) which had a min. inhibitory concentration against Proteus mirabilis 889 of 0.2 μg/mL.

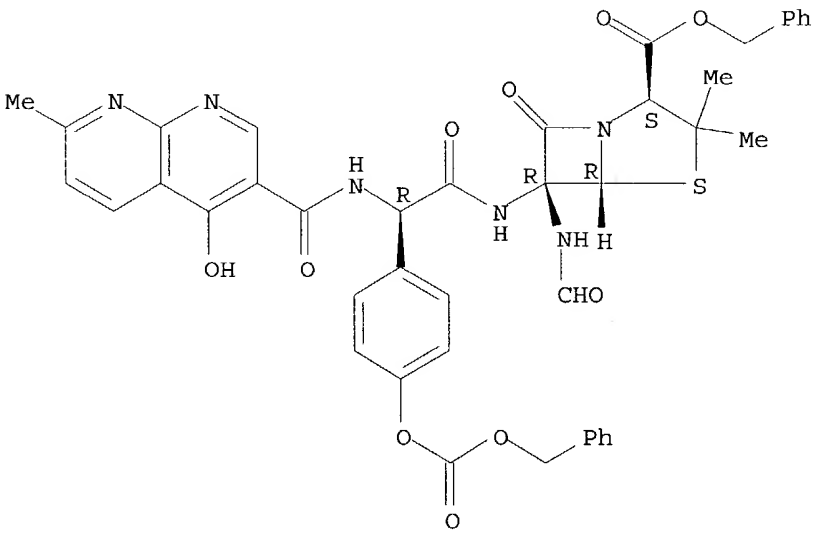
ED Entered STN: 12 May 1984

IT **86070-33-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)

RN 86070-33-9 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-(formylamino)-6-[[[[(4-hydroxy-7-methyl-1,8-naphthyridin-3-yl)carbonyl]amino][4-[[(phenylmethoxy)carbonyl]oxy]phenyl]acetyl]amino]-3,3-dimethyl-7-oxo-, phenylmethyl ester, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L36 ANSWER 14 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 14
ACCESSION NUMBER: 1974:505493 HCAPLUS
DOCUMENT NUMBER: 81:105493
TITLE: Penicillin derivatives and their salts
INVENTOR(S): Tobiki, Hisao; Yamada, Hirotada; Nakatsuka, Iwao; Okano, Shigeru; Nakagome, Takenari; Shimago, Kozo; Komatsu, Toshiaki; Izawa, Akio; Noguchi, Hiroshi; Eda,

Yasuko
SOURCE: Ger. Offen., 45 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2362279	A1	19740620	DE 1973-2362279	19731214
DE 2362279	C2	19820401		
JP 49082683	A2	19740808	JP 1972-126634	19721215
JP 54017754	B4	19790702		
ZA 7309278	A	19741030	ZA 1973-9278	19731206
AU 7363341	A1	19750612	AU 1973-63341	19731206
US 3954733	A	19760504	US 1973-424271	19731213
SE 411349	B	19791217	SE 1973-16852	19731213
SE 411349	C	19800410		
BE 808681	A1	19740614	BE 1973-138909	19731214
FR 2210385	A1	19740712	FR 1973-44852	19731214
HU 167854	P	19751225	HU 1973-SU849	19731214
GB 1446484	A	19760818	GB 1973-58028	19731214
DK 138602	C	19790305	DK 1973-6821	19731214
DK 138602	B	19781002		
NO 144743	B	19810720	NO 1973-4776	19731214
NO 144743	C	19811028		
DD 109876	C	19741120	DD 1973-175364	19731215
CH 596217	A	19780315	CH 1973-17602	19731215
NL 7317287	A	19740618	NL 1973-17287	19731217
US 4003887	A	19770118	US 1975-609982	19750903
PRIORITY APPLN. INFO.:			JP 1972-126634	19721215
			US 1973-424271	19731213

GI For diagram(s), see printed CA Issue.

AB Penicillins I (R = substituted 1,5-naphthyridin-3-yl, 3-quinolyl, 1,8-naphthyridin-3-yl, cinnolin-3-yl, pyrido[2,3-d]pyrimidin-6-yl, 1,6-naphthyridin-3-yl, pyrido[3,2-d]pyrimidin-7-yl, pyrido[2,3-b]pyrazin-7-yl, 1H-pyrazolo[4,3-b]-pyridin-6-yl, thiazololo[5,4-b]pyridin-5-yl; R1 = substituted phenyl; R2 = H, Na, K, NHEt3) (49 compds.) were prepared by acylating the α-aminobenzylpenicillins by the mixed anhydride or dicyclohexylcarbodiimide methods. Thus, Na D-α-amino-p-hydroxybenzylpenicillin was converted to its trimethylsilyl esters and treated with 4-hydroxy-1,5-naphthyridine-3-carbonyl chloride to give I (R = 4-hydroxy-1,5-naphthyridine-3-carbonyl, R1 = p-HOC6H4, R2 = H). I had min. inhibitory concns. against Klebsiella pneumoniae 0.78-25 and Pseudomonas aeruginosa 1.56-12.5 γ/ml, compared with amoxycillin >200 γ/ml.

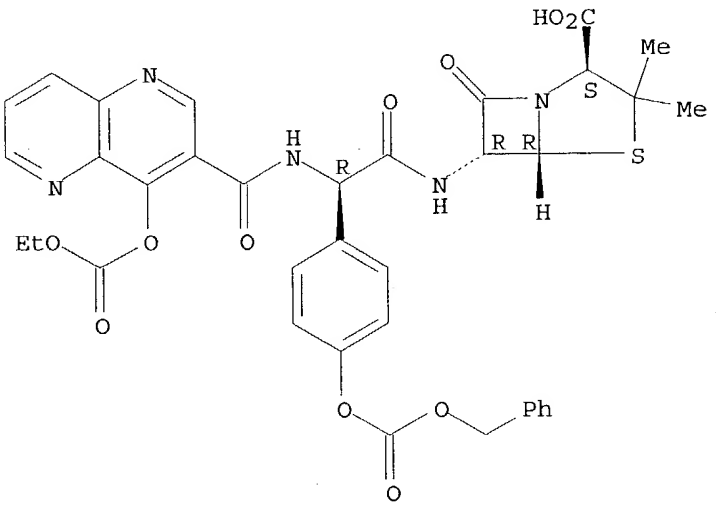
ED Entered STN: 12 May 1984

IT **53511-76-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

RN 53511-76-5 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[4-[(ethoxycarbonyl)oxy]-1,5-naphthyridin-3-yl]carbonyl]amino][4-[[(phenylmethoxy)carbonyl]oxy]phenyl]acetyl]amino]-3,3-dimethyl-7-oxo-, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L36 ANSWER 15 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:143094 HCAPLUS
DOCUMENT NUMBER: 140:199743
TITLE: Preparation of substituted (2S)-(arylamino)-3-(biphenyl-4-yl)propionic acids as antagonists of factor IX for inhibiting the intrinsic pathway of blood coagulation
INVENTOR(S): Mjalli, Adnan M. M.; Andrews, Robert C.; Guo, Xiao-chuan; Christen, Daniel Peter; Gohimmukkula, Devi Reddy; Huang, Guoxiang; Rothlein, Robert; Tyagi, Sameer; Yaramasu, Tripura; Behme, Christopher
PATENT ASSIGNEE(S): Transtech Pharma, Inc., USA
SOURCE: PCT Int. Appl., 326 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014844	A2	20040219	WO 2003-US25045	20030808
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004110832	A1	20040610	US 2003-637900	20030808
PRIORITY APPLN. INFO.:			US 2002-402272P	P 20020809
OTHER SOURCE(S):		MARPAT 140:199743		

AB The title compds. Ar2XCH(VAr1)(CH2)cG [I; c = 0-2; G = H, CO2R1, CH2OR1, COR1, CR1:NOR2, an acid isostere (wherein R1, R2 = H, alkyl, aryl, etc.);

V = (CH2)bO(CH2)a, (CH2)bNR7(CH2)a, (CH2)bO, (CH2)bNR7, (CH2)a, a bond (a = 0-2; b = 1-2; R7 = H, alkyl, aryl, etc.); X = NR8, COR8, NR8CO, etc. (R8 = H, alkyl, aryl, etc.); Ar1 = (un)substituted aryl, heteroaryl, cycloalkylaryl, etc.; Ar2 = (un)substituted aryl or heteroaryl], useful as antagonists, or more preferably, partial antagonists of factor IX and thus, may be used to inhibit the intrinsic pathway of blood coagulation, were prepared Thus, reacting Me 2-L-amino-3-biphenyl-4-yl-propionate with isoquinoline-3-carboxylic acid followed by hydrolysis afforded 81% 3-biphenyl-4-yl-(2S)-[(isoquinoline-3-carbonyl)amino]propionic acid. The compds. I inhibit factor IX with IC50 of less than 30 μM, and are useful in a variety of applications including the management, treatment and/or control of diseases caused in part by the intrinsic clotting pathway utilizing factor IX. Such diseases or disease states include stroke, myocardial infarction, aneurysm surgery, and deep vein thrombosis associated with surgical procedures, long periods of confinement, and acquired or inherited pro-coagulant states. The pharmaceutical composition comprising the compound I is claimed.

ED Entered STN: 22 Feb 2004

IT **660823-98-3P**

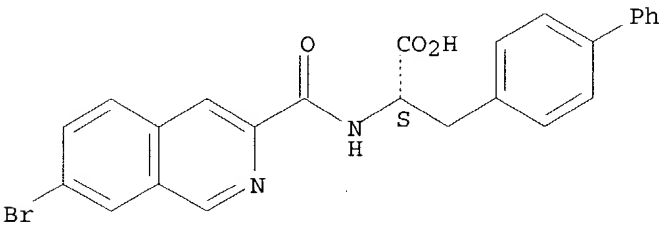
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of substituted (2S)-(arylamino)-3-(biphenyl-4-yl)propionic acids as antagonists of factor IX for inhibiting the intrinsic pathway of blood coagulation)

RN 660823-98-3 HCAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, α-[[(7-bromo-3-isoquinolinyl)carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **660823-88-1P 660823-89-2P 660823-90-5P**
660823-91-6P 660823-92-7P 660823-93-8P
660823-94-9P 660823-95-0P 660823-96-1P
660823-97-2P 660823-99-4P 660824-00-0P
660824-04-4P 660824-05-5P 660825-26-3P

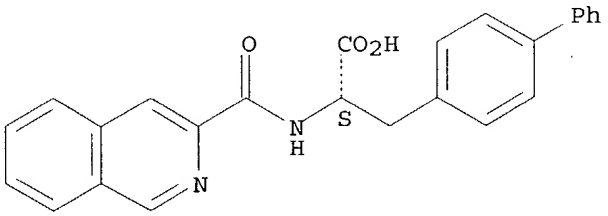
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted (2S)-(arylamino)-3-(biphenyl-4-yl)propionic acids as antagonists of factor IX for inhibiting the intrinsic pathway of blood coagulation)

RN 660823-88-1 HCAPLUS

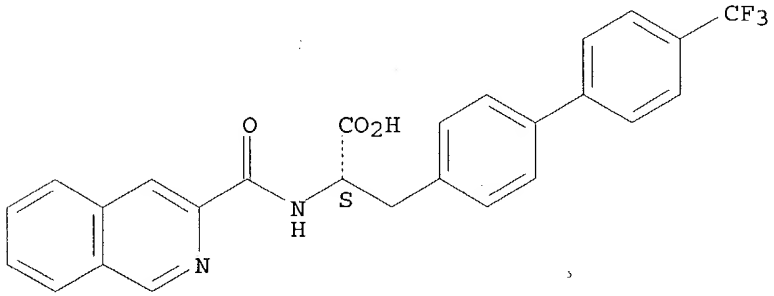
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(3-isoquinolinylcarbonyl)amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



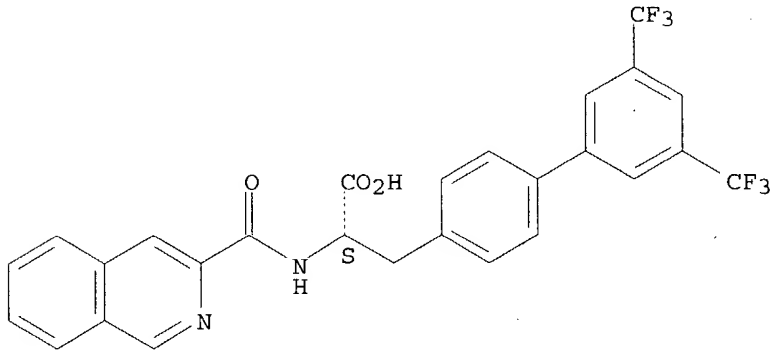
RN 660823-89-2 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(3-isoquinolinylcarbonyl)amino]-4'-(trifluoromethyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



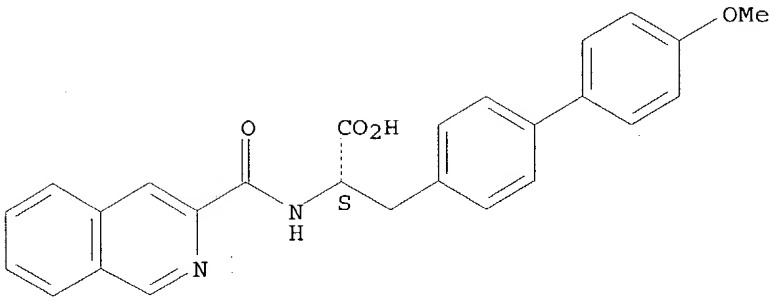
RN 660823-90-5 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(3-isoquinolinylcarbonyl)amino]-3',5'-bis(trifluoromethyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



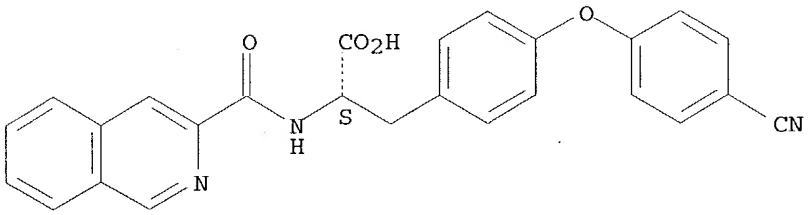
RN 660823-91-6 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(3-isoquinolinylcarbonyl)amino]-4'-methoxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



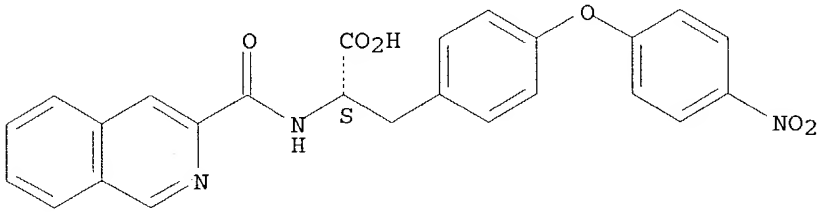
RN 660823-92-7 HCAPLUS
CN L-Tyrosine, O-(4-cyanophenyl)-N-(3-isoquinolinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



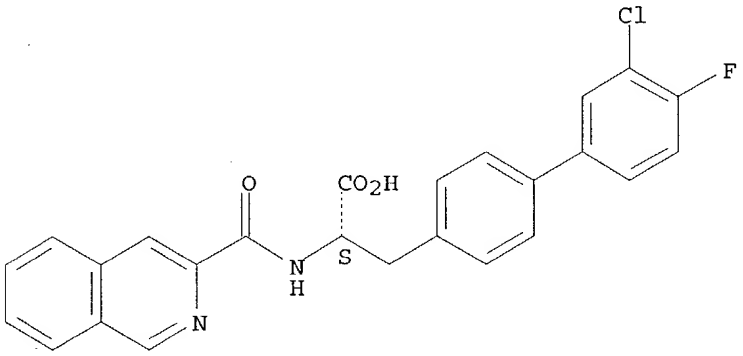
RN 660823-93-8 HCAPLUS
CN L-Tyrosine, N-(3-isoquinolinylcarbonyl)-O-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



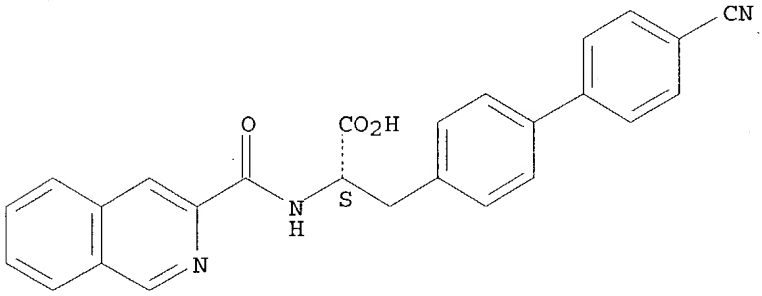
RN 660823-94-9 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 3'-chloro-4'-fluoro-α-[(3-isoquinolinylcarbonyl)amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



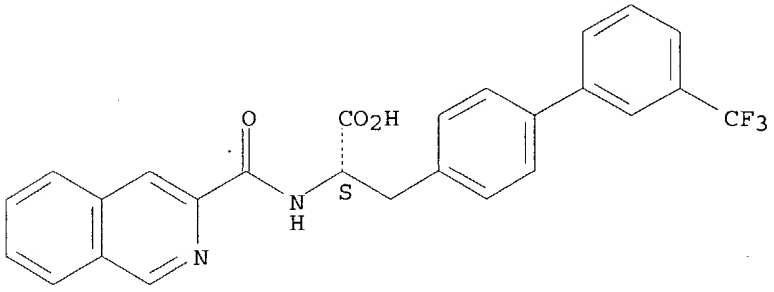
RN 660823-95-0 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 4'-cyano-α-[(3-isoquinolinylcarbonyl)amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



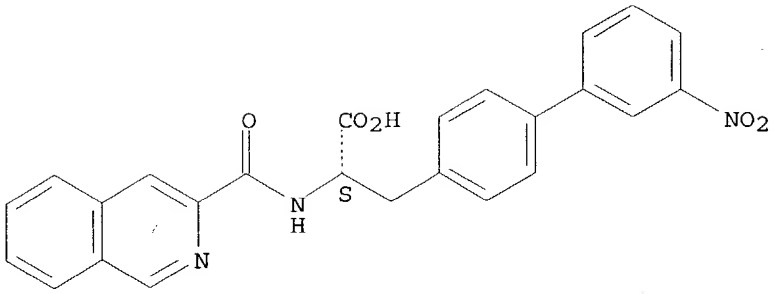
RN 660823-96-1 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(3-isoquinolinylcarbonyl)amino]-3'-(trifluoromethyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



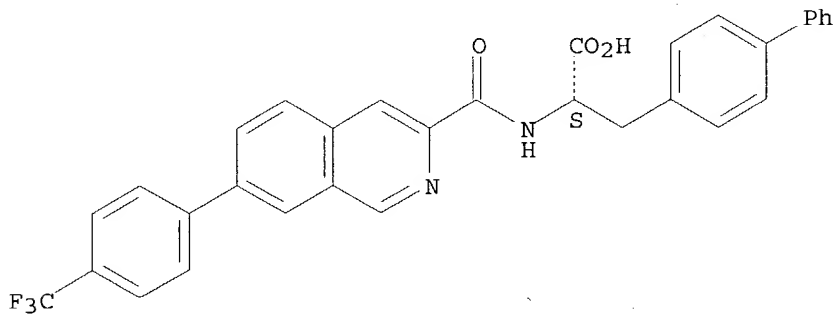
RN 660823-97-2 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(3-isoquinolinylcarbonyl)amino]-3'-nitro-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



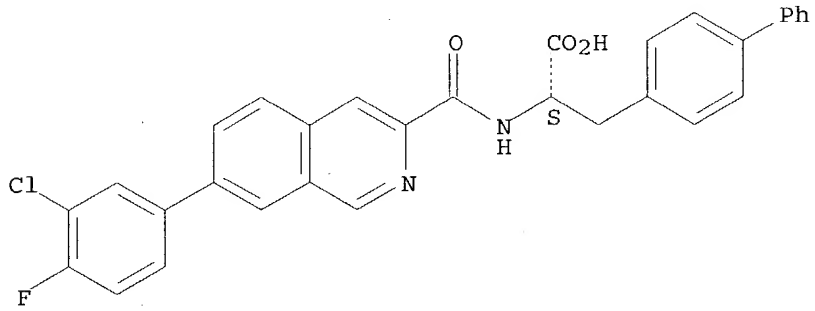
RN 660823-99-4 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[[7-[4-(trifluoromethyl)phenyl]-3-isoquinolinyl]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



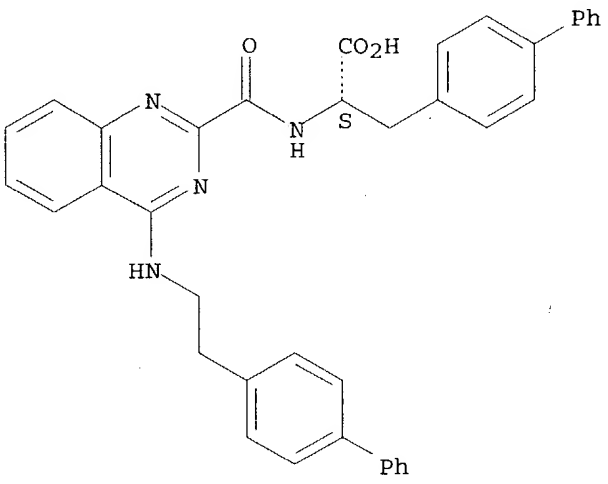
RN 660824-00-0 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[[7-(3-chloro-4-fluorophenyl)-3-isoquinolinyl]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



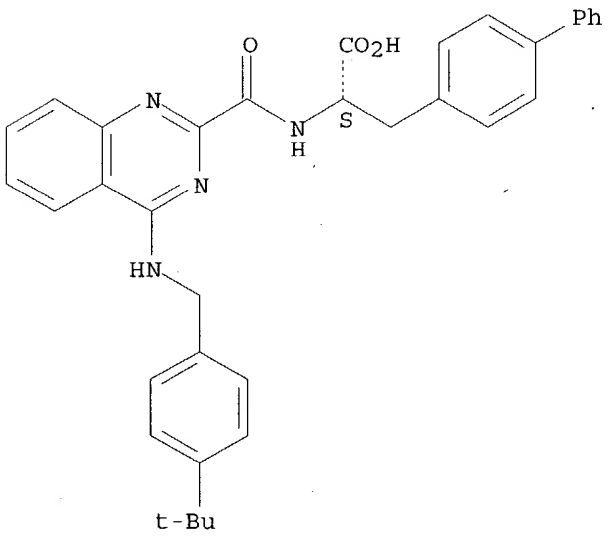
RN 660824-04-4 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[[4-[(2-[1,1'-biphenyl]-4-ylethyl)amino]-2-quinazolinyl]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



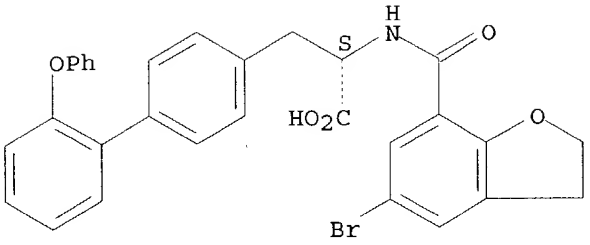
RN 660824-05-5 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[[4-[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]-2-quinazolinyl]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 660825-26-3 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[(5-bromo-2,3-dihydro-7-benzofuranyl)carbonyl]amino]-2'-phenoxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

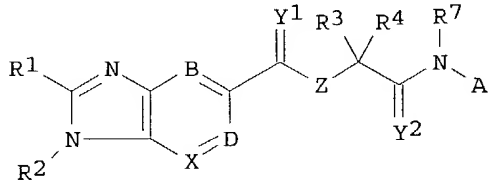


L36 ANSWER 16 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:76609 HCAPLUS
DOCUMENT NUMBER: 138:153533
TITLE: Preparation of benzimidazoles as viral polymerase inhibitors
INVENTOR(S): Beaulieu, Pierre Louis; Fazal, Gulrez; Goulet, Sylvie; Kukolj, George; Poirier, Martin; Tsantrizos, Youla S.
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 166 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003007945	A1	20030130	WO 2002-CA1129	20020718
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003236251	A1	20031225	US 2002-198259	20020718
EP 1411928	A1	20040428	EP 2002-750716	20020718
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.:		
US 2001-306669P	P	20010720
US 2001-338324P	P	20011207
WO 2002-CA1129	W	20020718

OTHER SOURCE(S): MARPAT 138:153533
GI



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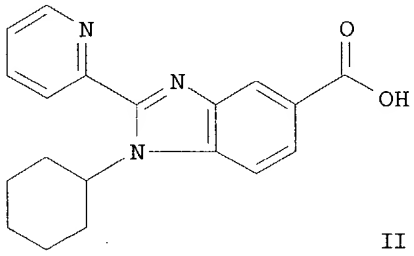


II

AB Title compds. I [R1 = alkoxy, sulfanyl, carboxy, sulfonamido, amino, carboxamido, etc.; R2 = alkyl, haloalkyl, cycloalkyl, cycloalkenyl, etc.; B, D, X = N, CR5; R5 = H, halo, alkyl, etc.; Z = N, O, NR6; R6 = H, alkyl, cycloalkyl, etc.; R3-4 = H, alkyl, haloalkyl, cycloalkyl, etc.; Y1-2 = O, S; R7 = H, alkyl, cycloalkyl, etc.] are prepared For instance, Et 4-chloro-3-nitrobenzoate (preparation given) is treated with cyclohexylamine (DMSO, 60°, 5 h) and reduced to the corresponding aniline (MeOH, H2-Pd(OH)2/C). This intermediate is treated with 2-pyridinecarboxaldehyde (DMF, oxone) and the resulting adduct saponified (NaOH, HOAc) to give II. Example compds. have IC50 in the hepatitis C RNA-dependent polymerase assay of less than 25 μM.

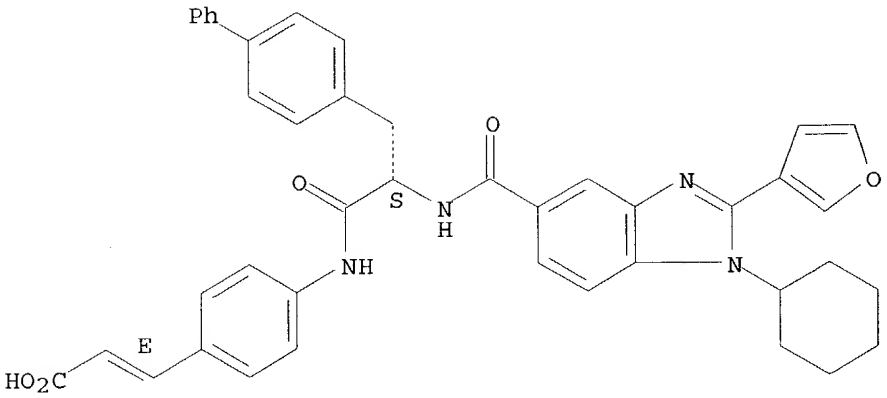
ED Entered STN: 31 Jan 2003

IT **491582-65-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazoles as inhibitors of hepatitis C virus polymerase)

RN 491582-65-1 HCAPLUS

CN 2-Propenoic acid, 3-[4-[[(2S)-3-[1,1'-biphenyl]-4-yl-2-[[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]amino]-1-oxopropyl]amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Hoechst Ag	1978			DE 2641060 A	HCAPLUS
Japan Tobacco Inc	2001			WO 0147883 A	HCAPLUS
Japan Tobacco Inc	2001			EP 1162196 A	HCAPLUS
Kotovskaya, S	1989	23	952	KHIM -FARM ZH	HCAPLUS
Louis, B	2002			WO 0204425 A	HCAPLUS

L36 ANSWER 17 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:51438 HCAPLUS
DOCUMENT NUMBER: 136:118447
TITLE: Preparation of benzimidazolecarboxylates and related compounds as viral polymerase inhibitors
INVENTOR(S): Beaulieu, Pierre Louis; Fazal, Gulrez; Gillard, James; Kukolj, George; Austel, Volkhard
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 322 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004425	A2	20020117	WO 2001-CA989	20010704
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002065418	A1	20020530	US 2001-898297	20010703
US 6448281	B2	20020910		
EP 1301487	A2	20030416	EP 2001-951274	20010704
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004502761	T2	20040129	JP 2002-509292	20010704

US 6479508	B1	20021112	US 2001-995099		20011127
WO 2002070739	A2	20020912	WO 2002-CA323		20020306
WO 2002070739	A3	20030530			
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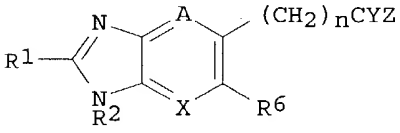
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP 1370682	A2	20031217	EP 2002-712681		20020306
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004520839	T2	20040715	JP 2002-570761		20020306
US 2003232816	A1	20031218	US 2002-238282		20020910
US 6794404	B2	20040921			
US 2004110126	A1	20040610	US 2004-471164		20040205
US 2004224955	A1	20041111	US 2004-851710		20040521
PRIORITY APPLN. INFO.:			US 2000-216084P	P	20000706
			US 2001-274374P	P	20010308
			US 2001-281343P	P	20010405
			US 2001-898297	A3	20010703
			WO 2001-CA989	W	20010704
			US 2001-995099	A3	20011127
			WO 2002-CA323	W	20020306
			US 2002-238282	A1	20020910

OTHER SOURCE(S): MARPAT 136:118447

GI



I

AB Title compds. [I; X = CH, N; Y = O, S; Z = OH, NH2, NMeR3, NHR3, OR3, 5-6 membered (substituted) heterocyclyl; A = N, COR7, CR5; R5 = H, halo, alkyl; R7 = H, alkyl; X and A are not both N; R6 = H, halo, alkyl, OR7; R7 = H , alkyl; R1 = (substituted) hetero(bi)cyclyl, Ph, phenylalkyl, alkenyl, phenylalkenyl, cycloalkyl, alkyl, CF3; R2 = (substituted) alkyl, cycloalkyl, cycloalkylalkyl, bicycloalkyl, adamantyl, Ph, pyridyl; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, alkenyl, cycloalkylalkenyl, arylalkenyl, dialkylamino, heterocyclyl, etc.; n = 0, 1], were prepared Thus, Me 3-amino-4-cyclohexylaminobenzoate (preparation given), 2-pyridinecarboxaldehyde, and Oxone were stirred in DMF to give 80% Et 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylate, which was saponified with aqueous NaOH in MeOH to give 91% 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylic acid. The latter inhibited hepatitis C virus RNA dependent polymerase (NS5B) with IC50 = 1-5 μM.

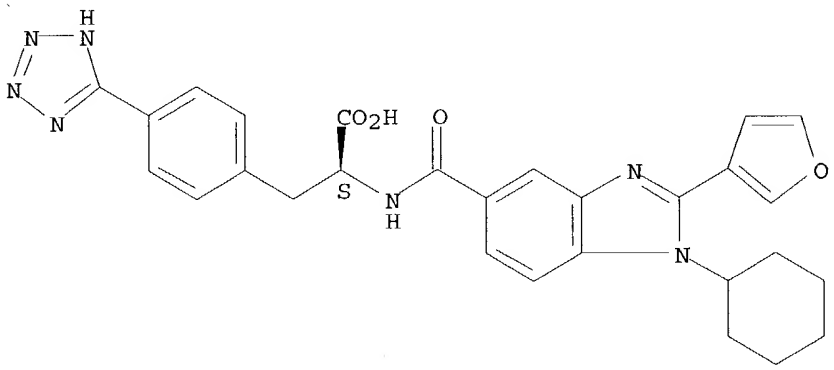
ED Entered STN: 18 Jan 2002

IT **390811-03-7P 390811-09-3P 390811-15-1P 390811-16-2P 390811-18-4P 390811-20-8P 390811-28-6P 390811-34-4P 390811-37-7P**

390811-38-8P 390811-50-4P 390811-69-5P
390811-70-8P 390812-00-7P 390812-40-5P
390812-41-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazolecarboxylates and related compds. as viral polymerase inhibitors)

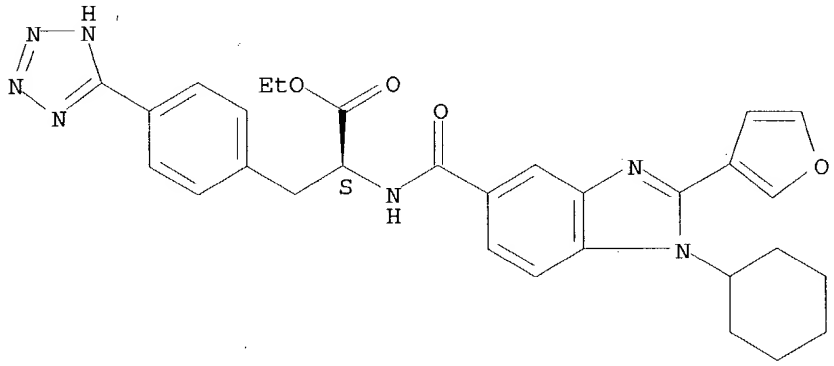
RN 390811-03-7 HCAPLUS
CN L-Phenylalanine, N-[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



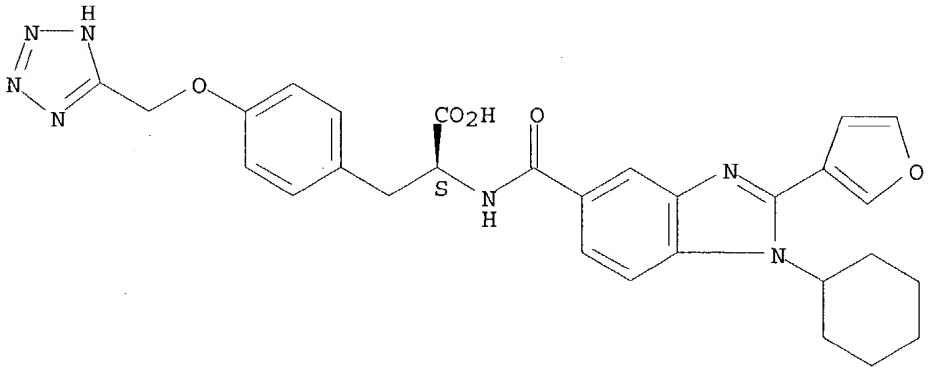
RN 390811-09-3 HCAPLUS
CN L-Phenylalanine, N-[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]-4-(1H-tetrazol-5-yl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



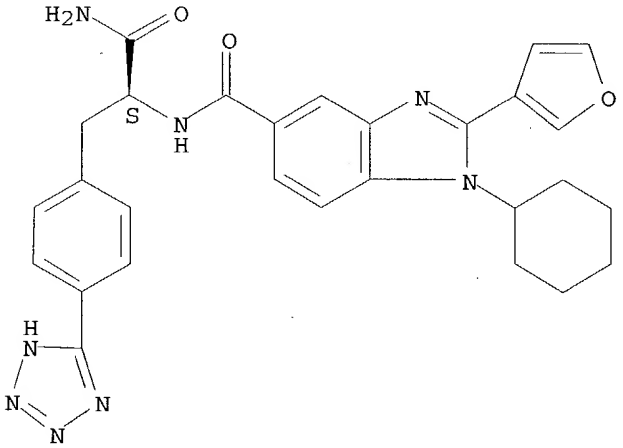
RN 390811-15-1 HCAPLUS
CN L-Tyrosine, N-[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]-O-(1H-tetrazol-5-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



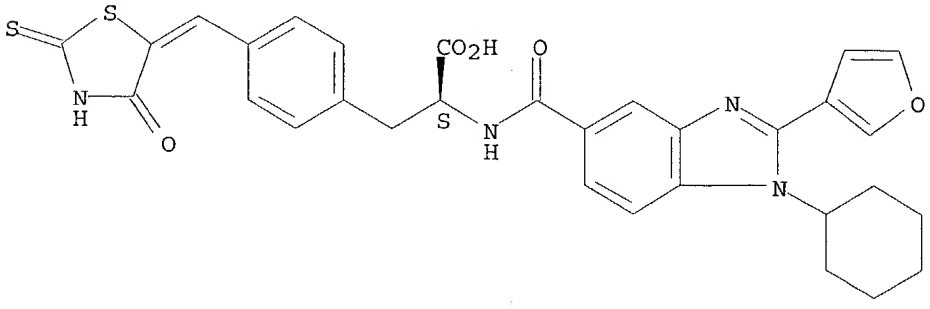
RN 390811-16-2 HCAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-[(1S)-2-amino-2-oxo-1-[[4-(1H-tetrazol-5-yl)phenyl]methyl]ethyl]-1-cyclohexyl-2-(3-furanyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



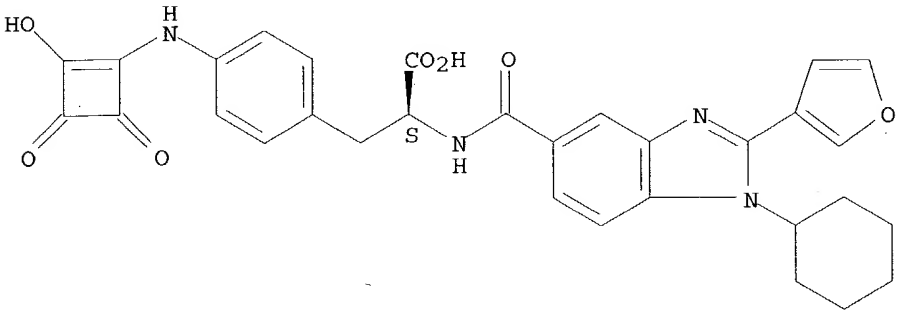
RN 390811-18-4 HCAPLUS
CN L-Phenylalanine, N-[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]-4-[(4-oxo-2-thioxo-5-thiazolidinylidene)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



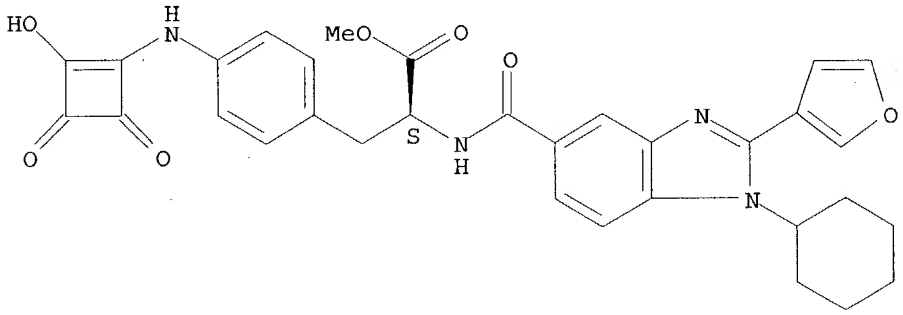
RN 390811-20-8 HCAPLUS
CN L-Phenylalanine, N-[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]-4-[(2-hydroxy-3,4-dioxo-1-cyclobuten-1-yl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



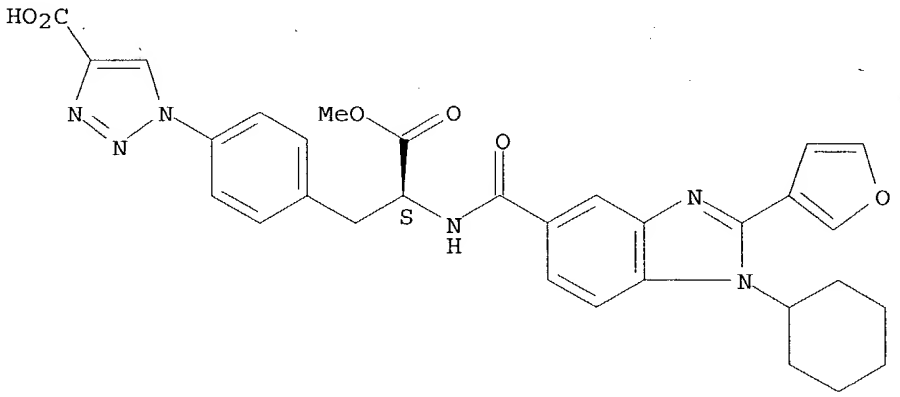
RN 390811-28-6 HCAPLUS
CN L-Phenylalanine, N-[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]-4-[(2-hydroxy-3,4-dioxo-1-cyclobuten-1-yl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



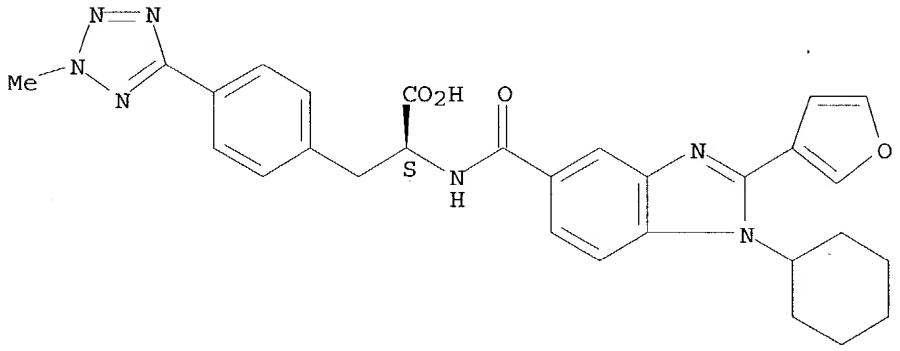
RN 390811-34-4 HCAPLUS
CN 1H-1,2,3-Triazole-4-carboxylic acid, 1-[4-[(2S)-2-[[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]amino]-3-methoxy-3-oxopropyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



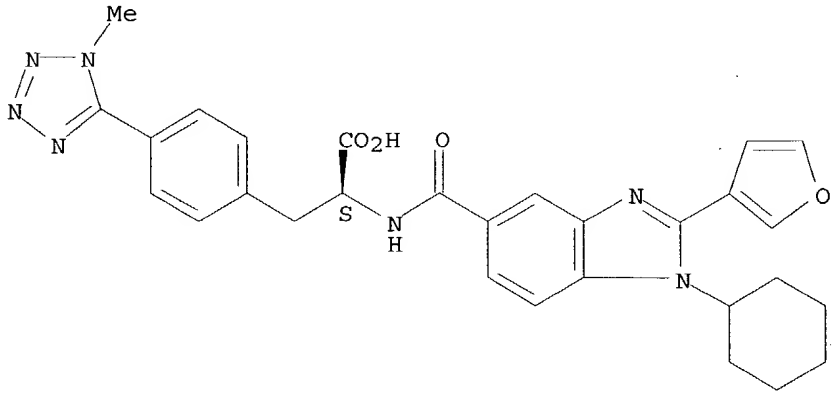
RN 390811-37-7 HCAPLUS
CN L-Phenylalanine, N-[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]-4-(2-methyl-2H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



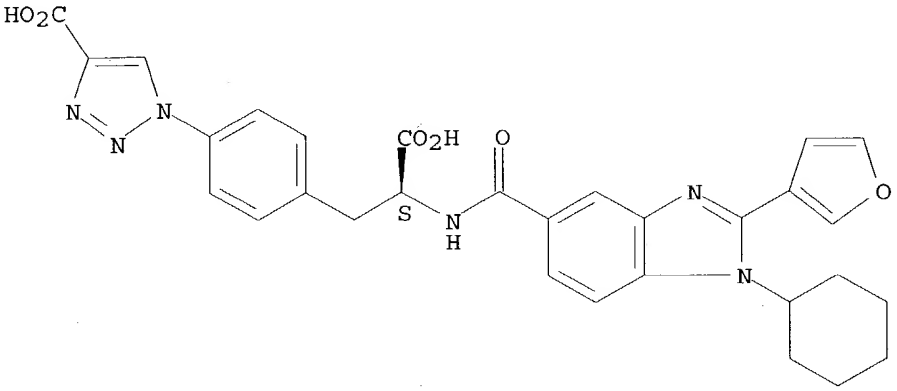
RN 390811-38-8 HCAPLUS
CN L-Phenylalanine, N-[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]-4-(1-methyl-1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



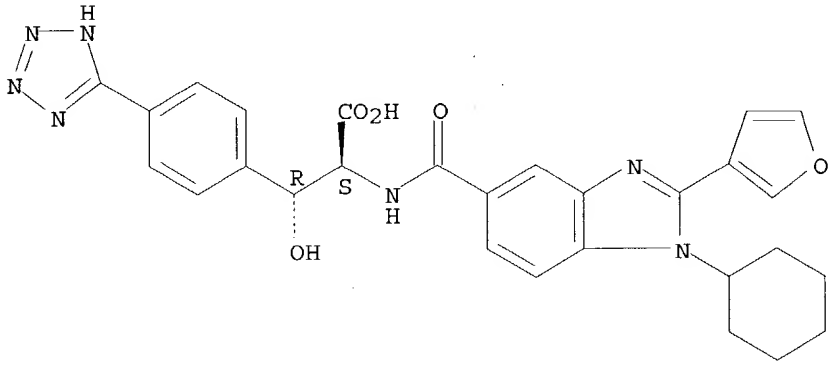
RN 390811-50-4 HCAPLUS
CN 1H-1,2,3-Triazole-4-carboxylic acid, 1-[4-[(2S)-2-carboxy-2-[[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



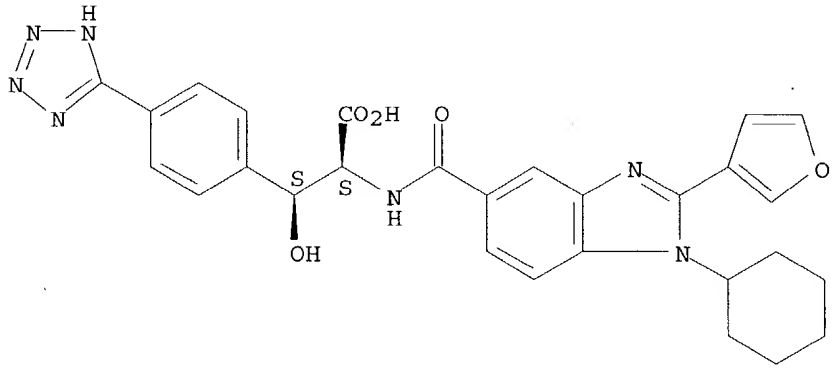
RN 390811-69-5 HCAPLUS
CN L-Phenylalanine, N-[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]-β-hydroxy-4-(1H-tetrazol-5-yl)-, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 390811-70-8 HCAPLUS
CN L-Phenylalanine, N-[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]-β-hydroxy-4-(1H-tetrazol-5-yl)-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

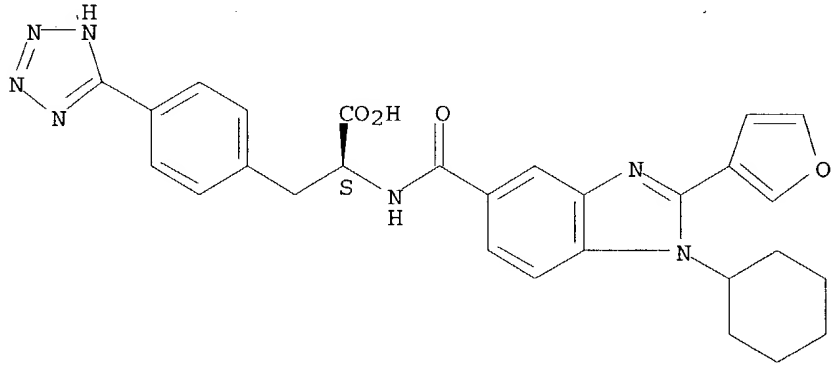


RN 390812-00-7 HCAPLUS
CN L-Phenylalanine, N-[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]-4-(1H-tetrazol-5-yl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

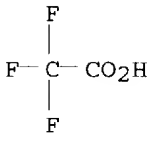
CRN 390811-03-7
CMF C28 H27 N7 O4

Absolute stereochemistry.



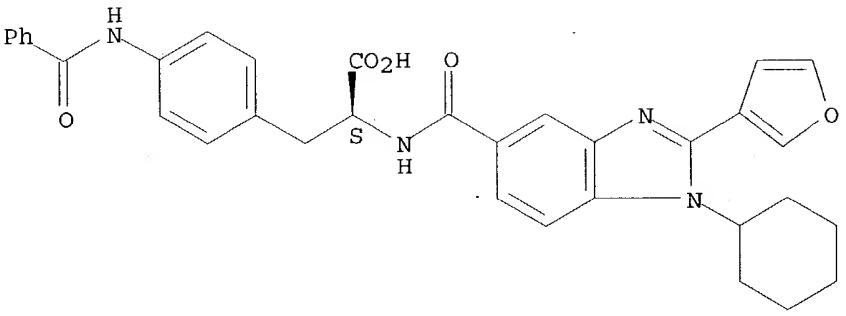
CM 2

CRN 76-05-1
CMF C2 H F3 O2



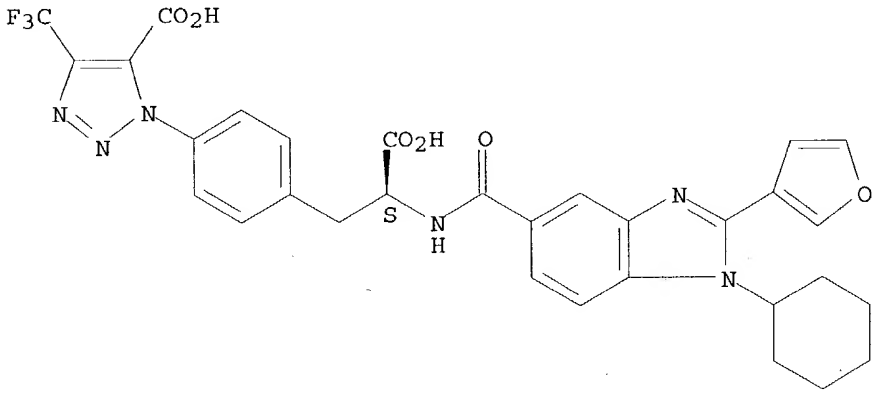
RN 390812-40-5 HCAPLUS
CN L-Phenylalanine, 4-(benzoylamino)-N-[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 390812-41-6 HCAPLUS
CN 1H-1,2,3-Triazole-5-carboxylic acid, 1-[4-[(2S)-2-carboxy-2-[[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]amino]ethyl]phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L36 ANSWER 18 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:965131 HCAPLUS
DOCUMENT NUMBER: 138:24961
TITLE: Preparation of N-arylsulfonyl aryl aza-bicyclic derivatives as potent cell adhesion inhibitors
INVENTOR(S): Lin, Linus S.; Shah, Shrenik K.; Chang, Linda L.; Hagmann, William K.; Mumford, Richard A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 19 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2002193399	A1	20021219	US 2002-97028		20020313
US 6559174	B2	20030506			
PRIORITY APPLN. INFO.:			US 2001-277235P	P	20010320

OTHER SOURCE(S): MARPAT 138:24961
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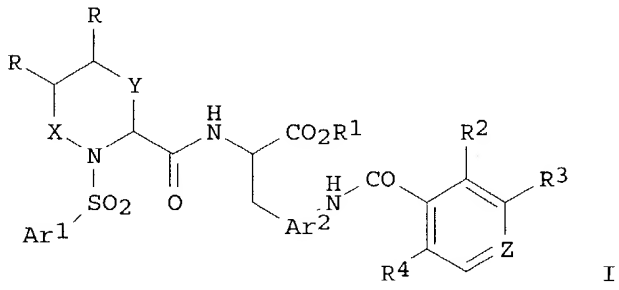


I

AB Compds. I [R2 is an (un)substituted (hetero)aryl ring; R1 = H, alkyl, arylalkyl; R2, R4 = halo, alkyl, alkoxy; R3 = H, OH, MeO, NH2; Z = N or N:O; Ar1 = (un)substituted Ph, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, or triazinyl; Ar2 = 1,4-phenylene or 2,5-pyridylene; X, Y = (CH2)0-2] or their pharmaceutically-acceptable salts were prepared as antagonists of VLA-4 and/or α4/β7 and as such are useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. Thus, N-[N-(4-methylbenzenesulfonyl)-1,3-dihydro-2H-isoindole-1-carbonyl]-4-[(3',5'-dichloroisonicotinoyl)amino]-L-phenylalanine was prepared by coupling of N-(4-methylbenzenesulfonyl)-1,3-dihydro-2H-isoindole-1-carboxylic acid with 4-[(3',5'-dichloroisonicotinoyl)amino]-L-phenylalanine tert-Bu ester (syntheses given), followed by ester cleavage using TFA.

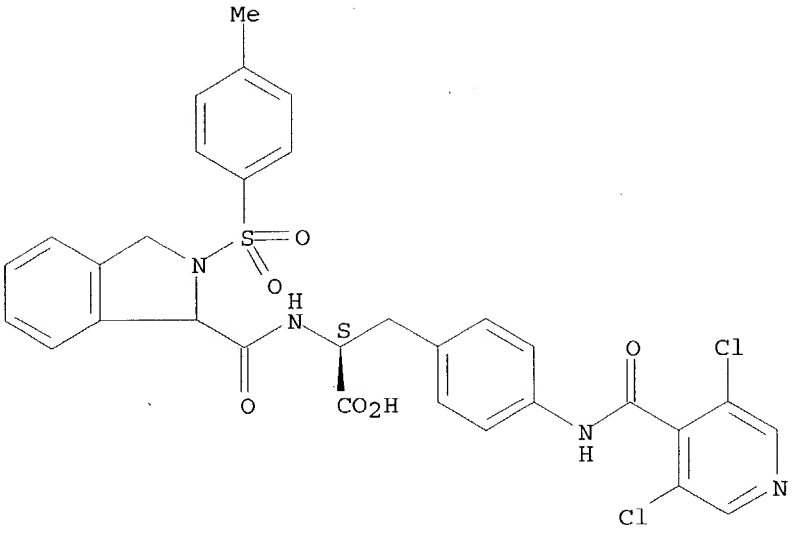
ED Entered STN: 20 Dec 2002

IT **478170-91-1P 478170-92-2P 478170-93-3P**
478170-94-4P 478170-95-5P 478170-96-6P
478171-00-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-arylsulfonyl heteroaroyl amino acid derivs. as cell adhesion inhibitors)

RN 478170-91-1 HCAPLUS

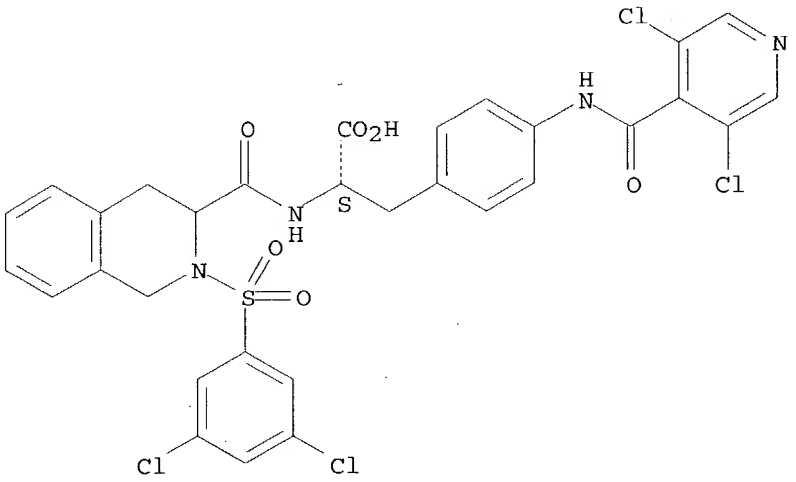
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[[2,3-dihydro-2-[(4-methylphenyl)sulfonyl]-1H-isoindol-1-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



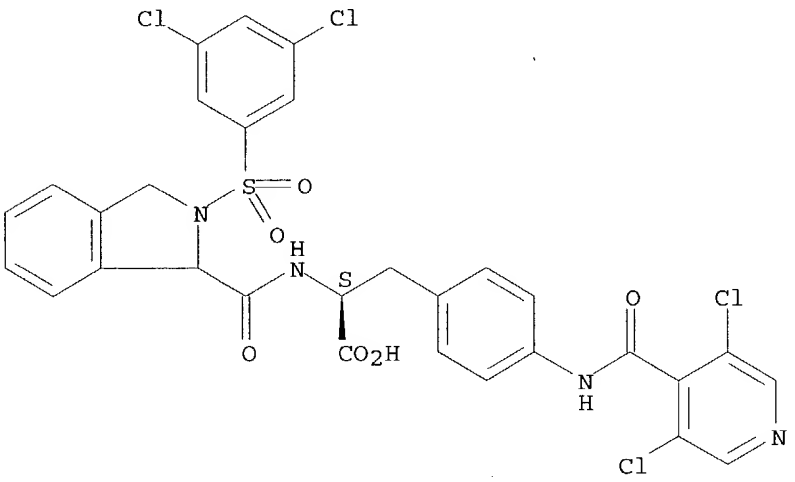
RN 478170-92-2 HCAPLUS
CN L-Phenylalanine, N-[[2-[(3,5-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-3-isoquinolinyl]carbonyl]-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



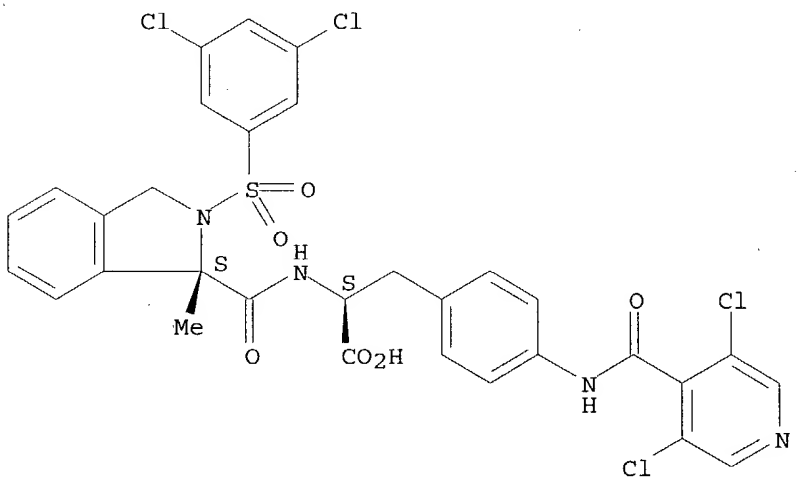
RN 478170-93-3 HCAPLUS
CN L-Phenylalanine, N-[[2-[(3,5-dichlorophenyl)sulfonyl]-2,3-dihydro-1H-isoindol-1-yl]carbonyl]-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



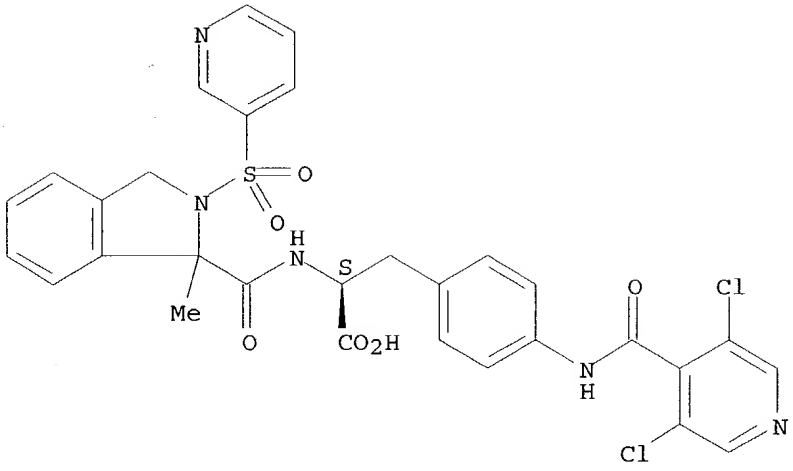
RN 478170-94-4 HCAPLUS
CN L-Phenylalanine, N-[[(1S)-2-[(3,5-dichlorophenyl)sulfonyl]-2,3-dihydro-1-methyl-1H-isoindol-1-yl]carbonyl]-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



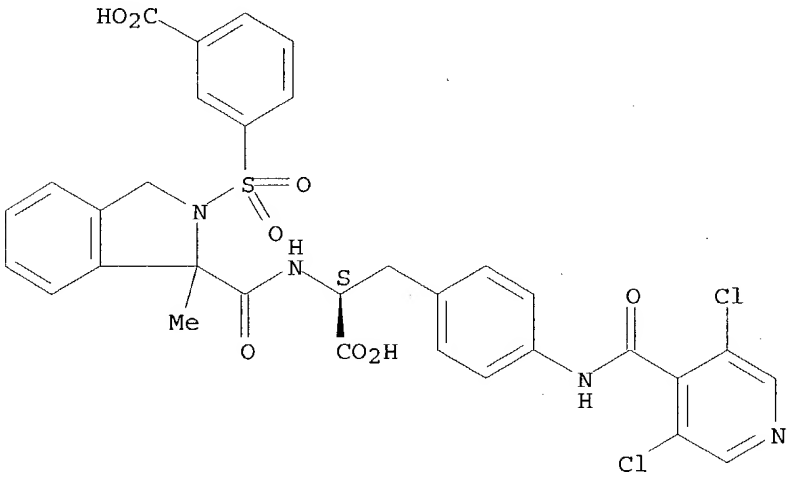
RN 478170-95-5 HCAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[[2,3-dihydro-1-methyl-2-(3-pyridinylsulfonyl)-1H-isoindol-1-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



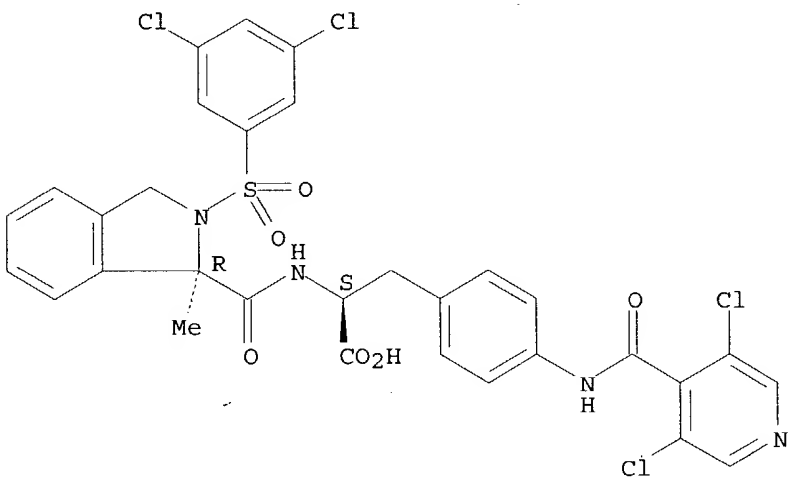
RN 478170-96-6 HCAPLUS
CN L-Phenylalanine, N-[[2-[(3-carboxyphenyl)sulfonyl]-2,3-dihydro-1-methyl-1H-isoindol-1-yl]carbonyl]-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478171-00-5 HCAPLUS
CN L-Phenylalanine, N-[[(1R)-2-[(3,5-dichlorophenyl)sulfonyl]-2,3-dihydro-1-methyl-1H-isoindol-1-yl]carbonyl]-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

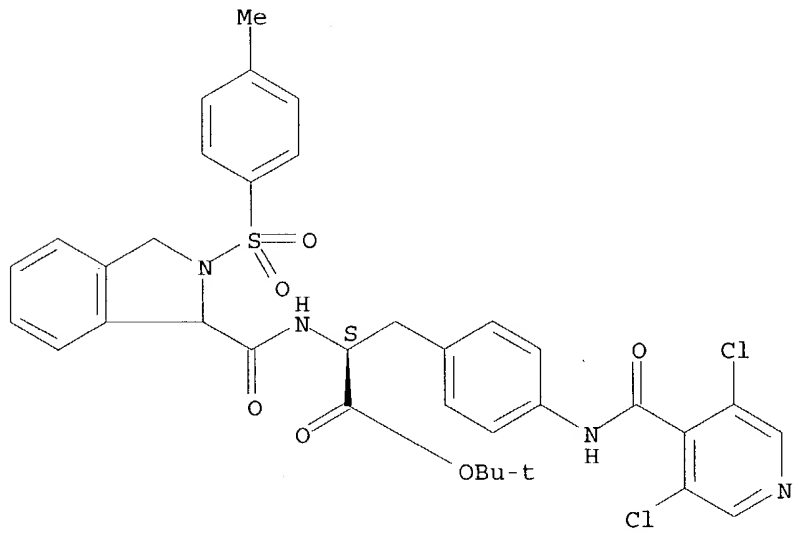


IT **478171-04-9P 478171-07-2P 478171-09-4P**
478171-14-1P 478171-15-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-arylsulfonyl heteroaroyl amino acid derivs. as cell adhesion inhibitors)

RN 478171-04-9 HCAPLUS

CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[[2,3-dihydro-2-[(4-methylphenyl)sulfonyl]-1H-isoindol-1-yl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

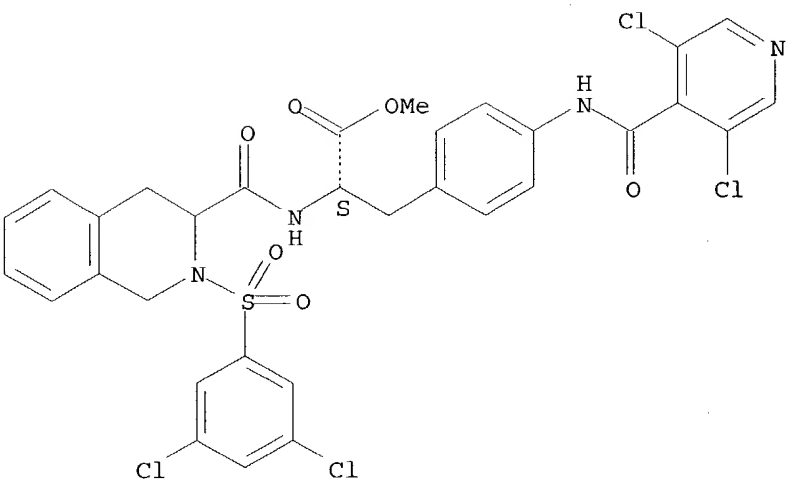
Absolute stereochemistry.



RN 478171-07-2 HCAPLUS

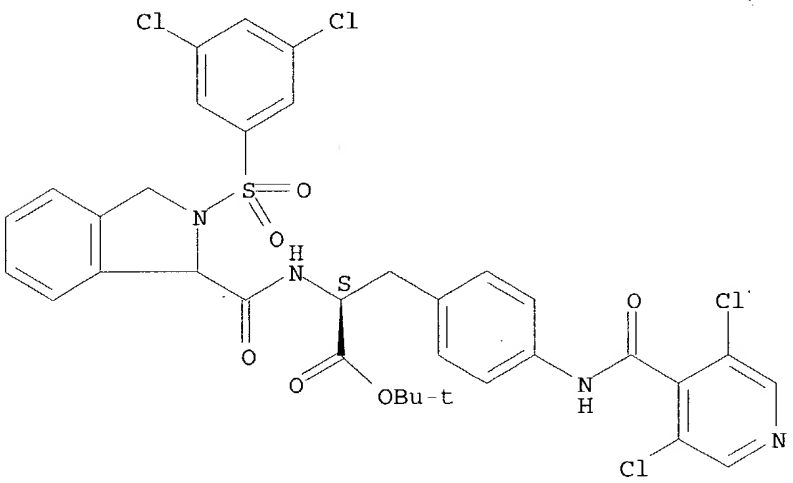
CN L-Phenylalanine, N-[[2-[(3,5-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-3-isoquinolinyl]carbonyl]-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



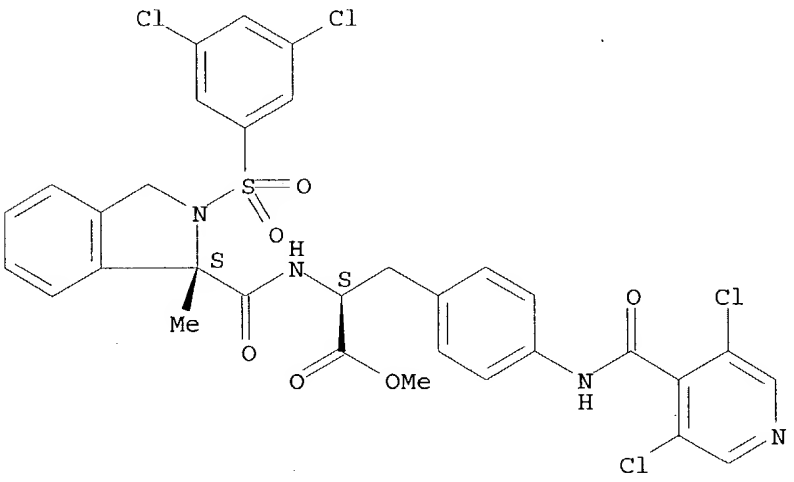
RN 478171-09-4 HCAPLUS
CN L-Phenylalanine, N-[[2-[(3,5-dichlorophenyl)sulfonyl]-2,3-dihydro-1H-isoindol-1-yl]carbonyl]-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



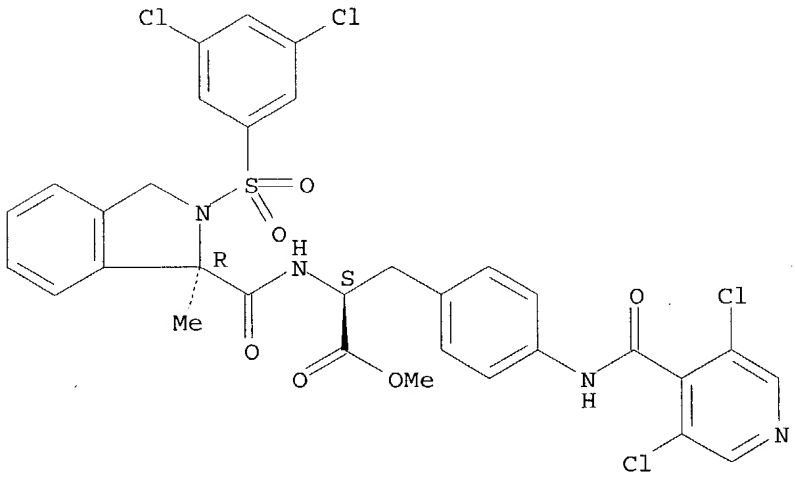
RN 478171-14-1 HCAPLUS
CN L-Phenylalanine, N-[[(1S)-2-[(3,5-dichlorophenyl)sulfonyl]-2,3-dihydro-1-methyl-1H-isoindol-1-yl]carbonyl]-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478171-15-2 HCAPLUS
CN L-Phenylalanine, N-[[(1R)-2-[(3,5-dichlorophenyl)sulfonyl]-2,3-dihydro-1-methyl-1H-isoindol-1-yl]carbonyl]-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L36 ANSWER 19 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:424638 HCAPLUS
DOCUMENT NUMBER: 137:140770
TITLE: A Novel Peptide-Based Encoding System for "One-Bead One-Compound" Peptidomimetic and Small Molecule Combinatorial Libraries
AUTHOR(S): Liu, Ruiwu; Marik, Jan; Lam, Kit S.
CORPORATE SOURCE: Division of Hematology & Oncology Department of Internal Medicine, UC Davis Cancer Center University of California Davis, Sacramento, CA, 95817, USA
SOURCE: Journal of the American Chemical Society (2002), 124(26), 7678-7680
CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

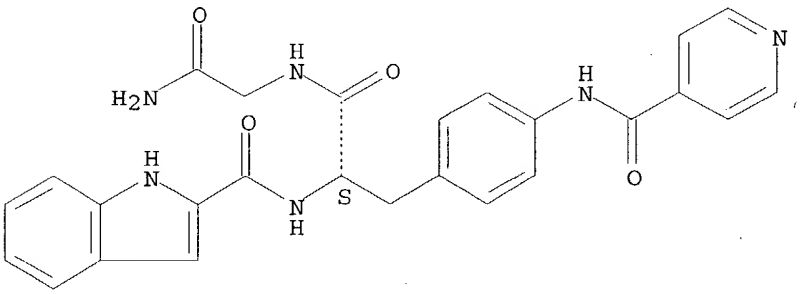
AB The "one-bead one-compound" (OBOC) combinatorial library method is highly efficient, especially when used with well-established on-bead binding or functional assays. Literally, millions of compds. can be screened concurrently within 1 to 2 days. However, structure determination of peptidomimetic and small mol. compds. on one single bead is not trivial. A novel, highly efficient, and robust peptide-based encoding system has been developed for OBOC peptidomimetic and small mol. combinatorial libraries. In this system, topol. segregated bifunctional beads, which are made by a simple biphasic solvent strategy, are employed for the preparation and screening of an OBOC combinatorial peptidomimetic and small mol. libraries. Testing mols. are on the outer layer, and the coding tags in the interior of the bead do not interfere with screening. The coding tag is a peptide containing a large number of unnatural α-amino acids derived from different building blocks used for generating the peptidomimetic or small mol. By coupling common building blocks simultaneously to the scaffold of the testing compound and to the side chains of the α-amino acids on the coding peptide, extra synthetic steps are eliminated and the amount of undesirable side products is minimized. Pos. bead decoding is easy and straightforward as there is no need for cleavage and retrieval of the coding tag, and pos. beads can be sequenced directly with Edman degradation The authors demonstrate the efficiency and simplicity of their peptidyl encoding system by generating an encoded 158 400-member model peptidomimetic library and screening it for ligands that bind to streptavidin. Potent and novel ligands with clear motifs have been identified.

ED Entered STN: 06 Jun 2002

IT **444794-74-5P 444794-75-6P 444794-76-7P**
444794-77-8P
RL: BSU (Biological study, unclassified); CPN (Combinatorial preparation); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)
(solid-phase preparation of a library of biol. active peptides using the "one-bead one-compound" combinatorial method, a novel peptide-based encoding system and a streptavidin-binding assay)

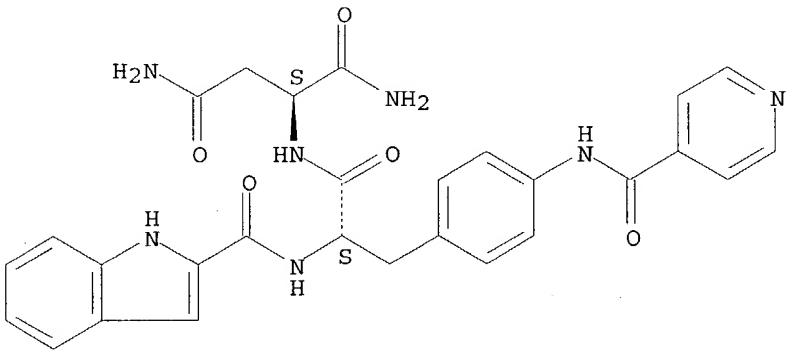
RN 444794-74-5 HCAPLUS
CN Glycinamide, 1H-indole-2-carbonyl-4-[(4-pyridinylcarbonyl)amino]-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



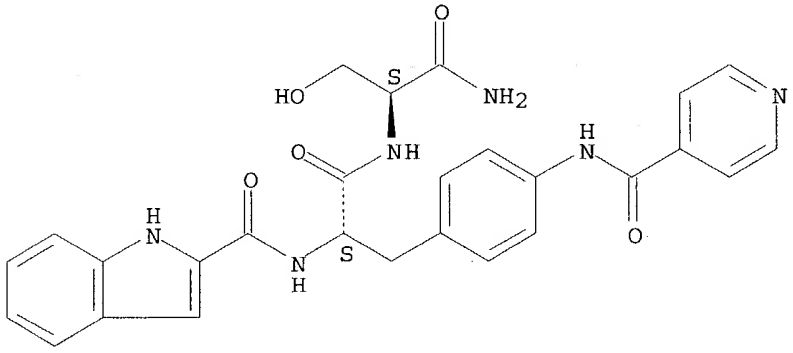
RN 444794-75-6 HCAPLUS
CN L-Aspartamide, 1H-indole-2-carbonyl-4-[(4-pyridinylcarbonyl)amino]-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



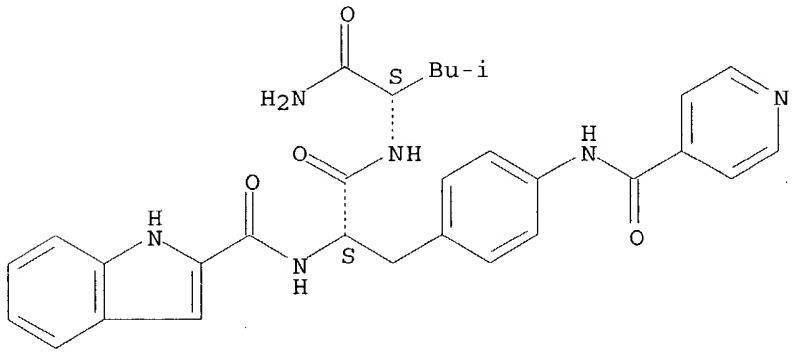
RN 444794-76-7 HCAPLUS
CN L-Serinamide, 1H-indole-2-carbonyl-4-[(4-pyridinylcarbonyl)amino]-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 444794-77-8 HCAPLUS
CN L-Leucinamide, 1H-indole-2-carbonyl-4-[(4-pyridinylcarbonyl)amino]-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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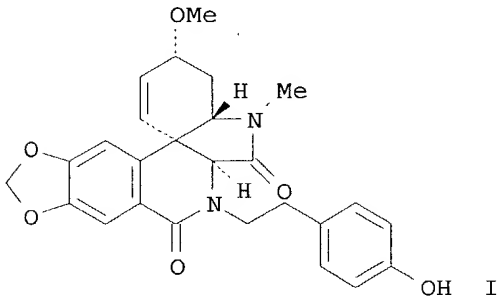
Referenced Author	Year	VOL	PG	Referenced Work	Referenced

(RAU)	(RPY)	(RVL)	(RPG)	(RWK)	File
Affleck, R	2001	5	257	Curr Opin Chem Biol	HCAPLUS
Barnes, C	2000	4	346	Curr Opin Chem Biol	HCAPLUS
Bennett, W	1998		330	Advanced ChemTech Ha	
Czarnik, A	1997	1	60	Curr Opin Chem Biol	HCAPLUS
Krchnak, V	1998	53	2542	Collect Czech Chem C	
Lam, K	1997	97	411	Chem Rev	HCAPLUS
Lebl, M	1998			US 5840485	HCAPLUS
Liu, R	2001	295	9	Anal Biochem	HCAPLUS
Liu, R	2001		299	Peptides: The wave o	HCAPLUS
Vagner, J	1996	93	8194	Proc Natl Acad Sci U	HCAPLUS
Xiao, X	2000	1	114	Front Biotechnol Pha	HCAPLUS

L36 ANSWER 20 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:585456 HCAPLUS
DOCUMENT NUMBER: 137:325545
TITLE: Total synthesis of the amaryllidaceae alkaloid (+)-plicamine using solid-supported reagents
AUTHOR(S): Baxendale, Ian R.; Ley, Steven V.; Nessi, Marcella; Piutti, Claudia
CORPORATE SOURCE: Department of Chemistry, University of Cambridge, Cambridge, CB2 1EW, UK
SOURCE: Tetrahedron (2002), 58(32), 6285-6304
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:325545
GI

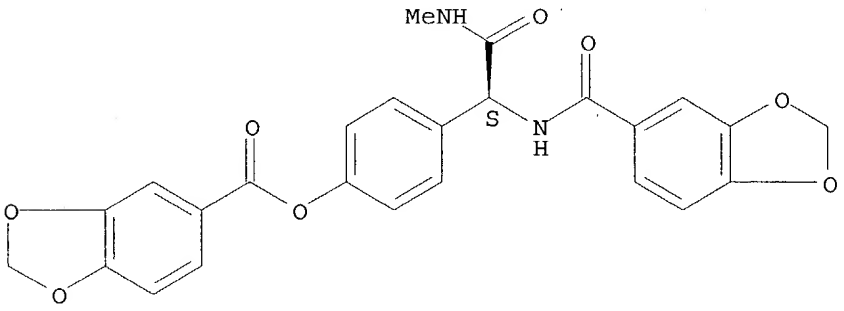


I

AB In this report we describe in full the total synthesis of the amaryllidaceae alkaloid (+)-plicamine (I) including a model compound study. In both cases the compds. were prepared using solid-supported reagents and scavengers in multi-step sequences of reactions to give materials which required no conventional purification but could be carried on to the next synthetic step.
ED Entered STN: 06 Aug 2002
IT **473577-93-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total synthesis of plicamine using solid-supported reagents)
RN 473577-93-4 HCAPLUS

CN 1,3-Benzodioxole-5-carboxylic acid, 4-[(1S)-1-[(1,3-benzodioxol-5-ylcarbonyl)amino]-2-(methylamino)-2-oxoethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Anon	1979			DE 2851435	HCAPLUS
Antoun, M	1993	56	1423	J Nat Prod	HCAPLUS
Arisawa, M	2001	66	59	J Org Chem	HCAPLUS
Baird, W	1957		756	J Am Chem Soc	
Basso, A	2000	11	1789	Tetrahedron:Asymmetr	HCAPLUS
Baxendale, I	2002	41	2194	Angew Chem, Int Ed E	HCAPLUS
Baxendale, I	2000	10	1983	Biorg Med Chem Lett	HCAPLUS
Baxendale, I	2002			J Chem Soc, Perkin T	
Baxendale, I	2002		143	J Chem Soc, Perkin T	HCAPLUS
Baxendale, I	2001		1482	Synlett	HCAPLUS
Baxendale, I	2004		2001	Synlett	
Bettach, N	1992	22	513	Synth Commun	HCAPLUS
Boerner, A	1995	128	767	Chem Ber	HCAPLUS
Burk, R	1994	35	8111	Tetrahedron Lett	HCAPLUS
Caldarelli, M	1999	9	2049	Biorg Med Chem Lett	HCAPLUS
Caldarelli, M	1999		107	J Chem Soc, Perkin T	HCAPLUS
Caldarelli, M	2000		43	J Green Chem	HCAPLUS
Clark, J	1976		475	J Chem Soc, Perkin T	HCAPLUS
Cook, D	1954		4176	J Chem Soc	
Davidson, T	1961		4075	J Chem Soc	HCAPLUS
Fennell, C	2001	78	15	J Ethnopharmacol	HCAPLUS
Fischer, A	1985		641	Synthesis	HCAPLUS
Furusawa, E	1980	26	36	Chemotherapy	MEDLINE
Furusawa, E	1983	29	294	Chemotherapy	HCAPLUS
Furusawa, E	1986	32	521	Chemotherapy	HCAPLUS
Furusawa, E	1988	45	180	Onocology	HCAPLUS
Furusawa, E	1976	152	186	Proc Soc Expl Biol M	HCAPLUS
Gomez, A	1994	59	4048	J Org Chem	HCAPLUS
Habermann, J	1998		3127	J Chem Soc, Perkin T	HCAPLUS
Habermann, J	1999		1253	J Chem Soc, Perkin T	HCAPLUS
Habermann, J	1999		2421	J Chem Soc, Perkin T	HCAPLUS
Habermann, J	1999		2425	J Chem Soc, Perkin T	HCAPLUS
Harrowven, D	1999	8	1300	Synthesis	
Hartsel, S	1996	24	2993	Bioorg Med Chem Lett	
Hirayama, R	1997		765	Bioorg Med Chem	HCAPLUS
Hosoi, S	2000		1505	J Chem Soc, Perkin T	HCAPLUS
Kita, Y	1992	114	2175	J Am Chem Soc	HCAPLUS

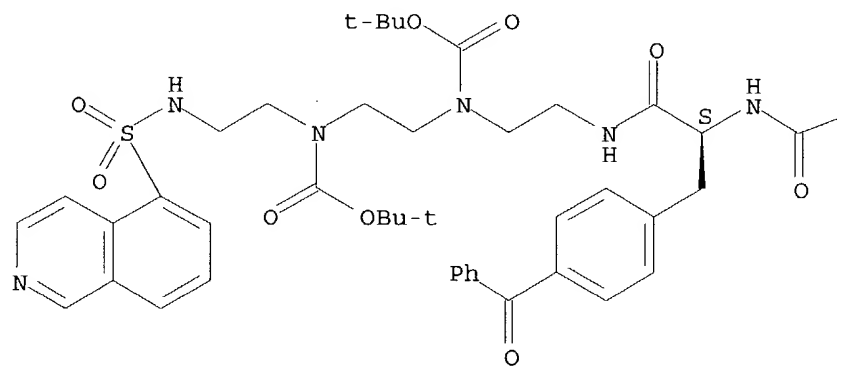
Kita, Y	1998	63	6625	J Org Chem	HCAPLUS
Kita, Y	1991	32	2035	Tetrahedron Lett	HCAPLUS
Kyba, E	1978	100	4555	J Am Chem Soc	HCAPLUS
Ley, S	2002	5	195	Comb Chem High Throu	
Ley, S	1999		1251	J Chem Soc, Perkin T	HCAPLUS
Ley, S	1999		669	J Chem Soc, Perkin T	HCAPLUS
Ley, S	2000		3815	J Chem Soc, Perkin T	HCAPLUS
Ley, S	2000	2	104	J Comb Chem	HCAPLUS
Min, B	2001	15	481	Phytother Res	MEDLINE
Missoum, A	1997	27	453	Synth Commun	HCAPLUS
Moreau, C	1973		3427	Bull Soc Chim Fr	HCAPLUS
Nishimata, T	1998	63	7586	J Org Chem	HCAPLUS
Ochiai, M	1999	40	5541	Tetrahedron Lett	HCAPLUS
Olah, G	1986	51	2826	J Org Chem	HCAPLUS
Radley's Carousel Stati				www.Radleys.com	
Rigby, J	1998	120	3664	J Am Chem Soc	HCAPLUS
Salmond, W	1978	43	2056	J Org Chem	
Sanmartin, R	1997	45	757	Heterocycles	HCAPLUS
Schwartz, M	1969	91	2800	J Am Chem Soc	HCAPLUS
Schwartz, M	1977	99	2572	J Am Chem Soc	
Stork, G	1979	101	7110	J Am Chem Soc	HCAPLUS
Tanker, M	1996	34	194	Int J Pharm Cogn	HCAPLUS
Thomas, E	1991		1701	J Chem Soc, Perkin T	
Tobinaga, S	1972	94	309	J Am Chem Soc	HCAPLUS
Tsuda, Y	1979		1358	J Chem Soc, Perkin T	HCAPLUS
Umezawa, B	1979	12	1475	Heterocycles	HCAPLUS
Umezawa, B	1984	40	1783	Tetrahedron	HCAPLUS
Unver, N	2001	55	641	Heterocycles	HCAPLUS
Unver, N	1999	50	1255	Phytochemistry	HCAPLUS
Uyeo, S	1963	11	1065	Chem Pharm Bull	HCAPLUS
Warnhoff, E	1960	82	1472	J Am Chem Soc	HCAPLUS
Weibel, P	1973	56	2460	Helv Chim Acta	HCAPLUS
White, J	1983	48	2300	J Org Chem	HCAPLUS
Wildman, F	1958	80	4395	J Am Chem Soc	
Wu, Z	1992	114	1812	J Am Chem Soc	HCAPLUS
Yui, S	2001	121	167	Yakugaaku Zasshi J P	HCAPLUS

L36 ANSWER 21 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:28762 HCAPLUS
DOCUMENT NUMBER: 141:49407
TITLE: Mechanism-based detection and activity-profiling of kinases
AUTHOR(S): Hagenstein, Miriam; Mussgnug, Jan; Kruse, Olaf; Sewald, Norbert
CORPORATE SOURCE: Department of Chemistry, University of Bielefeld, Bielefeld, D-33501, Germany
SOURCE: Peptides 2002, Proceedings of the European Peptide Symposium, 27th, Sorrento, Italy, Aug. 31-Sept. 6, 2002 (2002), 956-957. Editor(s): Benedetti, Ettore; Pedone, Carlo. Edizioni Ziino: Castellammare di Stabia, Italy.
CODEN: 69EYXG; ISBN: 88-900948-1-8
DOCUMENT TYPE: Conference
LANGUAGE: English
AB The strategy to tag certain proteins covalently with a specific ligand (peptide or organic mol.) bearing a reporter group prior to two-dimensional separation was extended towards the use of reversible enzyme inhibitors. Kinases were employed as target proteins. Incubation of several kinases with the engineered enzyme inhibitor followed by irradiation at 350 nm wavelength gave covalently linked enzyme-inhibitor-complexes.

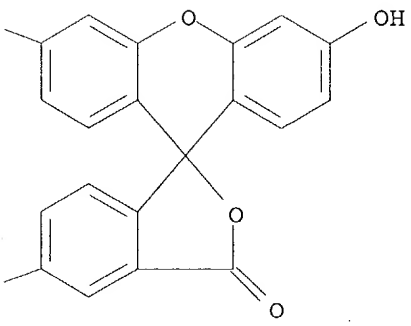
ED Entered STN: 14 Jan 2004
IT **706787-33-9**
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(mechanism-based detection and activity-profiling of kinases)
RN 706787-33-9 HCAPLUS
CN 2,5,8,11-Tetraazadodecanoic acid, 10-[(4-benzoylphenyl)methyl]-12-(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)-5-[(1,1-dimethylethoxy)carbonyl]-2-[2-[(5-isoquinolinylsulfonyl)amino]ethyl]-9,12-dioxo-, 1,1-dimethylethyl ester, (10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RETABLE

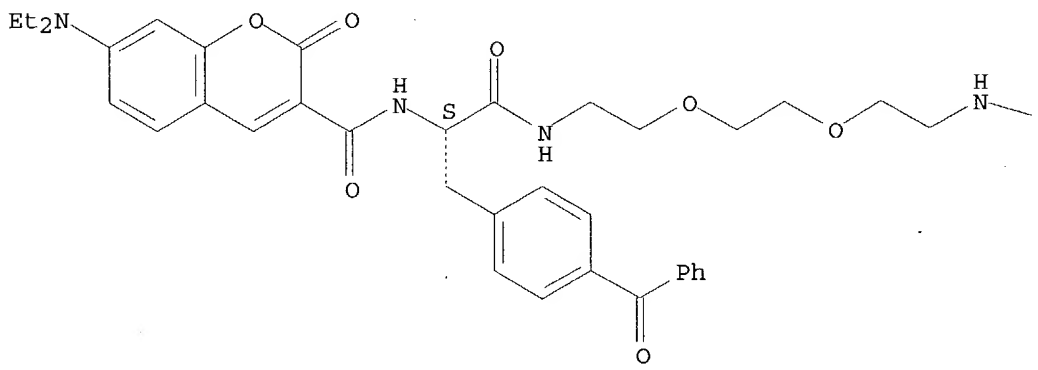
Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Dorman, G	2000	18	64	Trends in Biotechnol	HCAPLUS
Greenbaum, D	2000	7	569	Chem Biol	HCAPLUS

Hidaka, H	1984	23	5036	Biochemistry	HCAPLUS
Liu, Y	1999	96	14694	Proc Natl Acad Sci U	HCAPLUS
Lottspeich, F	1999	111	2630	Angew Chem	
Lottspeich, F	1999	38	2476	Angew Chem Int Ed En	HCAPLUS
Xu, R	1996	93	6308	Proc Natl Acad Sci U	HCAPLUS

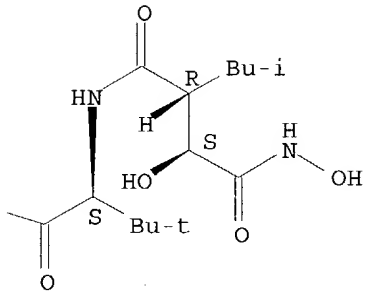
L36 ANSWER 22 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:28489 HCAPLUS
DOCUMENT NUMBER: 141:256824
TITLE: New chemical tools for mechanism-based discovery and profiling of protein families in functional proteomics
AUTHOR(S): Sewald, Norbert; Hagenstein, Miriam; Jenssen, Kai; Stembera, Katherina; Mussgnug, Jan; Kruse, Olaf
CORPORATE SOURCE: Department of Chemistry, University of Bielefeld, Bielefeld, D-33501, Germany
SOURCE: Peptides 2002, Proceedings of the European Peptide Symposium, 27th, Sorrento, Italy, Aug. 31-Sept. 6, 2002 (2002), 406-407. Editor(s): Benedetti, Ettore; Pedone, Carlo. Edizioni Ziino: Castellammare di Stabia, Italy.
CODEN: 69EYXG; ISBN: 88-900948-1-8
DOCUMENT TYPE: Conference
LANGUAGE: English
AB Mechanism-based approach is amenable for activity-based proteinase profiling of serine proteinases using fluorophosphonates and of cysteine proteinases using epoxides as the irreversible inhibitors. However, the intriguing concept suffers from the fact that irreversibly binding protein ligands are required. This strategy is generally applicable for protein profiling in proteomics and specific protein ligands that bind reversibly to a protein family have been equipped with reporter groups and linked covalently to the corresponding proteins by photoaffinity labeling to avoid dissociation under the conditions of 2D-PAGE. The concept is suited for many different classes of proteins and may also facilitate the discovery of new members of a protein family.
ED Entered STN: 14 Jan 2004
IT **756509-68-9**
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(new chemical tools for mechanism-based discovery and profiling of protein families in functional proteomics)
RN 756509-68-9 HCAPLUS
CN Butanediamide, N1-[(1S,14S)-14-[(4-benzoylphenyl)methyl]-16-[7-(diethylamino)-2-oxo-2H-1-benzopyran-3-yl]-1-(1,1-dimethylethyl)-2,13,16-trioxo-6,9-dioxa-3,12,15-triazahexadec-1-yl]-N4,3-dihydroxy-2-(2-methylpropyl)-, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Dorman, G	2000	18	64	Trends in Biotechnol	HCAPLUS
Greenbaum, D	2000	7	569	Chem Biol	HCAPLUS
Liu, Y	1999	96	14694	Proc Natl Acad Sci U	HCAPLUS
Lottspeich, F	1999	111	2630	Angew Chem	
Lottspeich, F	1999	38	2476	Angew Chem Int Ed En	HCAPLUS
Rabilloud, T	2000			Proteome Research:Tw	

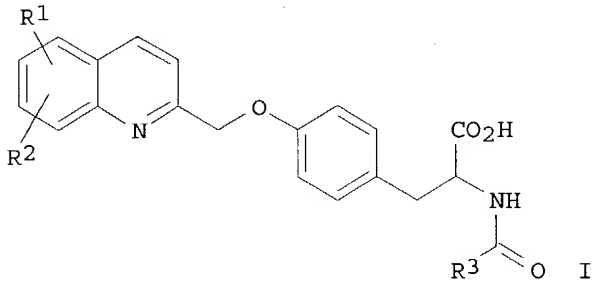
L36 ANSWER 23 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:597979 HCAPLUS
DOCUMENT NUMBER: 135:167035
TITLE: Preparation of tyrosine derivatives having anti-leukotriene activity
INVENTOR(S): Makovec, Francesco; Peris, Walter; Rovati, Lucio Claudio
PATENT ASSIGNEE(S): Rotta Research Laboratorium S.P.A., Italy
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001058892	A1	20010816	WO 2001-EP1315	20010207
W: AU, CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
IT 1320162	B1	20031118	IT 2000-TO127	20000209
CA 2399451	AA	20010816	CA 2001-2399451	20010207
EP 1255749	A1	20021113	EP 2001-905744	20010207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003522768	T2	20030729	JP 2001-558442	20010207
AU 776214	B2	20040902	AU 2001-33742	20010207
US 2003087910	A1	20030508	US 2002-203424	20020808
US 6605722	B2	20030812		

PRIORITY APPLN. INFO.: IT 2000-TO127 A 20000209
WO 2001-EP1315 W 20010207

OTHER SOURCE(S): MARPAT 135:167035
GI



I

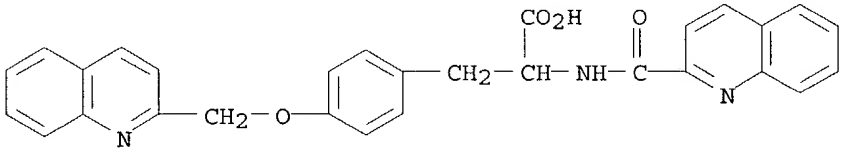
AB Compds. I [R1, R2 = H, C1-4 alkyl, halo, MeO, cyano, CF3; R3 = (un)substituted Ph, pyridyl or (iso)quinolinyl, 1- or 2-naphthyl, 2- or 3-indolyl or N-alkyl derivs., 2-, 5- or 6-quinoxalyl, cinnolyl, benzimidazolyl], which may have the L- or D-configuration or be racemic, were prepared and are useful in the treatment of pathol. conditions sensitive to leukotriene inhibition. Thus, O-(2-quinolinylmethyl)-N-quinaldoyl-DL-tyrosine was prepared by acylation of DL-tyrosine Me ester with quinaldic acid, O-alkylation with 2-chloromethylquinoline hydrochloride, and saponification The product showed IC50x10-9 M = 20.0 for inhibition of binding of [3H]-LTD4 to guinea pig lung membranes.

ED Entered STN: 17 Aug 2001

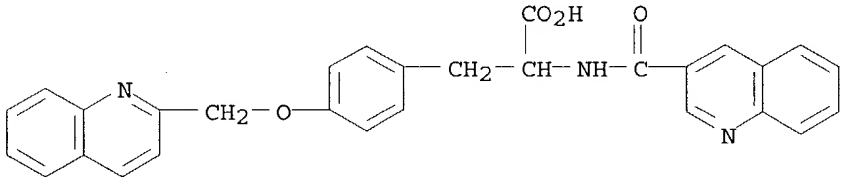
IT **353798-73-9P 353798-84-2P 353798-85-3P**
353798-86-4P 353798-87-5P 353798-88-6P
353798-89-7P 353798-90-0P 353798-91-1P
353798-92-2P 353798-93-3P 353798-94-4P
353798-95-5P 353798-96-6P 353798-97-7P
353798-98-8P 353798-99-9P 353799-00-5P
353799-01-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tyrosine derivs. having anti-leukotriene activity)

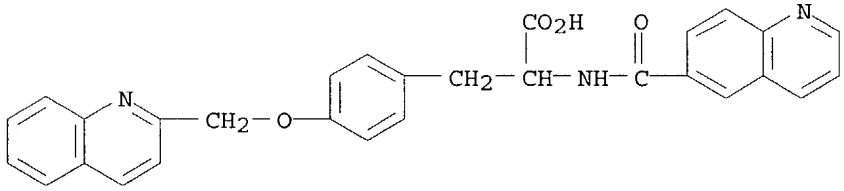
RN 353798-73-9 HCAPLUS
CN Tyrosine, N-(2-quinolinylcarbonyl)-O-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)



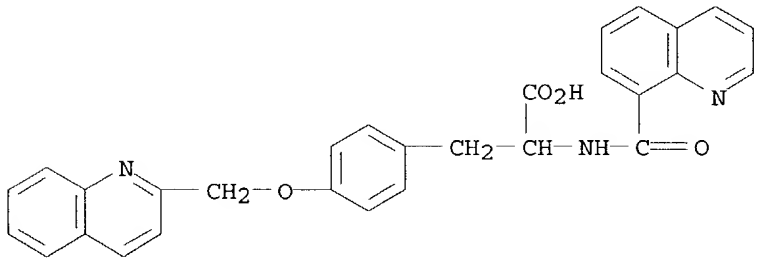
RN 353798-84-2 HCAPLUS
CN Tyrosine, N-(3-quinolinylcarbonyl)-O-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)



RN 353798-85-3 HCAPLUS
CN Tyrosine, N-(6-quinolinylcarbonyl)-O-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)

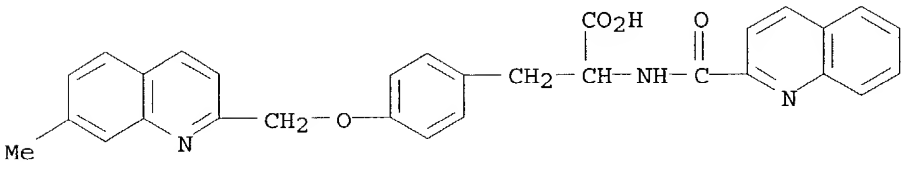


RN 353798-86-4 HCAPLUS
CN Tyrosine, N-(8-quinolinylcarbonyl)-O-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)

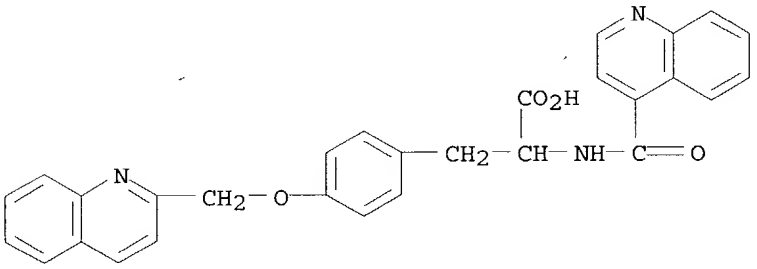


RN 353798-87-5 HCAPLUS

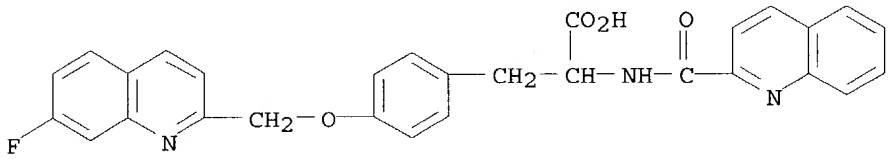
CN Tyrosine, O-[(7-methyl-2-quinolinyl)methyl]-N-(2-quinolinylcarbonyl)-
(9CI) (CA INDEX NAME)



RN 353798-88-6 HCAPLUS
CN Tyrosine, N-(4-quinolinylcarbonyl)-O-(2-quinolinylmethyl)- (9CI) (CA
INDEX NAME)

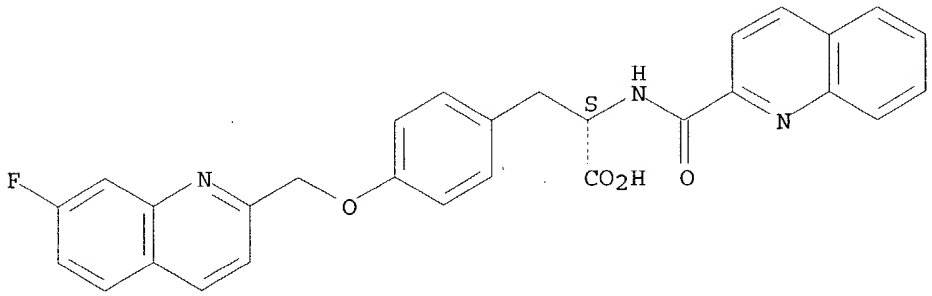


RN 353798-89-7 HCAPLUS
CN Tyrosine, O-[(7-fluoro-2-quinolinyl)methyl]-N-(2-quinolinylcarbonyl)-
(9CI) (CA INDEX NAME)



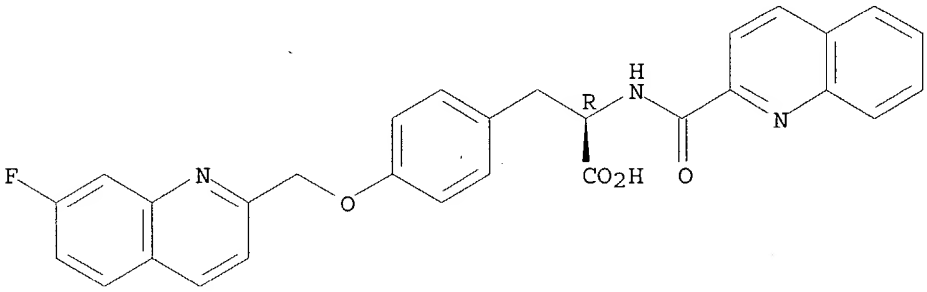
RN 353798-90-0 HCAPLUS
CN L-Tyrosine, O-[(7-fluoro-2-quinolinyl)methyl]-N-(2-quinolinylcarbonyl)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

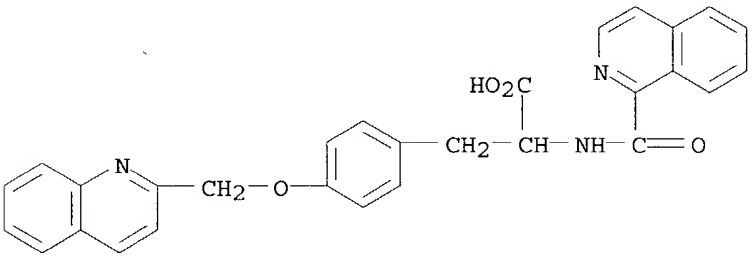


RN 353798-91-1 HCAPLUS
CN D-Tyrosine, O-[(7-fluoro-2-quinolinyl)methyl]-N-(2-quinolinylcarbonyl)-(9CI) (CA INDEX NAME)

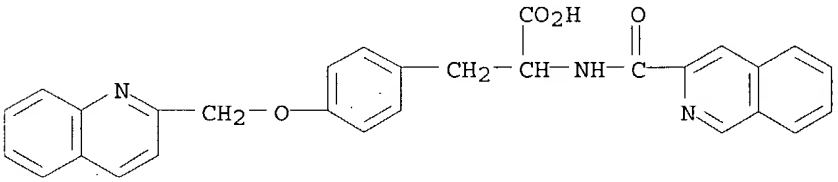
Absolute stereochemistry.



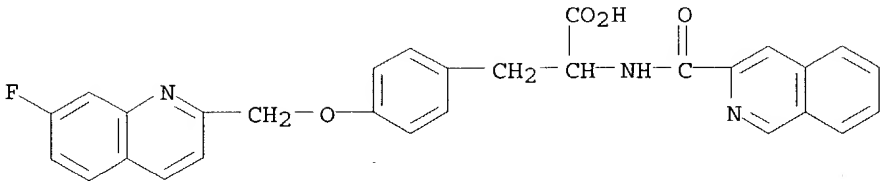
RN 353798-92-2 HCAPLUS
CN Tyrosine, N-(1-isoquinolinylcarbonyl)-O-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)



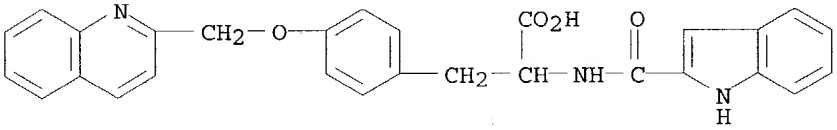
RN 353798-93-3 HCAPLUS
CN Tyrosine, N-(3-isoquinolinylcarbonyl)-O-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)



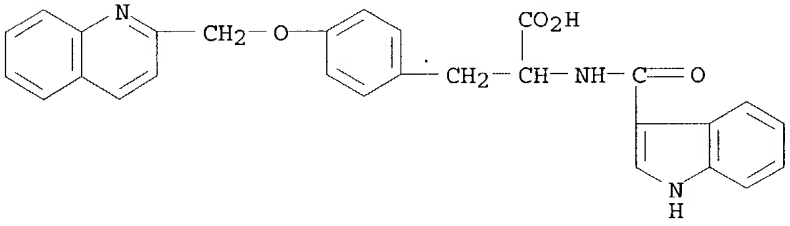
RN 353798-94-4 HCAPLUS
CN Tyrosine, O-[(7-fluoro-2-quinolinyl)methyl]-N-(3-isoquinolinylcarbonyl)-(9CI) (CA INDEX NAME)



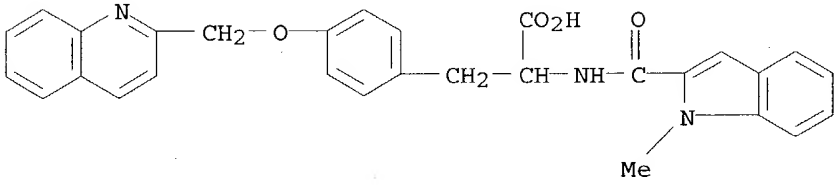
RN 353798-95-5 HCAPLUS
CN Tyrosine, N-(1H-indol-2-ylcarbonyl)-O-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)



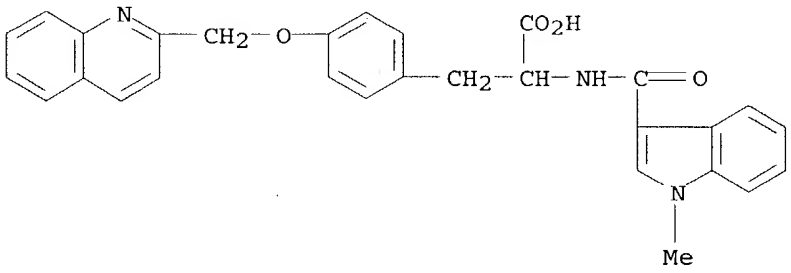
RN 353798-96-6 HCAPLUS
CN Tyrosine, N-(1H-indol-3-ylcarbonyl)-O-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)



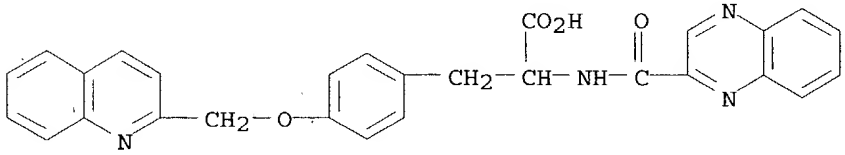
RN 353798-97-7 HCAPLUS
CN Tyrosine, N-[(1-methyl-1H-indol-2-yl)carbonyl]-O-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)



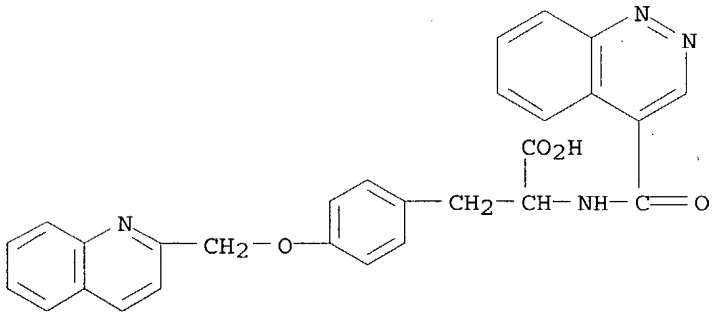
RN 353798-98-8 HCAPLUS
CN Tyrosine, N-[(1-methyl-1H-indol-3-yl)carbonyl]-O-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)



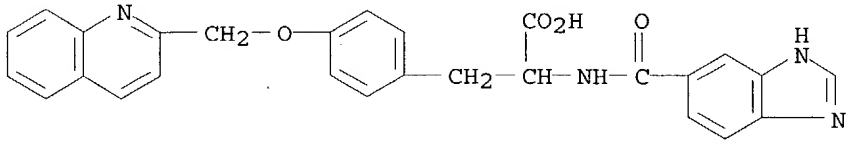
RN 353798-99-9 HCAPLUS
CN Tyrosine, O-(2-quinolinylmethyl)-N-(2-quinoxalinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 353799-00-5 HCAPLUS
CN Tyrosine, N-(4-cinnolinylcarbonyl)-O-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)

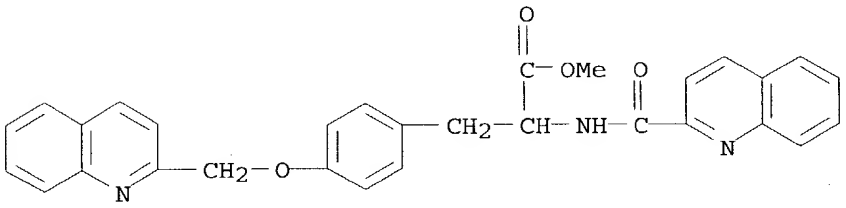


RN 353799-01-6 HCAPLUS
CN Tyrosine, N-(1H-benzimidazol-5-ylcarbonyl)-O-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)



IT **353799-04-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tyrosine derivs. having anti-leukotriene activity)

RN 353799-04-9 HCAPLUS
CN Tyrosine, N-(2-quinolinylcarbonyl)-O-(2-quinolinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Brooks, C	1996	39	2629	JOURNAL OF MEDICINAL	HCAPLUS
Menarini Lab	1996			WO 9604246 A	HCAPLUS
Merckle Gmbh	1999			DE 19823722 A	HCAPLUS

L36 ANSWER 24 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:152640 HCAPLUS
DOCUMENT NUMBER: 134:208130
TITLE: Preparation of substituted ureas as cell adhesion inhibitors
INVENTOR(S): Delaszlo, Stephen E.; Hagmann, William K.; Kamenecka, Theodore M.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001014328	A2	20010301	WO 2000-US22437		20000816
WO 2001014328	A3	20020131			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
AU 2000069093	A5	20010319	AU 2000-69093		20000816
US 6353099	B1	20020305	US 2000-641408		20000817
PRIORITY APPLN. INFO.:			US 1999-150055P	P	19990820
			WO 2000-US22437	W	20000816

OTHER SOURCE(S): MARPAT 134:208130
AB Compds. R1R2NCONR3CR4R5-Y-COR6 [R1, R2 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, or heteroaryl or R1R2N form a mono- or bicyclic ring; R3 is any group given for R1/R2 or R2 and R3 together with the atoms to which they are attached form a heterocyclic ring with the proviso that R1 and R2 do not form a ring; R4 =

(un)substituted alkyl, aryl, arylalkyl, biaryl, biarylalkyl, heteroaryl, heteroarylalkyl, heteroarylaryl, heteroarylarylalkyl, arylheteroaryl, or arylheteroarylalkyl; R5 = H, (un)substituted alkyl, alkenyl, or alkynyl; R6 = OH, alkoxy, alkenoxy, alkynoxy, aryloxy, arylalkoxy, or an amino group; Y is a bond or CR7R8, where R7 = H, alkyl, alkenyl, alkynyl, aryl, or arylalkyl; R8 is any group given for R7 plus OH, alkoxy, halo, NO2, amino, etc.] were prepared as antagonists of VLA-4 and/or α4β7 and are useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. Thus, treating 4-(2-methoxyphenyl)-L-phenylalanine tert-Bu ester (obtained from 4-iodo-L-phenylalanine and 2-methoxyphenylboronic acid) with pyrrolidine and p-nitrophenyl chloroformate in CH2Cl2 containing diisopropylethylamine and ester cleavage with 50% TFA/CH2Cl2 afforded N-(1-pyrrolidinylcarbonyl)-4-(2-methoxyphenyl)-L-phenylalanine.

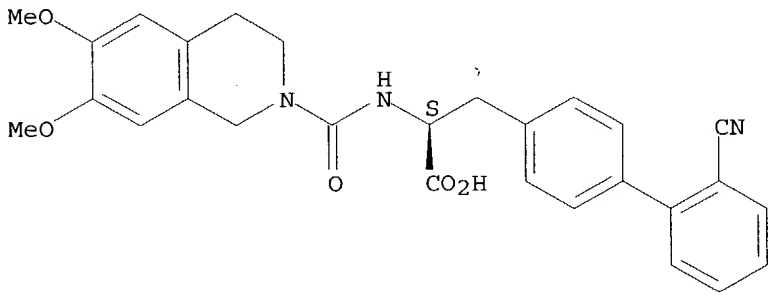
ED Entered STN: 02 Mar 2001

IT **328257-52-9P 328258-20-4P 328258-21-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted ureas as cell adhesion inhibitors)

RN 328257-52-9 HCAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, 2'-cyano-α-[[(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

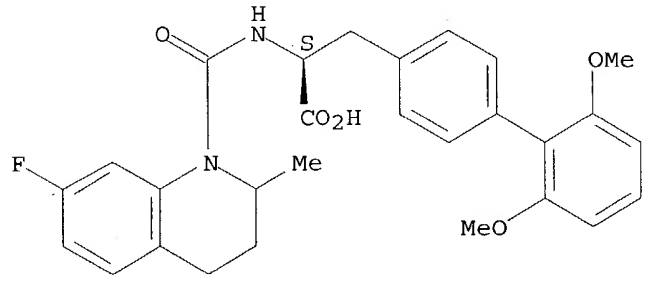
Absolute stereochemistry.



RN 328258-20-4 HCAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, α-[[(7-fluoro-3,4-dihydro-2-methyl-1(2H)-quinolinyl)carbonyl]amino]-2',6'-dimethoxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

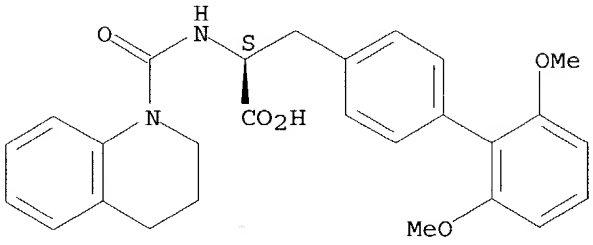


RN 328258-21-5 HCAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, α-[[(3,4-dihydro-1(2H)-

quinolinyl)carbonyl]amino]-2',6'-dimethoxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L36 ANSWER 25 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:137017 HCAPLUS
DOCUMENT NUMBER: 134:193737
TITLE: Preparation of heterocyclic amides with amino acids as cell adhesion inhibitors
INVENTOR(S): Hagmann, William K.; Delaszlo, Stephen E.; Doherty, George; Chang, Linda L.; Yang, Ginger X.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012183	A1	20010222	WO 2000-US22115	20000814
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6420418	B1	20020716	US 2000-638074	20000814
PRIORITY APPLN. INFO.:			US 1999-149042P	P 19990816
OTHER SOURCE(S):	MARPAT 134:193737			

AB Heterocyclic amides R1-Y-CR2-CONR2CR3R4-Z-CO2R5 [CR2 is an optionally substituted or aryl-fused 4- to 8-membered monocyclic saturated heterocyclic ring having one or two heteroatoms chosen from O, S, SO, and SO2; Y is a bond, (un)substituted alkylene, alkenylene, or alkynylene; Z is a bond or CR5R6, where R5 is H, alkyl, alkenyl, alkynyl, Cy (cycloalkyl, heterocyclyl, aryl, or heteroaryl), or Cy-alkyl and R6 = H, alkyl, aryl, hydroxy, NO2, halo, CN, etc.; R1 = H, Cy, OR5, O2CR5, COR5, carboxamido group, etc.; R2, R4 = H, (un)substituted alkyl, alkenyl, or alkynyl; R3 = alkyl, Ar1, alkyl-Ar1, Ar1-Ar2, alkyl-Ar1-Ar2, where Ar1 and Ar2 are (un)substituted aryl or heteroaryl; R5 = Cy or any group given for R2 or R4] were prepared as antagonists of VLA-4 and/or α4β7 and thus are useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. Thus, N-[(S)-5-oxotetrahydro-2-

furoyl]-4-(2-cyanophenyl)-L-phenylalanine was prepared by the solid phase method.

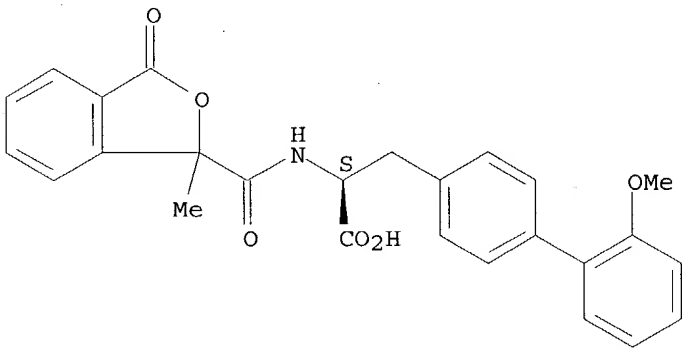
ED Entered STN: 25 Feb 2001

IT **327615-95-2P 327615-96-3P 327616-13-7P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic amides with amino acids as cell adhesion inhibitors)

RN 327615-95-2 HCAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, α-[[(1,3-dihydro-1-methyl-3-oxo-1-isobenzofuranyl)carbonyl]amino]-2'-methoxy-, (αS)- (9CI) (CA INDEX NAME)

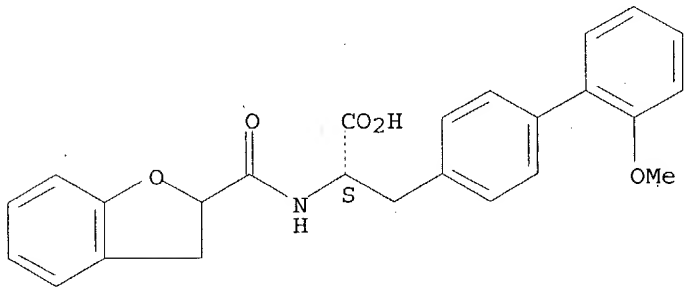
Absolute stereochemistry.



RN 327615-96-3 HCAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, α-[[(2,3-dihydro-2-benzofuranyl)carbonyl]amino]-2'-methoxy-, (αS)- (9CI) (CA INDEX NAME)

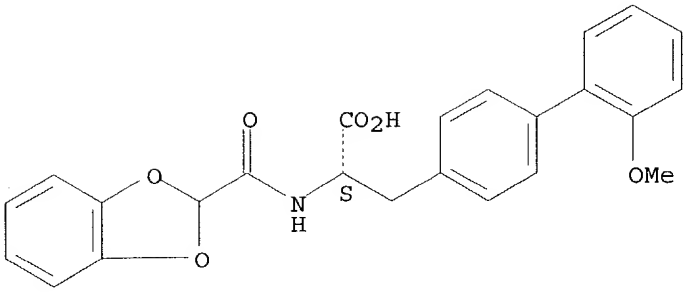
Absolute stereochemistry.



RN 327616-13-7 HCAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, α-[(1,3-benzodioxol-2-ylcarbonyl)amino]-2'-methoxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RETABLE

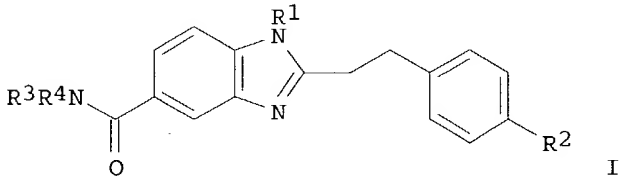
Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Arrhenius	1999			US 5936065 A	HCAPLUS
Delaszlo	2000			US 6020347 A	HCAPLUS
Delaszlo, S	2000			US 6069163 A	HCAPLUS
Merck & Co Inc	1998			WO 9853814 A1	HCAPLUS
Scott	2000			US 6096773 A	HCAPLUS

L36 ANSWER 26 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:136768 HCAPLUS
DOCUMENT NUMBER: 134:178557
TITLE: Preparation of 2-(amidinophenylethyl)-1-methylbenzimidazole-5-carboxamides as tryptase inhibitors
INVENTOR(S): Anderskewitz, Ralf; Braun, Christine; Briem, Hans; Disse, Bernd; Hoenke, Christoph; Jennewein, Hans Michael; Speck, Georg
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 92 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19939463	A1	20010222	DE 1999-19939463	19990820
US 6512000	B1	20030128	US 2000-634958	20000808
CA 2382322	AA	20010301	CA 2000-2382322	20000817
WO 2001014342	A1	20010301	WO 2000-EP8037	20000817
W: AE, AU, BG, BR, CA, CN, CZ, EE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1210335	A1	20020605	EP 2000-951526	20000817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
JP 2003507459	T2	20030225	JP 2001-518431	20000817

PRIORITY APPLN. INFO.:
DE 1999-19939463 A 19990820
US 1999-153423P P 19990910
WO 2000-EP8037 W 20000817

OTHER SOURCE(S): MARPAT 134:178557
GI



I

AB Use of title compds. [I; R1 = (substituted) alkyl, phenylalkyl, heterocyclyl, heterocyclylalkyl; R2 = C(:NH)NH2, CH2NH2; R3, R4 = H, (substituted) alkyl, phenylalkyl, heterocyclyl, heterocyclylalkyl, cycloalkyl, naphthyl, Ph; R3R4N = (substituted) heterocyclyl], for treatment/prevention of diseases in which tryptase inhibition is of benefit, was claimed. Thus, 2-[2-(4-cyanophenylethyl)]-1-methylbenzimidazol-5-ylcarboxylic acid (preparation given), N-(4-cyanobenzyl)-N-ethoxycarbonylmethylamine, NMM, and TBTU were stirred together in DMF for 16 h at room temperature to give 2-[2-(4-cyanophenylethyl)]-1-methylbenzimidazol-5-yl-N-(4-cyanobenzyl)-N-(ethoxycarbonylmethyl)amide, which was treated with NH3 to give 89% 2-[2-(4-amidinophenylethyl)]-1-methylbenzimidazol-5-yl-N-(4-amidinobenzyl)-N-(ethoxycarbonylmethyl)amide. I at 10 μM inhibited tryptase by 51-77%. I may be prepared by solid phase synthesis.

ED Entered STN: 25 Feb 2001

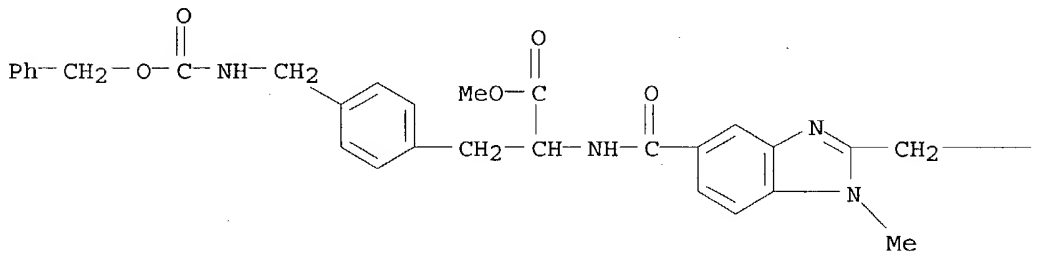
IT **326860-53-1**

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (amidinophenylethyl)methylbenzimidazolecarboxamides as tryptase inhibitors)

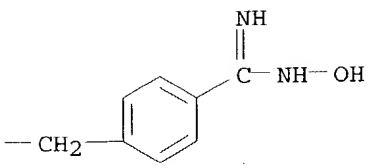
RN 326860-53-1 HCAPLUS

CN Phenylalanine, N-[[2-[2-[4-[(hydroxyamino)iminomethyl]phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-4-[[[(phenylmethoxy)carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

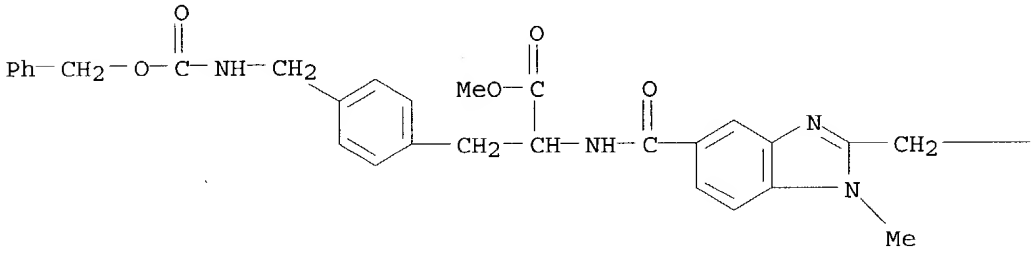


PAGE 1-B

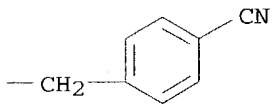


IT **326860-51-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (amidinophenylethyl)methylbenzimidazolecarboxamides as tryptase inhibitors)
RN 326860-51-9 HCAPLUS
CN Phenylalanine, N-[[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]carbonyl]-4-[[[(phenylmethoxy)carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



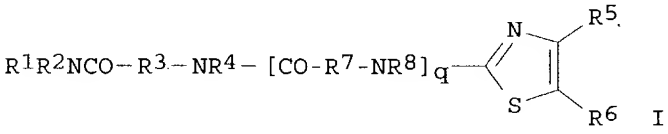
L36 ANSWER 27 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:553352 HCAPLUS
DOCUMENT NUMBER: 133:164326
TITLE: Preparation of amino acid thiazole derivatives and combinatorial libraries as antimicrobial agents
INVENTOR(S): Forood, Behrouz
PATENT ASSIGNEE(S): Trega Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 334 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000045635	A1	20000810	WO 2000-US3475	20000208
W: AU, CA, JP, KR, NO				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1150565	A1	20011107	EP 2000-913425	20000208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: US 1999-246523 A 19990208
US 2000-499419 A 20000207
WO 2000-US3475 W 20000208

OTHER SOURCE(S): MARPAT 133:164326

GI



I

AB Thiazole compds. I [q = 0, 1, 2; R1 = H or a functionalized resin; R2 = H, (un)substituted alkyl, alkenyl, Ph, naphthyl, phenylalkyl, heteroaryl, or heterocyclyl or R1R2N = 1-piperazinyl, (aminomethyl)cyclohexylamino, (2-amino-3,5,5-trimethylcyclopentyl)methylamino, etc.; R3 = (un)substituted alkylene, alkenylene, alkynylene, phenylene, naphthylene, heteroarylene, cycloalkylene, cycloalkenylene, cycloalkylalkylene, or phenylalkylene, etc.; R4 = H, (un)substituted alkyl, alkenyl, phenylalkyl, alkylsulfonyl, acyl, phenylsulfonyl, alkylaminocarbonyl, or phenylaminocarbonyl or R3 and R4 form a heterocyclic ring; R5, R6 = H, (un)substituted alkyl, Ph, heteroaryl, acyl, alkoxycarbonyl, alkylaminocarbonyl, phenylaminocarbonyl, heterocyclyl, or naphthyl, carboxy, protected carboxy, an amino group or R5 and R6 are combined with the thiazole ring to form a fused ring system; R7 = (un)substituted alkylene, phenylene, naphthylene, cycloalkylene, heteroarylene; R8 = H, (un)substituted alkyl, alkenyl, phenylalkyl, alkylsulfonyl, acyl, phenylsulfonyl, alkylaminocarbonyl, or phenylaminocarbonyl] or their pharmaceutically acceptable salts were prepared as antimicrobial agents. The invention further relates to combinatorial libraries containing at least two or more such compds. and to methods of preparing combinatorial libraries composed of such compds. Thus, antimicrobial test data are tabulated for 214 thiazole compds., including Nα-[4-(1-adamantyl)-2-thiazolyl]-Nε-acetyl-L-lysinamide.

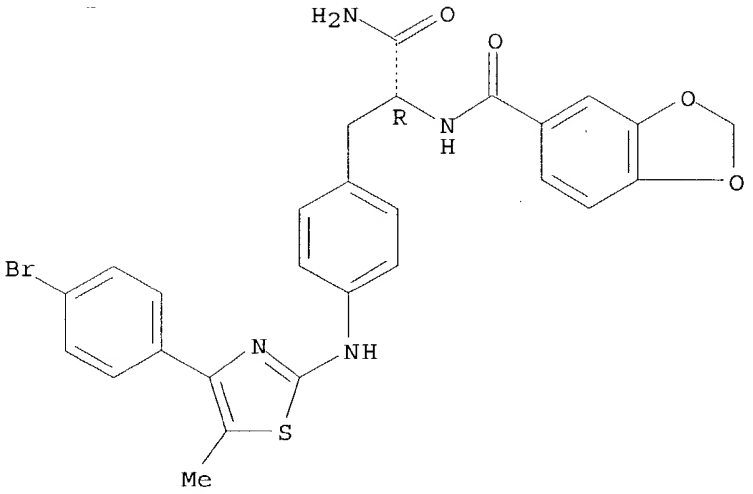
ED Entered STN: 11 Aug 2000

IT **288070-01-9P 288070-02-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid thiazole derivs. and combinatorial libraries as antimicrobial agents)

RN 288070-01-9 HCAPLUS

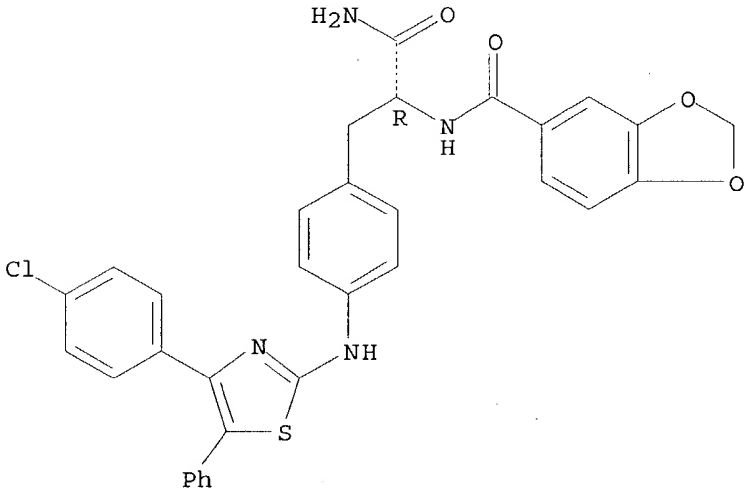
CN 1,3-Benzodioxole-5-carboxamide, N-[(1R)-2-amino-1-[[4-[[4-(4-bromophenyl)-5-methyl-2-thiazolyl]amino]phenyl]methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 288070-02-0 HCAPLUS
CN 1,3-Benzodioxole-5-carboxamide, N-[(1R)-2-amino-1-[[4-[[4-(4-chlorophenyl)-5-phenyl-2-thiazolyl]amino]phenyl]methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RETABLE

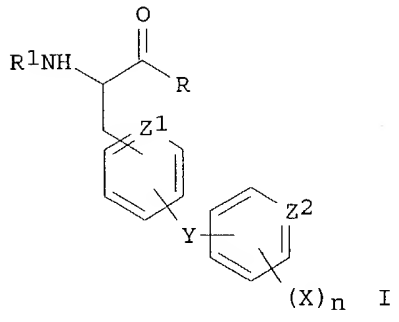
Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Abe	1990			US 4929623 A	HCAPLUS
Bernauer	1995			US 5389653 A	HCAPLUS
Boehringer Ingelheim Ph	1993			EP 0535521 A2	HCAPLUS
Carr	1998			US 5733882 A	HCAPLUS
Fujisawa Pharmaceutical	1996			WO 9613485 A1	HCAPLUS
Suntory Limited	1999			WO 9907704 A1	HCAPLUS

L36 ANSWER 28 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:441762 HCAPLUS
DOCUMENT NUMBER: 133:74323
TITLE: Preparation of N-acylphenylalanine derivatives and analogs as inhibitors of α4β1 mediated cell adhesion
INVENTOR(S): Teegarden, Bradley R.; Jayakumar, Honnappa; Matsuki, Kenji; Chrusciel, Robert A.; Fisher, Jed F.; Tanis, Steven P.; Thomas, Edward W.; Blinn, James R.
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000037429	A2	20000629	WO 1999-US30665		19991220
WO 2000037429	A3	20030522			
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
EP 1144365	A2	20011017	EP 1999-966584		19991220
EP 1144365	A3	20030709			
EP 1144365	B1	20040317			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2003524614	T2	20030819	JP 2000-589501		19991220
AT 261932	E	20040415	AT 1999-966584		19991220
PT 1144365	T	20040630	PT 1999-966584		19991220
PRIORITY APPLN. INFO.:			US 1998-113501P	P	19981222
			WO 1999-US30665	W	19991220

OTHER SOURCE(S): MARPAT 133:74323
GI



I

AB Title compds. I [X = halo, CF3, NO2, OH, alkoxy, NH2, alkyl; n = 1-3; Z1, Z2 = CH or N; Y = OCH2 or NHCO; R = OH or alkoxy; R1 = acyl group] or their pharmaceutically acceptable salts were prepared as inhibitors of α4β1 mediated adhesion to either the vascular cell adhesion mol. (VCAM-1) or the CS-1 domain of fibronectin and are useful in the treatment of inflammatory diseases. Approx. 200 invention compds. and their intermediates were prepared by various coupling methods and purified by chromatog. on silica gel. Thus, 4-[(2,6-dichlorobenzoyl)amino]-N-[[(3S)-7-hydroxy-1,2,3,4-tetrahydro-3-isoquinolyl]carbonyl]-L-phenylalanine was prepared by deprotection of resin-bound N-(tert-butoxycarbonyl)-4-[(2,6-dichlorobenzoyl)amino]-L-phenylalanine with 50% TFA/CH2Cl2, followed by treatment with (3S)-2-(tert-butoxycarbonyl)-7-hydroxy-1,2,3,4-tetrahydro-3-isoquinolinecarboxylic acid, deprotection, and hydrolysis with 2N LiOH. In vitro cell adhesion inhibitory and/or modulatory activities are reported for > 100 invention compds. tested in Jurkat CS-1 and/or Jurkat endothelial cell (EC) adhesion inhibition assays. Ten compds. showed IC50 values ≤ 0.8 μM in both assays.

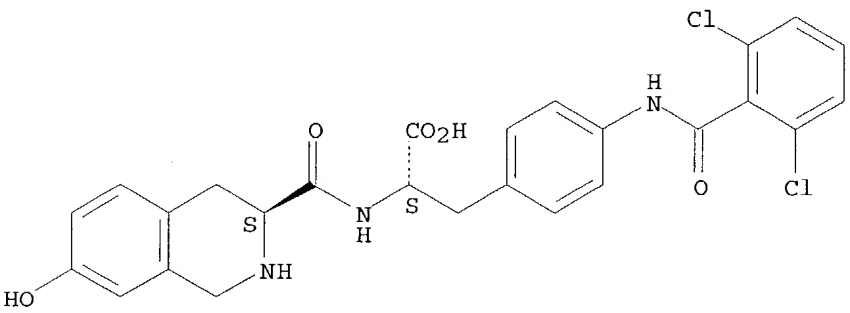
ED Entered STN: 30 Jun 2000

IT **279239-07-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-acylphenylalanine derivs. and analogs as inhibitors of α4β1 mediated cell adhesion)

RN 279239-07-5 HCAPLUS

CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[(3S)-1,2,3,4-tetrahydro-7-hydroxy-3-isoquinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L36 ANSWER 29 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:908698 HCAPLUS

DOCUMENT NUMBER: 134:42443

TITLE: Preparation and use of benzimidazole derivatives for treatment of illness.

INVENTOR(S): Ritzeler, Olaf; Stilz, Hans Ulrich; Neises, Bernhard; Bock, William Jerome, Jr.; Walser, Armin; Flynn, Gary A.

PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany

SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
DE 19928424	A1	20001228	DE 1999-19928424		19990623
CA 2377085	AA	20010104	CA 2000-2377085		20000609
WO 2001000610	A1	20010104	WO 2000-EP5340		20000609
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
BR 2000012450	A	20020402	BR 2000-12450		20000609
EP 1194425	A1	20020410	EP 2000-938780		20000609
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2003503400	T2	20030128	JP 2001-507019		20000609
EE 200100619	A	20030217	EE 2001-619		20000609
NZ 516348	A	20030630	NZ 2000-516348		20000609
AU 769350	B2	20040122	AU 2000-54042		20000609
US 6358978	B1	20020319	US 2000-599390		20000622
ZA 2001010127	A	20021105	ZA 2001-10127		20011210
NO 2001006154	A	20020219	NO 2001-6154		20011217
PRIORITY APPLN. INFO.:			DE 1999-19928424	A	19990623
			DE 2000-10006297	A	20000212
			WO 2000-EP5340	W	20000609

OTHER SOURCE(S): MARPAT 134:42443
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds., e.g. (I), were prepared (no data) for use in treating diseases which feature an intensified activity by transcription factor NFκB. An example is given of solid-phase synthesis of (II). In in vitro tests, I had IC50 of 1 μM for IκB-kinase, while inhibiting other kinase activities (protein kinases A and C, and casein kinase) 36, 63, and 70%, resp. In the same tests, II showed IC50 of 25 μM for IκB, and inhibited the other kinases 24, 7, and 17%, resp.

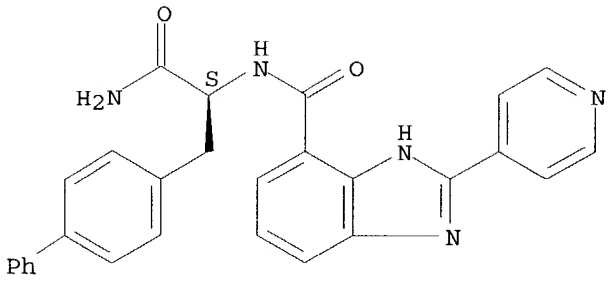
ED Entered STN: 28 Dec 2000

IT **313065-30-4P 313065-32-6P 313065-47-3P**
313065-69-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and use of benzimidazole derivs. for treatment of illness)

RN 313065-30-4 HCAPLUS

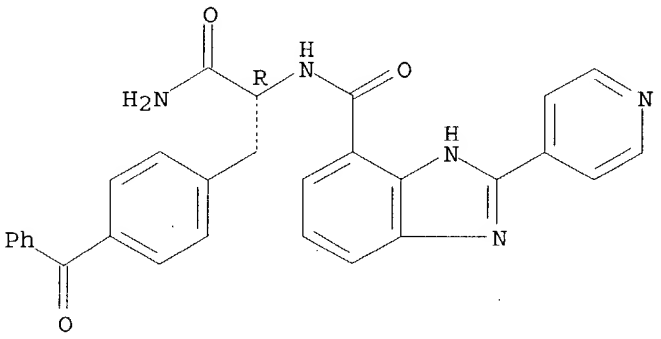
CN 1H-Benzimidazole-4-carboxamide, N-[(1S)-2-amino-1-([1,1'-biphenyl]-4-ylmethyl)-2-oxoethyl]-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



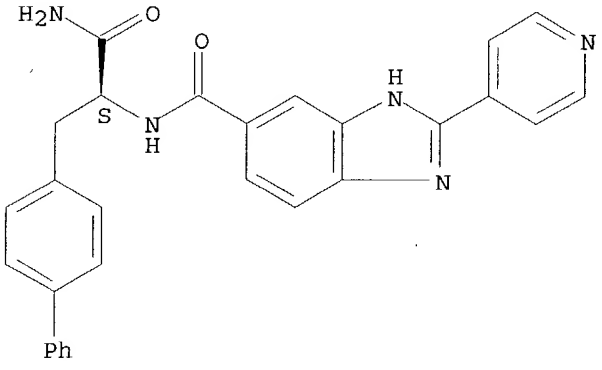
RN 313065-32-6 HCAPLUS
CN 1H-Benzimidazole-4-carboxamide, N-[(1R)-2-amino-1-[(4-benzoylphenyl)methyl]-2-oxoethyl]-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



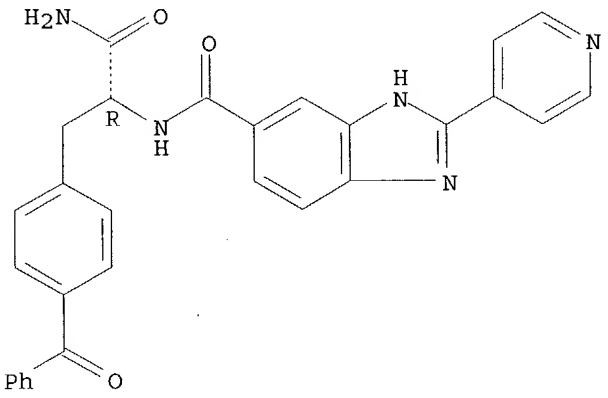
RN 313065-47-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-[(1S)-2-amino-1-([1,1'-biphenyl]-4-ylmethyl)-2-oxoethyl]-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313065-69-9 HCAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-[(1R)-2-amino-1-[(4-benzoylphenyl)methyl]-2-oxoethyl]-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

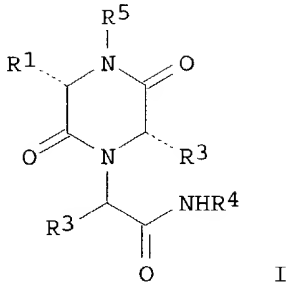
Absolute stereochemistry.



L36 ANSWER 30 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:613947 HCAPLUS
DOCUMENT NUMBER: 131:243287
TITLE: Preparation of dioxopiperazinoacetamides as fructose-1,6-bisphosphatase inhibitors
INVENTOR(S): Mjalli, Adnan M. M.; Mason, James Christopher; Arienti, Kristen Lee; Short, Kevin Michael; Kimmich, Rachel Denise Anne; Jones, Todd Kevin
PATENT ASSIGNEE(S): Ontogen Corporation, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9947549	A1	19990923	WO 1999-US5552		19990315
W: AU, CA, JP					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
CA 2289621	AA	19990923	CA 1999-2289621		19990315
AU 9930870	A1	19991011	AU 1999-30870		19990315
US 6107274	A	20000822	US 1999-270121		19990315
EP 1070084	A1	20010124	EP 1999-912505		19990315
R: DE, FR, GB					
JP 2001294586	A2	20011023	JP 2000-386045		19990315
PRIORITY APPLN. INFO.:			US 1998-78065P	P	19980316
			WO 1999-US5552	W	19990315

OTHER SOURCE(S): MARPAT 131:243287
GI



I

AB Title compds. [I; R1 = cycloalkyl or aralkyl; R2 = cycloalkylmethyl or (ar)alkyl; R3 = H, F, alkyl, substituted Ph; R4 = H, alkyl, acyl, substituted Ph; R5 = H; R1R5 = atoms to complete a ring] were prepared Thus, L-R2CH(NH2)CO2Me.HCl (R2 = cyclohexyl), 4-(NC)C6H4CHO, N-Fmoc-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid, and 4-(CNH2CH2C)C6H4OCH2Ph were subjected to Ugi condensation and the product cyclized to give, after deprotection, I [R1R5 = 2-(H2C)C6H4CH2, R2 = cyclohexylmethyl, R3 = 4-(NC)C6H4, R4 = CH2CH2C6H4(OH)-4]. Data for biol. activity of I were given.

ED Entered STN: 26 Sep 1999

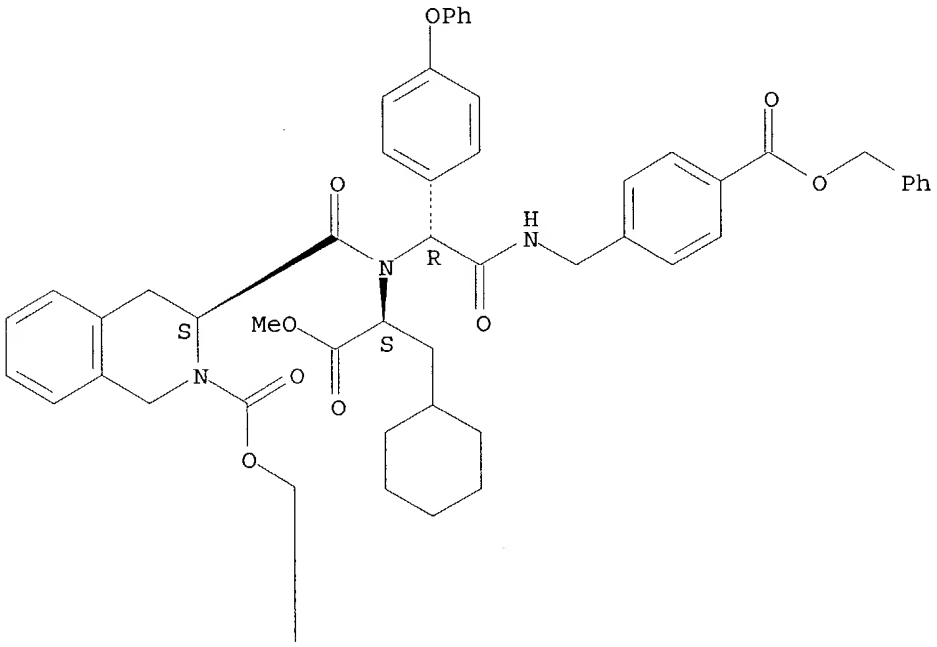
IT **244220-86-8P 244220-87-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dioxopiperazinoacetamides as fructose-1,6-bisphosphatase inhibitors)

RN 244220-86-8 HCAPLUS

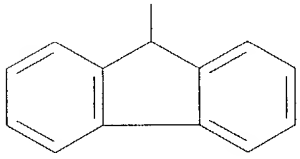
CN 2(1H)-Isoquinolinecarboxylic acid, 3-[[[(1S)-1-(cyclohexylmethyl)-2-methoxy-2-oxoethyl][(1R)-2-oxo-1-(4-phenoxyphenyl)-2-[[[4-[(phenylmethoxy)carbonyl]phenyl]methyl]amino]ethyl]amino]carbonyl]-3,4-dihydro-, 9H-fluoren-9-ylmethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



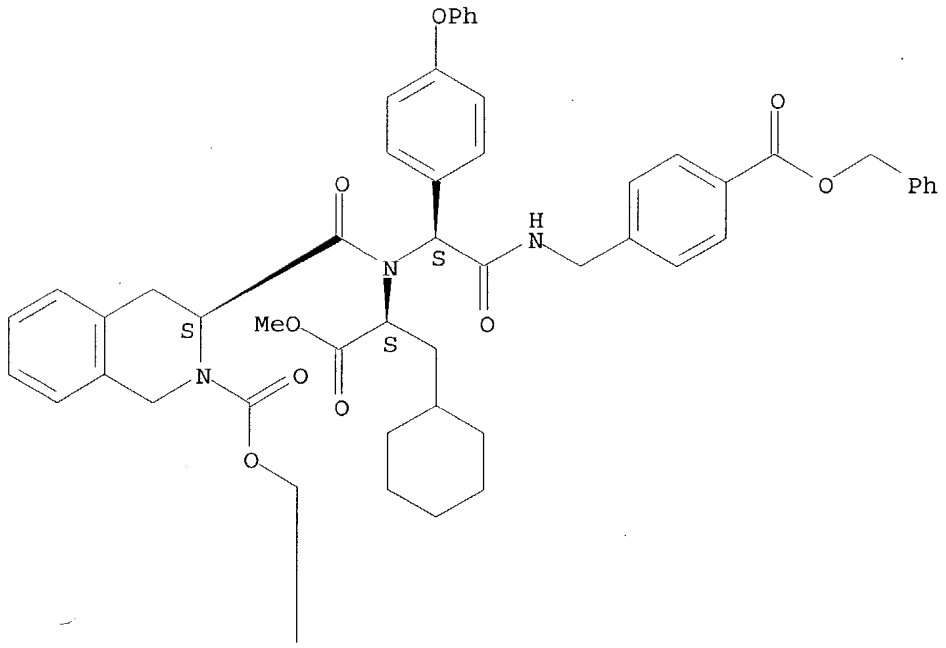
PAGE 2-A



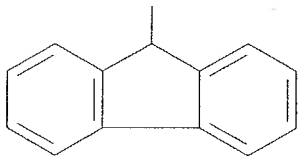
RN 244220-87-9 HCAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3-[[[(1S)-1-(cyclohexylmethyl)-2-methoxy-2-oxoethyl][(1S)-2-oxo-1-(4-phenoxyphenyl)-2-[[[4-[(phenylmethoxy)carbonyl]phenyl]methyl]amino]ethyl]amino]carbonyl]-3,4-dihydro-, 9H-fluoren-9-ylmethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Szardenings	1998			US 5817751 A	HCAPLUS

L36 ANSWER 31 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:487274 HCAPLUS
DOCUMENT NUMBER: 131:116520
TITLE: Preparation of phenylalanine derivatives as pharmaceutical agents
INVENTOR(S): Head, John Clifford; Archibald, Sarah Catherine; Warrellow, Graham John; Porter, John Robert
PATENT ASSIGNEE(S): Celltech Therapeutics Limited, UK
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937618	A1	19990729	WO 1999-GB279	19990127
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6329372	B1	20011211	US 1999-237060	19990126
AU 9924320	A1	19990809	AU 1999-24320	19990127
EP 1051399	A1	20001115	EP 1999-903798	19990127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002501051	T2	20020115	JP 2000-528542	19990127
US 2002035127	A1	20020321	US 2001-964161	20010926
PRIORITY APPLN. INFO.:			GB 1998-1674 A	19980127
			GB 1998-26669 A	19981203
			US 1999-237060 A1	19990126
			WO 1999-GB279 W	19990127

OTHER SOURCE(S): MARPAT 131:116520

AB Phenylalanine derivs. 4-[R1(Alk1)rL1s]C6H2RaRb(Alk2)mCHRR2NR3COHet [R is a carboxylic acid or derivative; R1 = H, OH, alkoxy or optionally substituted cycloaliph., polycycloaliph., heterocycloaliph., polyheterocycloaliph., arom, or heteroarom. group; Alk1 = optionally substituted aliphatic or heteroaliph. chain; L1 is a linker atom or group; r, s = 0, 1; Ra, Rb = -L2(CH2)pL3Rcq, where L2, L3 = a covalent bond or linker atom or group; p = 0, 1; q = 1-3; Rc = H, halo, alkyl, OH, alkoxy, etc.; Alk2 = alkylene; m = 0, 1; R2 = H, Me; R3 = H, alkyl; Het is an optionally substituted heteroarom. group] and their salts, solvates, hydrates and N-oxides were prepared as pharmaceutical agents. Thus, N-(2-chloronicotinoyl)-N'-(3,5-dichloro-4-picolyl)-L-4-aminophenylalanine was prepared by coupling reaction of N-(3,5-dichloro-4-picolyl)-L-4-aminophenylalanine Me ester with 2-chloronicotinoyl chloride followed by ester hydrolysis. Title compds. were tested for inhibition of integrin-dependent cell adhesion and generally have IC50 values in the α4β1 and α4β7 assays of 1μM and below.

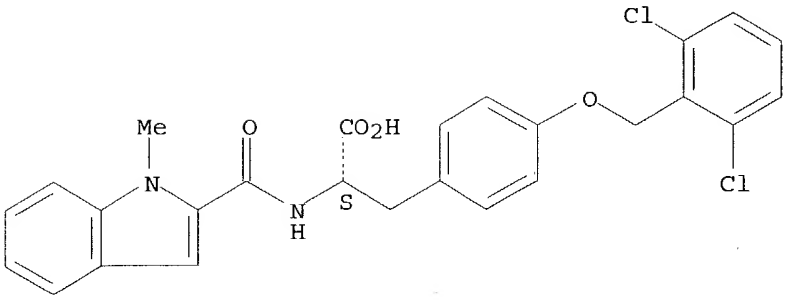
ED Entered STN: 06 Aug 1999

IT **232617-79-7P 232617-85-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylalanine derivs. as pharmaceutical agents)

RN 232617-79-7 HCAPLUS

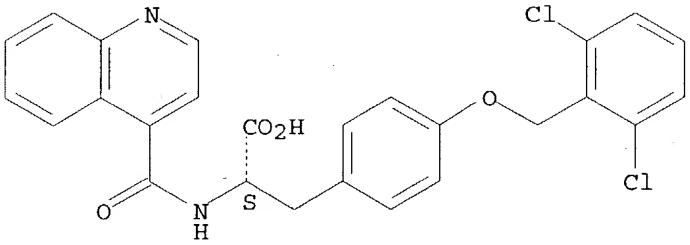
CN L-Tyrosine, O-[(2,6-dichlorophenyl)methyl]-N-[(1-methyl-1H-indol-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 232617-85-5 HCAPLUS
CN L-Tyrosine, O-[(2,6-dichlorophenyl)methyl]-N-(4-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Ajinomoto Kk	1988			EP 0288176 A	HCAPLUS
Desai, B	1997			WO 9708145 A	HCAPLUS
Hagmann, W	1998			WO 9853814 A	HCAPLUS
La Roche, H	1999			WO 9910312 A	HCAPLUS

L36 ANSWER 32 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:166588 HCAPLUS
DOCUMENT NUMBER: 130:196952
TITLE: Preparation of N-alkanoylphenylalanine derivatives as vascular cell adhesion molecule-1 (VCAM-1) binding inhibitors
INVENTOR(S): Chen, Li; Guthrie, Robert William; Huang, Tai-Nang; Hull, Kenneth G.; Sidduri, Achytharao; Tilley, Jefferson Wright
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9910312	A1	19990304	WO 1998-EP5135	19980813

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2301377	AA	19990304	CA 1998-2301377		19980813
AU 9892620	A1	19990316	AU 1998-92620		19980813
AU 739511	B2	20011011			
EP 1005445	A1	20000607	EP 1998-945235		19980813
EP 1005445	B1	20040526			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
TR 200000482	T2	20000621	TR 2000-200000482		19980813
BR 9811730	A	20000905	BR 1998-11730		19980813
JP 2001514162	T2	20010911	JP 2000-507643		19980813
JP 3555876	B2	20040818			
NZ 502813	A	20021025	NZ 1998-502813		19980813
RU 2220950	C2	20040110	RU 2000-106434		19980813
EP 1403247	A1	20040331	EP 2003-27533		19980813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY					
AT 267801	E	20040615	AT 1998-945235		19980813
ZA 9807604	A	19990518	ZA 1998-7604		19980821
US 6229011	B1	20010508	US 1998-137798		19980821
TW 490458	B	20020611	TW 1998-87113768		19980821
HR 2000000080	A1	20001231	HR 2000-80		20000211
NO 2000000841	A	20000221	NO 2000-841		20000221
PRIORITY APPLN. INFO.:			US 1997-56718P	P	19970822
			US 1998-94592P	P	19980729
			EP 1998-945235	A3	19980813
			WO 1998-EP5135	W	19980813

OTHER SOURCE(S): MARPAT 130:196952
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [one of X, X1 = H, halo, lower alkyl and the other = (un)substituted group X6, X7, X10; R1 = H, lower alkyl; n = 0, 1; Het = 5-6 membered heteroarom. ring containing 1-3 heteroatoms N, O, S, or 9-10 membered bicyclic heteroarom. ring containing 1-4 heteroatoms N, O, S; R18 = lower alkyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl; R19 = (un)substituted lower alkyl, aryl, heteroaryl; R20 = lower alkyl, lower alkanoyl; R19R20 = (CH2)4; Y = group Y1, (un)substituted 5-6 membered monocyclic heteroarom. group containing 1-3 heteroatoms N, O, S, 9-10 membered bicyclic heteroarom. group containing 1-4 heteroatoms N, O, S; R22, R23 = H, lower alkyl, lower alkoxy, lower alkoxyaryl, lower alkylamino, aryl, arylalkyl, NO2, CN, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl, lower alkanoyl, halo, perfluoroalkyl; both R22 and R23 ≠ H; R24 = H, OH, lower alkyl, lower alkoxy, lower alkylsulfonyl, amino, aryl, NO2, CN, halo, (un)substituted 1-amino-5-tetrazolyl, sulfonamido, carboxamido; R22R24 = fused benzene ring; Z = H, lower alkyl; R31 = H, (un)substituted lower alkyl] and pharmaceutically acceptable salts and esters thereof, are disclosed which have activity as inhibitors of binding between VCAM-1 and cells expressing integrin VLA-4. Such

compds. are useful for treating diseases whose symptoms and /or damage are related to the binding of VCAM-1 to cells expressing VLA-4. Thus, amidation of 4-amino-N-tert-butoxycarbonyl-L-phenylalanine Me ester with 2,6-dichlorobenzoyl chloride, followed by acidic deprotection, amidation with 2-chloro-6-methylbenzoic acid, and saponification gave desired title derivative

II. II inhibited VLA-4 binding to immobilized VCAM-1 with IC50 = 0.33 nM in solid-phase dual antibody assay.

ED Entered STN: 15 Mar 1999

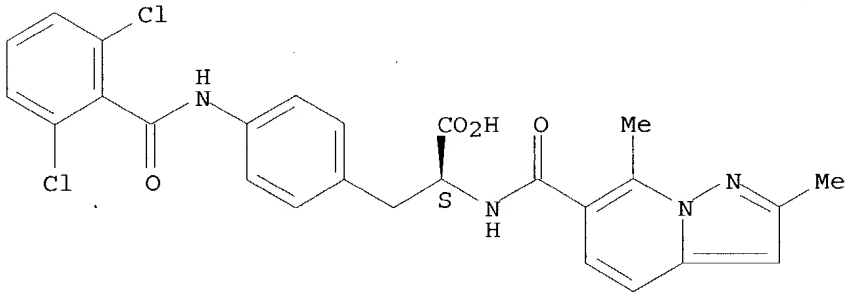
IT **220848-16-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-alkanoylphenylalanine derivs. as vascular cell adhesion mol.-1 (VCAM-1) binding inhibitors)

RN 220848-16-8 HCAPLUS

CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[(2,7-dimethylpyrazolo[1,5-a]pyridin-6-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Adams, S	1996			WO 9622966 A	HCAPLUS
Merck Patent Gmbh	1998			DE 19654483 A	HCAPLUS
Patani, G	1996	96	3147	Chemical Reviews	HCAPLUS
Rico, J	1997			WO 9736859 A	HCAPLUS
Takeda Chemical Industr	1995			WO 9535296 A	HCAPLUS

L36 ANSWER 33 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:439520 HCAPLUS

DOCUMENT NUMBER: 131:102538

TITLE: Preparation of quinoline, isoquinoline, cinnoline and tyrosine derivatives as antiinflammatory and anti-allergy agents

INVENTOR(S): Nakao, Toyoo; Takei, Masao; Fukamachi, Hiromi; Ohashi, Hiroshi

PATENT ASSIGNEE(S): Kirin Brewery Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 40 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

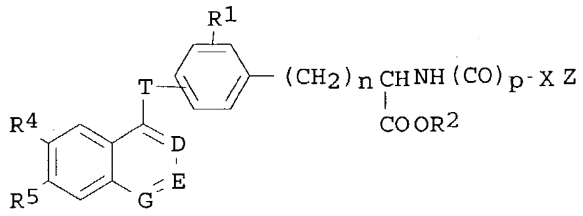
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11189586	A2	19990713	JP 1997-358639	19971225
PRIORITY APPLN. INFO.:			JP 1997-358639	19971225
OTHER SOURCE(S):	MARPAT 131:102538			

GI



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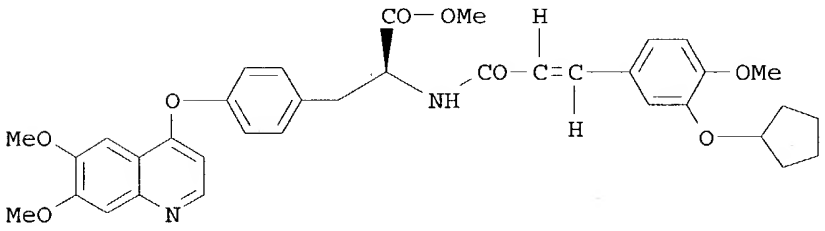


II

AB Title compds. [I; T = O at 4, 3 position; R1 = H, 3-I, 3-Cl, 3-F, 3-OMe; R4 = H, OMe; R5 = H, OMe; G = N, CH; E = CH, N; D = CH, N; R2 = Et, Me; n = 0, 1; p = 1, 0; X = bond, CH2CH2, CH:CH, O, CH2, (CH2)10, (CH2)3, (CH2)6; Z = H, Bu-t, (un)substituted benzene, 1-naphthyl, 2-naphthyl,3-quinolinyl] are prepared as antiinflammatory agents and anti-allergy agents. Thus, title compound II was prepared from reaction product of isovanillic acid, cyclopentyl bromide, acetic acid, (triphenylphosphoranylidene)-, Me ester, and L-Tyrosine, O-(1,1-dimethylethyl)-, Me ester with addition cyclization reaction product of 3,4-Dimethoxyaniline and propanedioic acid, (ethoxymethylene)-, di-Et ester (EtOCOC(:CHOEt)COOEt).

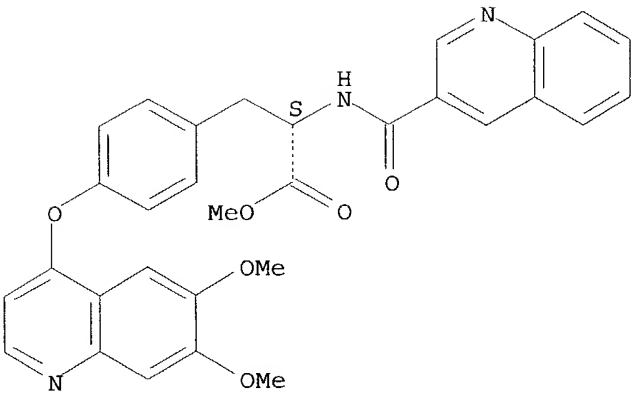
ED Entered STN: 19 Jul 1999

IT **231634-29-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of quinoline, isoquinoline, cinnoline and amino acid derivs. as antiinflammatory and anti-allergy agents)

RN 231634-29-0 HCAPLUS

CN L-Tyrosine, O-(6,7-dimethoxy-4-quinolinyl)-N-(3-quinolinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

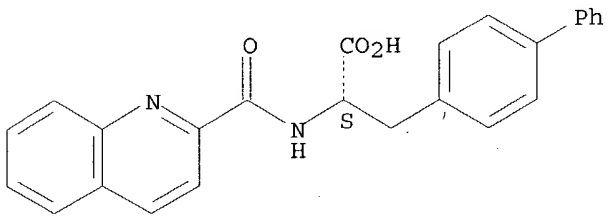


L36 ANSWER 34 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:332252 HCAPLUS
DOCUMENT NUMBER: 131:88160
TITLE: Enantioselective solid-phase synthesis of α-amino acid derivatives
AUTHOR(S): O'Donnell, Martin J.; Delgado, Francisca; Pottorf, Richard S.
CORPORATE SOURCE: Department of Chemistry, Indiana University-Purdue University at Indianapolis, Indianapolis, IN, 46202, USA
SOURCE: Tetrahedron (1999), 55(20), 6347-6362
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Wang-resin bound derivs. of glycine Schiff base esters are alkylated in the presence of quaternary ammonium salts derived from cinchonidine or cinchonine using phosphazene bases to give either enantiomer of the product α-amino acid derivs. in 51-89% ee.

ED Entered STN: 31 May 1999
IT **229630-68-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of in enantioselective solid-phase synthesis of α-amino acid derivs.)
RN 229630-68-6 HCAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-quinolinylcarbonyl)amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Al-Obeidi, F	1998	9	205	Mol Biotechnol	HCAPLUS
Aldrich Chemical Compan	1998-	999		Catalog Handbook of	
Annis, D	1998	37	1907	Angew Chem Int Ed En	HCAPLUS
Anon	1997			A Practical Guide to	
Anon	1997	1		Annual Reports in Co	
Anon	1998			Combinatorial Chemis	
Anon	1997	289		Solid-Phase Peptide	
Anon	1997	53	6573	Tetrahedron Symposiu	
Barbaste, M	1998	39	6287	Tetrahedron Lett	HCAPLUS
Brown, A	1998		817	SYNLETT	HCAPLUS
Brown, R	1998		3293	J Chem Soc Perkin Tr	HCAPLUS
Bunin, B	1998			Combinatorial Index	
Chinchilla, R	1998	9	2769	Tetrahedron: Asymm	HCAPLUS
Corey, E	1997	119	12414	J Am Chem Soc	HCAPLUS
Corey, E	1997	119	12414	J Am Chem Soc	HCAPLUS
Corey, E	1998	39	5347	Tetrahedron Lett	HCAPLUS
Dolling, U	1984	106	446	J Am Chem Soc	HCAPLUS
Dominguez, E	1998	39	2167	Tetrahedron Lett	HCAPLUS
Esikova, I	1997			Phase-Transfer Catal	
Fujii, K	1997	69	3346	Anal Chem	HCAPLUS
Ghosez, L	1982	23	4255	Tetrahedron Lett	HCAPLUS
Griffith, D	1997	38	8821	Tetrahedron Lett	HCAPLUS
Hruby, V	1998		27	Bioorg Chem: Pept Pr	HCAPLUS
Imperiali, B	1992	57	757	J Org Chem	HCAPLUS
Imperiali, B	1993	58	1613	J Org Chem	HCAPLUS
Imperiali, B	1993	58	1613	J Org Chem	HCAPLUS
Imperiali, B	1995	60	1891	J Org Chem	HCAPLUS
James, I	1997	2	175	Mol Diversity	HCAPLUS
James, I	1998	3	181	Mol Diversity	
Kinoshita, T	1981	210	77	J Chromatogr	HCAPLUS
Lee, W	1997	30	2791	Anal Lett	HCAPLUS
Lee, W	1998	19	715	Bull Korean Chem Soc	HCAPLUS
Lopez, A	1998	9	1967	Tetrahedron: Asymm	HCAPLUS
Lygo, B	1997	38	8595	Tetrahedron Lett	HCAPLUS
Matt, T	1998	81	1845	Helv Chim Acta	HCAPLUS
McCarthy, J	1987		469	Chem Commun	HCAPLUS
Meyer, L	1998	63	8094	J Org Chem	HCAPLUS
Miyashita, K	1998		1987	Chem Commun	HCAPLUS
Nimura, N	1980	202	375	J Chromatogr	HCAPLUS
Nimura, N	1984	316	547	J Chromatogr	HCAPLUS
O'Donnell, M	1996			US 5554753	HCAPLUS
O'Donnell, M	1993			Catalytic Asymmetric	
O'Donnell, M	1988	110	8520	J Am Chem Soc	HCAPLUS
O'Donnell, M	1989	111	2353	J Am Chem Soc	HCAPLUS
O'Donnell, M	1989	111	2353	J Am Chem Soc	HCAPLUS
O'Donnell, M	1996	118	6070	J Am Chem Soc	HCAPLUS
O'Donnell, M	1982	47	2663	J Org Chem	HCAPLUS
O'Donnell, M	1997			Phase-Transfer Catal	
O'Donnell, M	1998	4		Phases	
O'Donnell, M	1994	68	2477	Polish J Chem	HCAPLUS
O'Donnell, M	1989	19	1157	Synth Commun	HCAPLUS
O'Donnell, M	1984		127	Synthesis	HCAPLUS
O'Donnell, M	1984		127	Synthesis	HCAPLUS
O'Donnell, M	1984		313	Synthesis	HCAPLUS
O'Donnell, M	1984		313	Synthesis	HCAPLUS
O'Donnell, M	1984		313	Synthesis	HCAPLUS
O'Donnell, M	1994	50	4507	Tetrahedron	HCAPLUS

O'Donnell, M	1978		2641	Tetrahedron Lett	HCAPLUS
O'Donnell, M	1978		4625	Tetrahedron Lett	HCAPLUS
O'Donnell, M	1982	23	4259	Tetrahedron Lett	HCAPLUS
O'Donnell, M	1985	26	3067	Tetrahedron Lett	HCAPLUS
O'Donnell, M	1997	38	7163	Tetrahedron Lett	HCAPLUS
O'Donnell, M	1998	39	8775	Tetrahedron Lett	HCAPLUS
O'Donnell, M	1998	39	8775	Tetrahedron Lett	HCAPLUS
O'Donnell, M	1992	3	591	Tetrahedron: Asymm	HCAPLUS
Peter, A	1998	797	165	J Chromatogr A	
Pirrung, M	1993	58	954	J Org Chem	HCAPLUS
Sauvagnat, B	1998	39	821	Tetrahedron Lett	HCAPLUS
Scott, W	1997	38	3695	Tetrahedron Lett	HCAPLUS
Shioiri, T	1997			Handbook of Phase Tr	
Terrett, N	1998			Combinatorial Chemis	
Tian, Z	1991	541	297	J Chromatogr	HCAPLUS
Tohdo, K	1992	29	7	Peptide Chem	
Tohdo, K	1994		247	SYNLETT	HCAPLUS
Torrado, A	1996	61	8940	J Org Chem	HCAPLUS
Torrado, A	1996	61	8940	J Org Chem	HCAPLUS
Wilson, S	1997			Combinatorial Chemis	

L36 ANSWER 35 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:327924 HCAPLUS
DOCUMENT NUMBER: 131:141312
TITLE: Structure-based discovery and in-parallel optimization of novel competitive inhibitors of thymidylate synthase
AUTHOR(S): Tondi, Donatella; Slomczynska, Ursula; Costi, M. Paola; Watterson, D. Martin; Ghelli, Stefano; Shoichet, Brian K.
CORPORATE SOURCE: Department of Molecular Pharmacology and Biological Chemistry, Northwestern University, Chicago, IL, 60611-3008, USA
SOURCE: Chemistry & Biology (1999), 6(5), 319-331
CODEN: CBOLE2; ISSN: 1074-5521
PUBLISHER: Current Biology Publications
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The substrate sites of enzymes are attractive targets for structure-based inhibitor design. Two difficulties hinder efforts to discover and elaborate new (nonsubstrate-like) inhibitors for these sites. First, novel inhibitors often bind at nonsubstrate sites. Second, a novel scaffold introduces chemical that is frequently unfamiliar, making synthetic elaboration challenging. In an effort to discover and elaborate a novel scaffold for a substrate site, we combined structure-based screening with in-parallel synthetic elaboration. These techniques were used to find new inhibitors that bound to the folate site of Lactobacillus casei thymidylate synthase (LcTS), an enzyme that is a potential target for proliferative diseases, and is highly studied. The available chems. directory was screened, using a mol.-docking computer program, for mols. that complemented the three-dimensional structure of this site. Five high-ranking compds. were selected for testing. Activity and docking studies led to a derivative of one of these, dansyltyrosine (Ki 65 μM). Using solid-phase in-parallel techniques 33 derivs. of this lead were synthesized and tested. These analogs are dissimilar to the substrate but bind competitively with it. The most active analog had a Ki of 1.3 μM. The tighter binding inhibitors were also the most specific for LcTS vs. related enzymes. TS can recognize inhibitors that are dissimilar to, but that bind competitively with, the folate substrate. Combining structure-based discovery with in-parallel synthetic techniques allowed

the rapid elaboration of this series of compds. More automated versions of this approach can be envisaged.

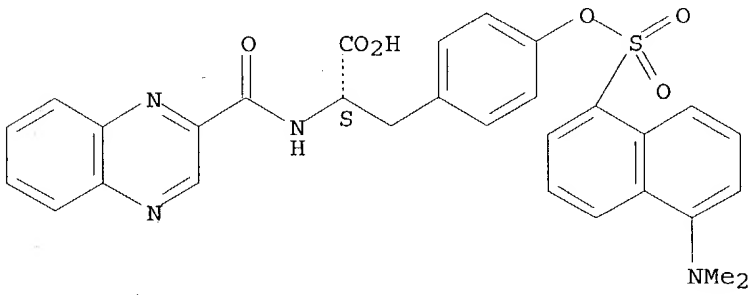
ED Entered STN: 28 May 1999

IT **236430-18-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(structure-based discovery and in-parallel optimization of novel competitive inhibitors of thymidylate synthase)

RN 236430-18-5 HCAPLUS

CN L-Tyrosine, N-(2-quinoxalinylcarbonyl)-, 5-(dimethylamino)-1-naphthalenesulfonate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Appelt, K	1991	34	1925	J Med Chem	HCAPLUS
Arevalo, J	1993	365	859	Nature	HCAPLUS
Ariens, E	1971	1	177	Drug Design	
Badger, J	1989	6	1	Proteins	HCAPLUS
Ballinger, M	1998	273	11675	J Biol Chem	HCAPLUS
Bartlett, P	1989		182	Molecular Recognitio	HCAPLUS
Blankenmeyer-Menge, B	1990	31	1701	Tetrahedron Lett	
Bodian, D	1993	32	2967	Biochemistry	HCAPLUS
Bohacek, R	1996	16	3	Med Res Rev	HCAPLUS
Bolin, J	1982	257	13650	J Biol Chem	HCAPLUS
Brady, S	1998	41	401	J Med Chem	HCAPLUS
Burkhard, P	1998	277	449	J Mol Biol	HCAPLUS
Climie, S	1990	87	633	Proc Natl Acad Sci U	HCAPLUS
Costi, M	1998	18	21	Med Res Rev	HCAPLUS
Davisson, V	1989	264	9145	J Biol Chem	HCAPLUS
DesJarlais, R	1990	87	6644	Proc Natl Acad Sci U	HCAPLUS
Ferrin, T	1988	6	13	J Mol Graph	HCAPLUS
Finer-Moore, J	1993	232	1101	J Mol Biol	HCAPLUS
Gangjee, A	1996	39	4563	J Med Chem	HCAPLUS
Gilson, M	1987	330	84	Nature	HCAPLUS
Hardy, L	1992	89	9725	Proc Natl Acad Sci U	HCAPLUS
Jones, T	1996	39	904	J Med Chem	HCAPLUS
Kick, E	1997	4	297	Chem Biol	HCAPLUS
Kuntz, I	1994	27	117	Accounts Chem Res	HCAPLUS
Leop, A	1993	93	1281	Chem Rev	
Liu, L	1993	32	9263	Biochemistry	HCAPLUS
Lorber, D	1998	7	151	Prot Science	
Lu, W	1997	266	441	J Mol Biol	HCAPLUS

Malby, R	1994	2	733	Structure	HCAPLUS
Mattos, C	1994	1	55	Nat Struct Biol	HCAPLUS
Mehanna, A	1990	29	3944	Biochemistry	HCAPLUS
Meng, E	1992	13	505	J Comp Chem	HCAPLUS
Meng, E	1993	17	266	Proteins	HCAPLUS
Montfort, W	1990	29	6964	Biochemistry	HCAPLUS
Montgomery, J	1993	36	55	J Med Chem	HCAPLUS
Radzicka, A	1995	267	90	Science	HCAPLUS
Reich, S	1992	35	847	J Med Chem	HCAPLUS
Ren, J	1995	2	293	Struct Biol	HCAPLUS
Rink, H	1987	28	3787	Tetrahedron Lett	HCAPLUS
Rutenber, E	1993	268	15343	J Biol Chem	HCAPLUS
Scheidig, A	1997	6	1806	Protein Sci	HCAPLUS
Segel, I	1975			Enzyme Kinetics	
Shoichet, B	1996	3	151	Chem Biol	HCAPLUS
Shoichet, B	1992	13	380	J Comp Chem	HCAPLUS
Shoichet, B	1999	34	4	Proteins	HCAPLUS
Shoichet, B	1993	259	1445	Science	HCAPLUS
Stout, T	1999	38	1607	Biochemistry	HCAPLUS
Strynadka, N	1996	3	233	Nat Struct Biol	HCAPLUS
Toney, J	1998	5	185	Chem Biol	HCAPLUS
Verlinde, C	1994	2	577	Structure	HCAPLUS
von Itzstein, M	1993	363	418	Nature	HCAPLUS
Weber, P	1992	31	9350	Biochemistry	HCAPLUS
Weston, G	1998	41	4577	J Med Chem	HCAPLUS
Wilson, K	1997	4	423	Chem Biol	HCAPLUS
Zuckermann, R	1994	37	2678	J Med Chem	HCAPLUS

L36 ANSWER 36 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:799995 HCAPLUS
DOCUMENT NUMBER: 130:52736
TITLE: Preparation of biarylalkanoic acids as cell adhesion inhibitors
INVENTOR(S): Durette, Philippe L.; Hagmann, William K.; Maccoss, Malcolm; Mills, Sander G.; Mumford, Richard A.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9853817	A1	19981203	WO 1998-US10951		19980529
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
AU 9877031	A1	19981230	AU 1998-77031		19980529
AU 726585	B2	20001109			
EP 1017382	A1	20000712	EP 1998-924988		19980529
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
JP 2001517245	T2	20011002	JP 1999-500938		19980529
US 6291511	B1	20010918	US 1999-359015		19990722
PRIORITY APPLN. INFO.:			US 1997-47856P	P	19970529

GB 1997-14316	A	19970707
US 1997-66831P	P	19971125
GB 1998-680	A	19980114
US 1998-85793	B1	19980528
WO 1998-US10951	W	19980529

OTHER SOURCE(S): MARPAT 130:52736

AB Compds. R1YNR2CR3R4CONR5CR6R7X [R1 = (un)substituted alkyl, alkenyl, alkynyl, a cyclic group Cy, Cy-alkyl, Cy-alkenyl, Cy-alkynyl; R2, R3 independently are H or R1; or R2 and R3 together form a ring; R4, R7 independently are H, (un)substituted alkyl, alkenyl, alkynyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl; or R3 and R4 together form a ring; R5 = H or (un)substituted alkyl or Cy; R6 = diarylalkyl, -alkenyl, or -alkynyl; X = CO2H, PO3H2, PH(O)OH, SO2H, SO3H or ester derivs., carbamoyl group, or 5-tetrazolyl; Y = CO, OCO, NHCO or iminocarbonyl group, SO2, P(O)(ORi) (Ri =alkyl, alkenyl, alkynyl, aryl), COCO] were prepared as cell adhesion inhibitors. Pharmaceutical compns. are described. Thus, N-(3,5-dichlorobenzenesulfonyl)-L-prolyl-L-4-(4-fluorophenyl)phenylalanine was prepared by coupling of N-(3,5-dichlorobenzenesulfonyl)-L-proline with 4-iodo-L-phenylalanine and reaction with 4-fluorobenzeneboronic acid.

ED Entered STN: 22 Dec 1998

IT **217325-07-0P**

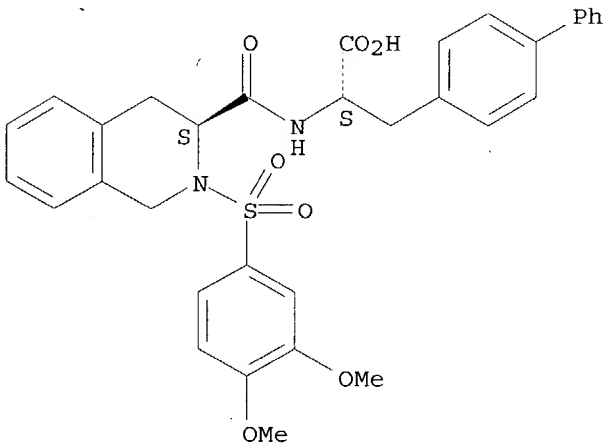
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptidyl biarylalkanoic acids as cell adhesion inhibitors)

RN 217325-07-0 HCAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, α-[[[(3S)-2-[(3,4-dimethoxyphenyl)sulfonyl]-1,2,3,4-tetrahydro-3-isoquinolinyl]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Ackermann	1998			US 5763604 A	HCAPLUS

L36 ANSWER 37 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:180848 HCAPLUS

DOCUMENT NUMBER: 128:243960

TITLE: 8-Hydroxy-7-substituted quinolines as anti-viral

agents

INVENTOR(S): Vaillancourt, Valerie A.; Romines, Karen R.; Romero, Arthur G.; Tucker, John A.; Strohbach, Joseph W.; Bezencon, Olivier; Thaisrivongs, Suvit; et al.

PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA

SOURCE: PCT Int. Appl., 280 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

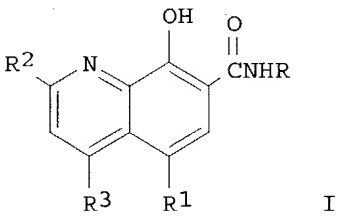
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9811073	A1	19980319	WO 1997-US15310	19970905
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2262786	AA	19980319	CA 1997-2262786	19970905
AU 9741721	A1	19980402	AU 1997-41721	19970905
EP 927164	A1	19990707	EP 1997-939690	19970905
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6310211	B1	20011030	US 1997-924683	19970905
JP 2002505660	T2	20020219	JP 1998-513685	19970905
US 6211376	B1	20010403	US 1999-425789	19991022
US 6252080	B1	20010626	US 1999-425564	19991022
US 6500842	B1	20021231	US 2001-14780	20011023

PRIORITY APPLN. INFO.:			
	US 1996-25870P	P	19960910
	US 1997-50720P	P	19970625
	US 1997-924683	A3	19970905
	WO 1997-US15310	W	19970905

OTHER SOURCE(S): MARPAT 128:243960

GI

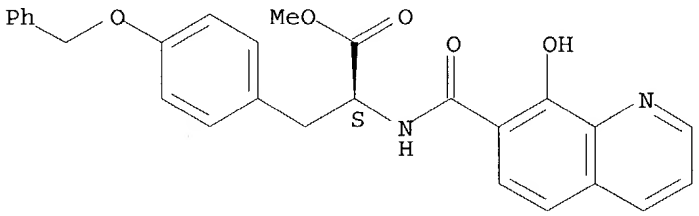


I

AB The present invention provides for 8-hydroxy-7-substituted quinoline compds. I (R = alkyl, alkylamino, alkoxyalkyl, etc.; R1 = H, F, Cl, Br, Cf3, etc.; R2 = H, alkyl, OH, arylalkenyl, etc.; R3 = H, OH, CF3, C1-C3alkyl) are prepared as anti-viral agents. Specifically, these compds. have anti-viral activity against the herpes virus, cytomegalovirus (CMV). Many of these compds. are also active against other herpes viruses, such as the varicella zoster virus, the Epstein-Barr virus, the herpes simplex virus and the human herpes virus type 8 (HHV-8).

ED Entered STN: 27 Mar 1998
IT **205038-96-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 8-hydroxy-7-substituted quinolines as anti-viral agents)
RN 205038-96-6 HCAPLUS
CN L-Tyrosine, N-[(8-hydroxy-7-quinolinyl)carbonyl]-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



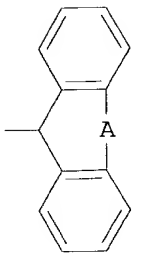
RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Kemp, D	1974	30	3677	TETRAHEDRON	HCAPLUS
Wentland, M	1990			US 4959363 A	HCAPLUS

L36 ANSWER 38 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:693420 HCAPLUS
DOCUMENT NUMBER: 129:330479
TITLE: Preparation of amidines as neuropeptide Y receptor antagonists and therapeutics for hyperphagia, etc.
INVENTOR(S): Ito, Satoru; Sagara, Takeshi; Koito, Kiyota; Nishioka, Toru; Ouchi, Kenji; Fukuroda, Naohiro
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10287637	A2	19981027	JP 1997-111837	19970414
PRIORITY APPLN. INFO.:			JP 1997-111837	19970414

OTHER SOURCE(S): MARPAT 129:330479
GI



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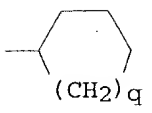
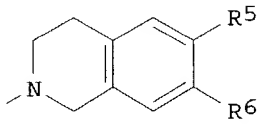


II



III

AB R1CONHCH(COR3)XNHC(:NH)(CH2)n(CH:CH)2R2 [n = 0-6; p = 0-1; R1 = (CH2)m(CHAr2)kAr1 [Ar1, Ar2 =(un)substituted aryl; k = 0-1; m = 0-2], dibenzocyclyl I [A = direct bond, CH2, O, (lower alkyl-substituted) NH, S]; R2 = H, (un)substituted aryl, heterocyclyl, (un)substituted cycloimino II (q = 1-3); R3 = N(CH2)rR4 [R = 0-2; R4 = (un)substituted aryl, heterocyclyl], II, III (R5, R6 = H, lower alkoxy); X = (CH2)t (t = 3-4), p-CH2C6H4CH2] or their pharmaceutically acceptable salts are prepared Prophylactic and therapeutic agents for hyperphagia, obesity, and diabetes contain ≥1 I or their salts. N-[DL-N-α-(p-biphenylacetyl)-N-ω-(3-phenyl-1-imino-2-propenyl)lysyl]tetrahydroisoquinoline (preparation given) suppressed neuropeptide Y-induced feeding behavior.

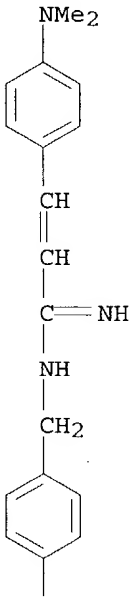
ED Entered STN: 02 Nov 1998

IT **215302-65-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidines as neuropeptide Y receptor antagonists for treatment of hyperphagia, obesity, and diabetes)

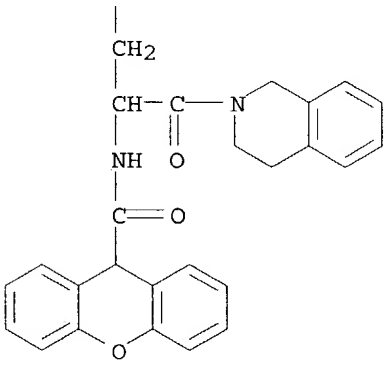
RN 215302-65-1 HCAPLUS

CN 9H-Xanthene-9-carboxamide, N-[2-(3,4-dihydro-2(1H)-isoquinolinyl)-1-[[4-[[[3-[4-(dimethylamino)phenyl]-1-imino-2-propenyl]amino]methyl]phenyl]methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L36 ANSWER 39 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:594721 HCAPLUS
DOCUMENT NUMBER: 127:278064
TITLE: Substituted 4-hydroxyphenylalkanoic acid derivatives with agonist activity to PPAR-gamma
INVENTOR(S): Willson, Timothy Mark; Mook, Robert Anthony, Jr.; Kaldor, Istvan; Henke, Brad Richard; Deaton, David Norman; Collins, Jon Loren; Cobb, Jeffrey Edmond; et al.
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9731907	A1	19970904	WO 1997-EP916		19970226
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
CA 2247443	AA	19970904	CA 1997-2247443		19970226
AU 9720935	A1	19970916	AU 1997-20935		19970226
AU 717699	B2	20000330			
ZA 9701645	A	19971210	ZA 1997-1645		19970226
EP 888317	A1	19990107	EP 1997-906130		19970226
EP 888317	B1	20010912			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI					
CN 1218460	A	19990602	CN 1997-193988		19970226
CN 1093124	B	20021023			
BR 9707786	A	19990727	BR 1997-7786		19970226
JP 2000507216	T2	20000613	JP 1997-530586		19970226
JP 3255930	B2	20020212			
NZ 331381	A	20000623	NZ 1997-331381		19970226
IL 125796	A1	20010614	IL 1997-125796		19970226
AT 205485	E	20010915	AT 1997-906130		19970226
ES 2163125	T3	20020116	ES 1997-906130		19970226
PT 888317	T	20020328	PT 1997-906130		19970226
SK 282753	B6	20021203	SK 1998-1163		19970226
HR 970110	B1	20030630	HR 1997-970110		19970226
TW 391958	B	20000601	TW 1997-86102826		19970307
US 6294580	B1	20010925	US 1998-125750		19980825
NO 9803940	A	19981027	NO 1998-3940		19980827
HK 1015369	A1	20020215	HK 1999-100498		19990205
PRIORITY APPLN. INFO.:			GB 1996-4242	A	19960228
			WO 1997-EP916	W	19970226

OTHER SOURCE(S): MARPAT 127:278064

AB Compds. 4-(A-B-O)C6H4-Q-CHZCO2R1 [A = (un)substituted Ph, heterocyclyl, fused bicyclic ring; B = alkylene, heterocyclyl; Q = alkylene; R1 = H, alkyl; Z = alkylenephenyl, NR3R4 (R3 = H, alkyl; R4 = YXOTR5, YCH(OH)TR5 with Y = bond, alkylene, alkenylene, cycloalkylene, etc. and T = bond, O, etc. and R5 = alkyl, cycloalkyl, (un)substituted Ph)] were prepared and their agonist activity to PPAR-gamma determined E.g., O-benzyl L-tyrosine, dicyclohexylamine, and 1-benzoylacetone were refluxed in MeOH to give 3-(4-benzyloxyphenyl)-2(S)-(1-methyl-3-oxo-3-phenylpropenylamino)propionic acid dicyclohexylamine salt.

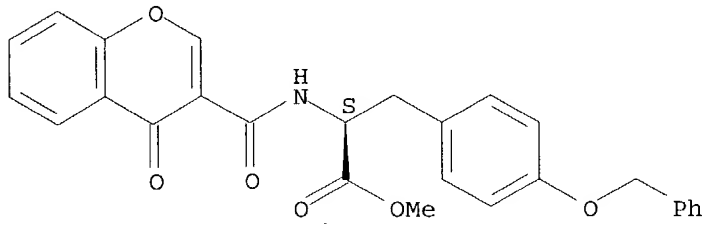
ED Entered STN: 17 Sep 1997

IT **196808-22-7P 196808-44-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (hydroxyphenyl)alkanoic acids with agonist activity to PPAR-gamma)

RN 196808-22-7 HCAPLUS

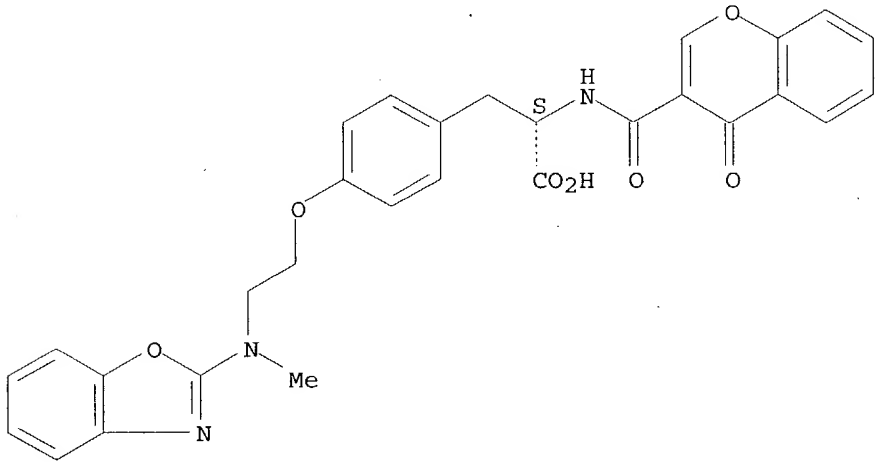
CN L-Tyrosine, N-[(4-oxo-4H-1-benzopyran-3-yl)carbonyl]-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 196808-44-3 HCAPLUS
CN L-Tyrosine, O-[2-(2-benzoxazolylmethylamino)ethyl]-N-[(4-oxo-4H-1-benzopyran-3-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



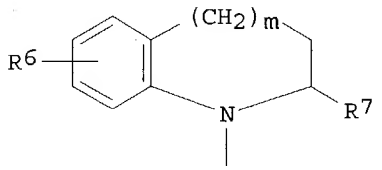
L36 ANSWER 40 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:462231 HCAPLUS
DOCUMENT NUMBER: 125:115153
TITLE: Preparation of (acylamino)acetamide derivatives with agonist activity for cholecystokinin-A receptors
INVENTOR(S): Dezube, Milana; Hirst, Gavin Charles; Willson, Timothy Mark; Sherrill, Ronald George; Sugg, Elizabeth Ellen; Szewczyk, Jerzy Ryszard
PATENT ASSIGNEE(S): Glaxo Wellcome Inc., USA
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9611940	A1	19960425	WO 1995-EP4026	19951012

W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9538418	A1	19960506	AU 1995-38418		19951012
EP 785944	A1	19970730	EP 1995-936483		19951012
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
JP 10511929	T2	19981117	JP 1995-512935		19951012
US 5889182	A	19990330	US 1997-817363		19970414
PRIORITY APPLN. INFO.:			GB 1994-20763	A	19941014
			WO 1995-EP4026	W	19951012

OTHER SOURCE(S): MARPAT 125:115153
GI

Q= 

AB A cholecystokinin-A (CCK-A) agonist of the general formula R1R2NCOCH2NR3COR4 [R1 = C3-6 alkyl, C3-6 cycloalkyl, C3-6 alkenyl, Ph, (CH2)pCN, (CH2)pCO2(C1-4 alkyl); R2 = C3-6 alkyl, C3-6 cycloalkyl, C3-6 alkenyl, PhCH2, Ph or Ph mono- or disubstituted independently with C1-3 alkyl, CN, OH, NMe2, O(C1-4 alkyl), OCH2Ph, NH(C1-4 alkyl), CO2(C1-4 alkyl), N(C1-4 alkyl)2, pyrrolidino, morpholino, halo, C1-3 alkyl substituted by 1 or more F; R1 = C1-2 alkyl, R2 = 2- or 4-C6H4R, R = Cl, Me, MeO, CO2Me; R1R2N = Q; R3 = C1-6 alkyl; Ph or Ph substituted by 1 or 2 C1-3 alkyl, C1-4 alkoxy or halo groups, thiophenyl; R4 = CR6R9(CH2)n(NH)p(CO)q(NH)rR5, CH2N(CHR16R17)CO(NR)rR5; R5 = C1-6 alkyl, C3-8 cycloalkyl, Ph, mono- or disubstituted Ph, optionally substituted heteroaryl or bicycloheteroaryl; R6 = H, optionally substituted C1-3 alkyl; R7 = H, Me; R8 = H, OH, F, NMe2, C1-4 alkoxy, PhCH2O; R9 = H, C1-6 alkyl; R16 = C1-6 alkyl, C3-8 cycloalkyl, optionally halo substituted Ph, pyridyl, pyrimidinyl, thiophenyl; R17 together with R3 form o-disubstituted Ph ring optionally substituted with halo, CF3, C1-3 alkyl, C1-4 alkylthio, of C1-4 alkoxy; m = 0-2; n = 0-3; p = 0, 1; q = 0, 1; r = 0, 1] and physiol. acceptable salts thereof. Thus, ureidodipeptide amide PhNHCO-D-Glu-N(Ph)CH2CON(CHMe2)C6H4OMe-4, prepared in 4 steps from Boc-D-Glu(OCMe3)-OH, PhNH2, and BrCH2CON(CHMe2)C6H4OMe-4, was 55% as active as sulfated CCK-8 in a guinea pig gall bladder assay.

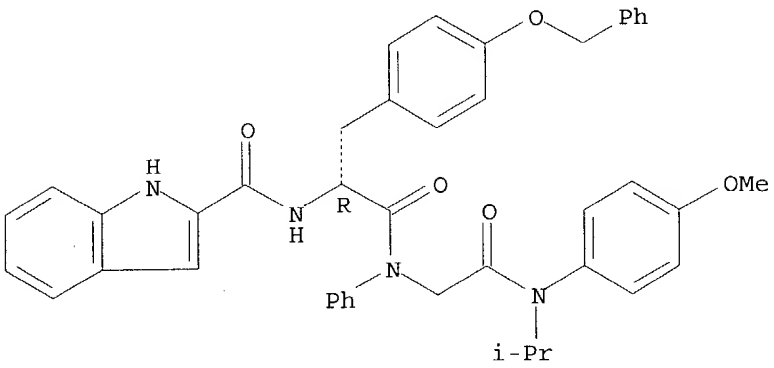
ED Entered STN: 06 Aug 1996

IT **179083-40-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (acylamino)acetamide derivs. with agonist activity for cholecystokinin-A receptors)

RN 179083-40-0 HCAPLUS

CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-O-(phenylmethyl)-D-tyrosyl-N-(4-methoxyphenyl)-N-(1-methylethyl)-N2-phenyl- (9CI) (CA INDEX NAME)

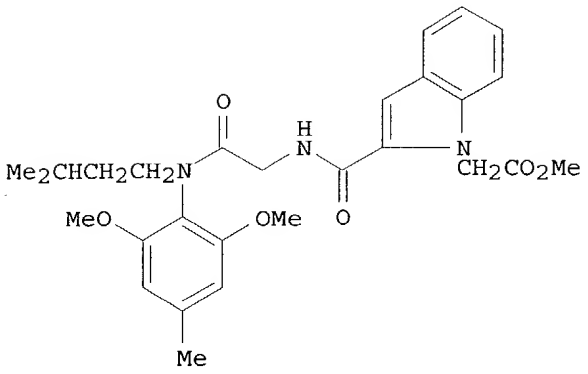
Absolute stereochemistry.



L36 ANSWER 41 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:298392 HCAPLUS
DOCUMENT NUMBER: 124:343106
TITLE: Preparation of N-aryl-Nα-(indolylcarbonyl)glycineamides and analogs as cholecystokinin receptor agonists
INVENTOR(S): Bras, Jean-Pierre; De Cointet, Paul; Despeyroux, Pierre; Frehel, Daniel; Gully, Danielle; Maffrand, Jean-Pierre; Bignon, Eric
PATENT ASSIGNEE(S): Sanofi, Fr.
SOURCE: Eur. Pat. Appl., 78 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 697403	A1	19960221	EP 1995-401912	19950818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
FR 2723739	A1	19960223	FR 1994-10165	19940819
FR 2723739	B1	19970214		
IL 114925	A1	19991231	IL 1995-114925	19950814
US 5731340	A	19980324	US 1995-515640	19950816
CA 2156455	AA	19960220	CA 1995-2156455	19950818
CA 2156455	C	20001107		
FI 9503898	A	19960220	FI 1995-3898	19950818
NO 9503260	A	19960220	NO 1995-3260	19950818
AU 9530146	A1	19960229	AU 1995-30146	19950818
AU 699581	B2	19981210		
ZA 9506915	A	19960325	ZA 1995-6915	19950818
JP 08119923	A2	19960514	JP 1995-210481	19950818
HU 72743	A2	19960528	HU 1995-2443	19950818
CN 1131144	A	19960918	CN 1995-116378	19950818
RU 2130923	C1	19990527	RU 1995-113885	19950818

PRIORITY APPLN. INFO.: FR 1994-10165 A 19940819
OTHER SOURCE(S): MARPAT 124:343106
GI



II

AB R1NRCOCHR2NHCOR3 [I; R = substituted 2-(MeO)C6H4, -2-methoxy-3-pyridyl, -4-methoxy-5-pyrimidinyl, naphthyl; R1 = (ar)alkyl, cycloalkyl(alkyl), alkoxyalkyl, (CH2)1-3COR4, etc.; R2 = H, (un)substituted alkyl; R3 = naphthyl, quinolyl, indolyl, etc.; R4 = pyrrolidino, piperidino, morpholino] were prepared as CCK-A receptor agonists. Thus, Me2CHCH2CH2COCl was amidated by 2,6-dimethoxy-4-methylaniline and the reduced product amidated by Me3CO2CNHCH2CO2H to give, after deprotection, N-(2,6-dimethoxy-4-methylphenyl)-N-isopentylglycineamide which was amidated by N-(methoxycarbonylmethyl)indole-2-carboxylic acid to give title compound II. Selected I had ED50 of 1mg/kg i.p. for blockage of gastric emptying in mice.

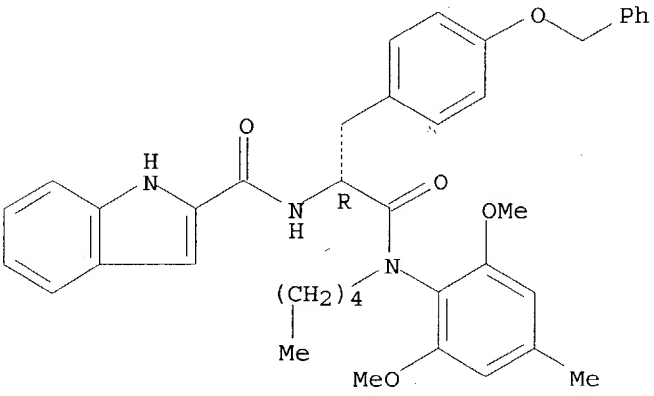
ED Entered STN: 21 May 1996

IT **176526-51-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-aryl-Nα-(indolylcarbonyl)glycineamides and analogs as cholecystokinin receptor agonists)

RN 176526-51-5 HCAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

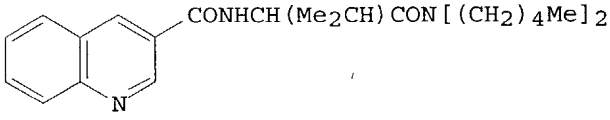


L36 ANSWER 42 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:608600 HCAPLUS
DOCUMENT NUMBER: 115:208600
TITLE: Preparation of amino acid analogs as cholecystokinin antagonists
INVENTOR(S): Kerwin, James F., Jr.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9100725	A2	19910124	WO 1990-US3630	19900626
WO 9100725	A3	19910221		
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
CA 2062755	AA	19910108	CA 1990-2062755	19900626
EP 480969	A1	19920422	EP 1990-910218	19900626
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 04506660	T2	19921119	JP 1990-509643	19900626
PRIORITY APPLN. INFO.:			US 1989-376778	19890707
			WO 1990-US3630	19900626

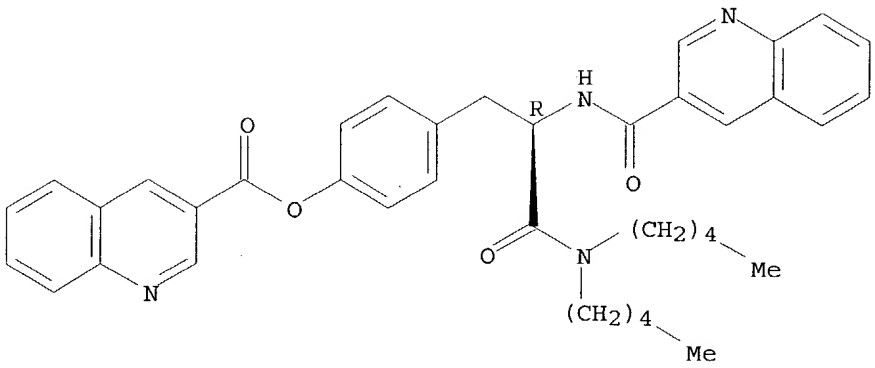
OTHER SOURCE(S): MARPAT 115:208600
GI



I

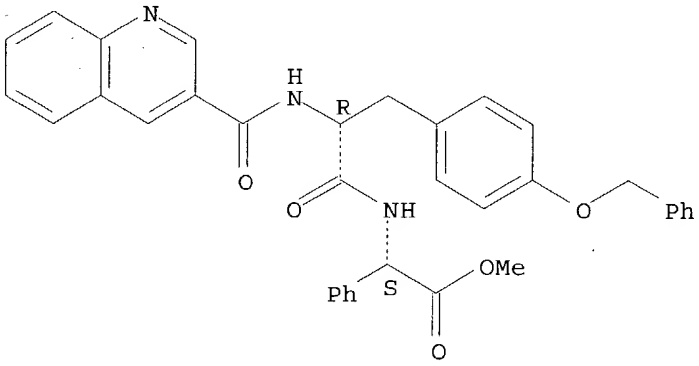
AB Amino acid analogs ArXZNRCR1R2COR3 [R = H, C1-8 alkyl, carboxyalkyl, alkoxycarbonylalkyl; R1 = H, C1-8 alkyl, (substituted) alkyl, cycloalkyl; R2 = H, C1-8 alkyl, (substituted) alkyl, cycloalkyl, aryl, (substituted) alkoxy, heterocyclyl; R1R2 = C4-6 alkylene, (CH2)qY(CH2)r; Y = O, S, CH2, NR4; R4 = H, C1-8 alkyl, haloalkyl, alkoxyalkyl, aralkyl, aryl, protecting group; q = 1-3; r = 1-3; RR2 = C3-5 alkylene, (CH2)qY(CH2)r, q, r, Y = defined above; R3 (substituted) amino; Z = CO, CS, SO2; X = bond, alkylene, (substituted) alkylene, X1X2; X2CH2; X1 = bond, CH2; X2 = O, S, NH, C1-8 alkyleneimino; Ar = aryl, heterocyclyl] were prepared For example, (R)-Valine-di-n-pentylamide hydrochloride (preparation given), EtN:C:N(CH2)3NMe2, HOBt, and quinoline-3-carboxylic acid were stirred under N at 0° in anhydrous CH2Cl2. N-Methylmorpholine was added and the mixture stirred overnight with warming to room temperature to give title compound (R)-I. (R)- I had an IC50 of 40 nM against [125I] Balton-Hunter CCK8 binding in pancreatic membranes from guinea pigs. IC50s for CCK8 binding in cortical membranes were also determined
ED Entered STN: 15 Nov 1991
IT **135496-55-8P 135496-64-9P 135520-35-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cholecystokinin antagonist)
RN 135496-55-8 HCAPLUS
CN 3-Quinolinecarboxylic acid, 4-[3-(dipentylamino)-3-oxo-2-[(3-quinolinylcarbonyl)amino]propyl]phenyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



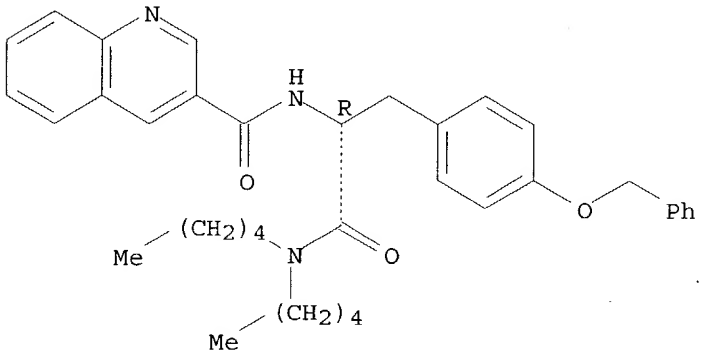
RN 135496-64-9 HCAPLUS
CN Glycine, L-2-phenyl-N-[O-(phenylmethyl)-N-(3-quinolinylcarbonyl)-D-tyrosyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 135520-35-3 HCAPLUS
CN 3-Quinolinecarboxamide, N-[2-(dipentylamino)-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



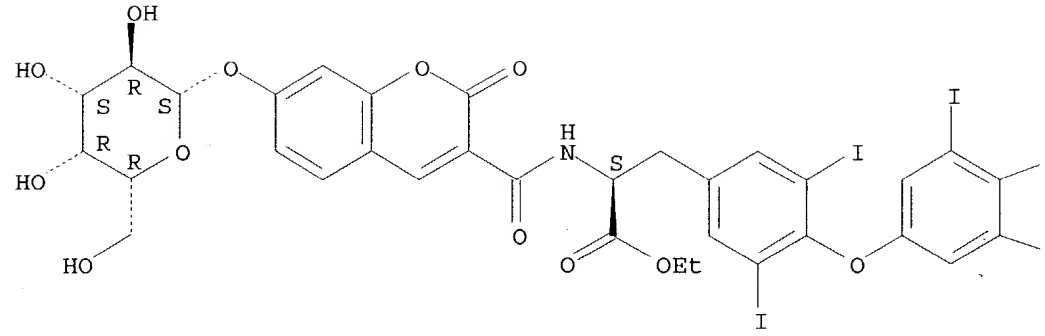
L36 ANSWER 43 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:3092 HCAPLUS
DOCUMENT NUMBER: 100:3092
TITLE: Specific binding assay method, reagent system and labelled conjugate for use in this method
INVENTOR(S): Buckler, Robert Thomas; Li, Thomas M.
PATENT ASSIGNEE(S): Miles Laboratories, Inc., USA
SOURCE: Eur. Pat. Appl., 72 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 87564	A1	19830907	EP 1983-100413	19830119
R: DE, FR, GB, IT				
AU 8290949	A1	19830811	AU 1982-90949	19821129
JP 58142257	A2	19830824	JP 1983-14481	19830131
ES 519447	A1	19840301	ES 1983-519447	19830201
PRIORITY APPLN. INFO.:			US 1982-344607	19820201

AB Methods were developed for preparation of photophore-analyte conjugates for specific binding assays with improved sensitivities. These conjugates were prepared by joining analyte and photogenic label with a relatively rigid linking groups (compared to conventional flexible linking groups) to reduce the quenching effects of analyte on label photogenicity. Ten percent or more of the photogenicity of the unconjugated photophore was preserved, this photogenicity was measured and converted to the quantity of the analyte to be determined For example, a substrate-labeled fluorescent immunoassay for quinidine was developed. Four conjugates of β-galactosyl-umbelliferone-quinidine were prepared with different linking arms for umbelliferone-quinidine: (CH2)4-(I); -(CH2)12-(II); -(CH2)2-piperazinyl-(CH2)2-(III); and -(CH2)3-piperazinyl-(CH2)3-NHCO-(CH2)4-(IV). Umbelliferone fluorescences of I, II, III, IV, were 5.2, 4.3, 42.4, 31.2%, resp., of unconjugated umbelliferone. Because umbelliferone fluorescence was measured and converted to quinidine quantity, the use of III and IV improved assay sensitivity.
ED Entered STN: 12 May 1984
IT **87980-92-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for thyroxine specific binding assay)
RN 87980-92-5 HCAPLUS
CN L-Tyrosine, N-[[7-(β-D-galactopyranosyloxy)-2-oxo-2H-1-benzopyran-3-yl]carbonyl]-O-(4-hydroxy-3,5-diiodophenyl)-3,5-diiodo-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

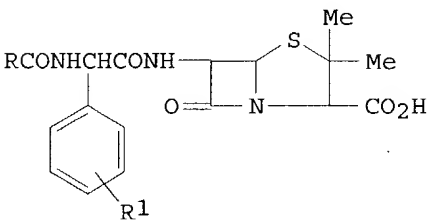


PAGE 1-B

L36 ANSWER 44 OF 57 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:446656 HCAPLUS
DOCUMENT NUMBER: 85:46656
TITLE: Penicillins
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Austrian, 23 pp.
CODEN: AUXXAK
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 328085	B	19760310	AT 1974-3342	19740423
AT 7403342	A	19750515		

PRIORITY APPLN. INFO.: AT 1974-3342 19740423
GI



I

AB Penams I (R = substituted 4-hydroxy-1,5-naphthyridin-3-yl, 4-hydroxy-3-quinolyl, 4-hydroxy-1,8-naphthyridin-3-yl, 4-hydroxy-3-cinnolyl, 2-hydroxy-3-quinolyl, 5-hydroxypyrido[2,3-d]pyrimidin-6-yl, 4-hydroxy-1,6-napthyridin-3-yl, 8-hydroxypyrido[3,2-d]pyrimidin-3-yl, 7-hydroxypyrazolo[4,3-b]pyridin-6-yl, 4-mercaptodioxolo[4,5-g]quinolin-3-yl, 8-hydroxypyrido[2,3-b]pyrazin-7-yl, 7-hydroxythiazolo[4,5-b]pyridin-7-yl, 4-mercapto-1,5-naphthyridin-3-yl; R1 = 4-OH, 4-O2CEt, 4-O2CCH2CHMe2, 3-OH) and their salts (45 compds.) were prepared by acylating the aminobenzylpenicillins.

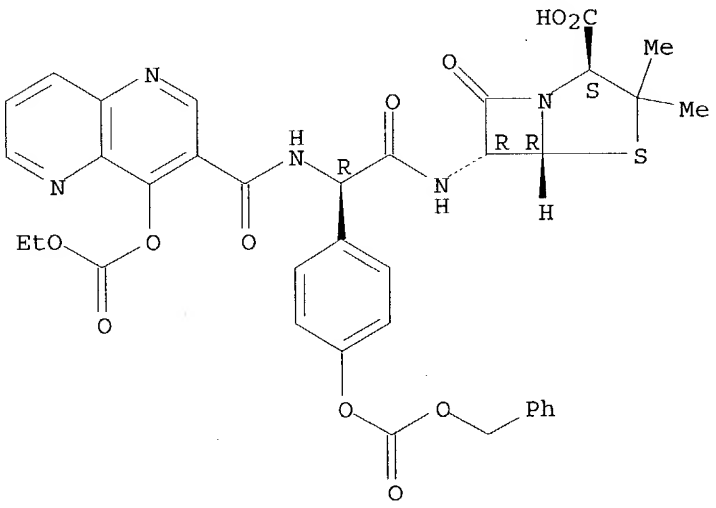
ED Entered STN: 12 May 1984

IT **53511-76-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 53511-76-5 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[4-[(ethoxycarbonyl)oxy]-1,5-naphthyridin-3-yl]carbonyl]amino][4-[[(phenylmethoxy)carbonyl]oxy]phenyl]acetyl]amino]-3,3-dimethyl-7-oxo-, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d ibib abs hitstr 45-
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL' - CONTINUE? (Y)/N:y

YOU HAVE REQUESTED DATA FROM 13 ANSWERS - CONTINUE? Y/(N):y

L36 ANSWER 45 OF 57 USPATFULL on STN
ACCESSION NUMBER: 2004:268508 USPATFULL
TITLE: N-alkanoylphenylalanine derivatives
INVENTOR(S): Chen, Li, Westfield, NJ, UNITED STATES
Guthrie, Robert William, Saddle Brook, NJ, UNITED STATES
Huang, Tai-Nang, Lexington, MA, UNITED STATES
Sidduri, Achytharao, Livingston, NJ, UNITED STATES
Tilley, Jefferson Wright, North Caldwell, NJ, UNITED

STATES
Hull, Kenneth Gregory, Cambridge, MA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004210051	A1	20041021
APPLICATION INFO.:	US 2004-828771	A1	20040421 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 2002-117616, filed on 5 Apr 2002, PENDING Division of Ser. No. US 1998-138353, filed on 21 Aug 1998, GRANTED, Pat. No. US 6455550

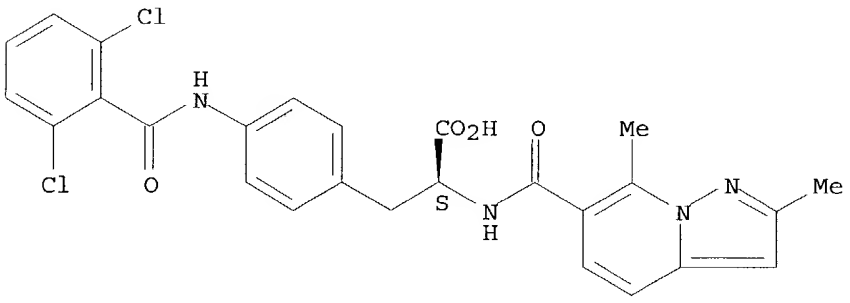
	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-56929P	19970822 (60)
	US 1998-94591P	19980729 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340 KINGSLAND STREET, NUTLEY, NJ, 07110
NUMBER OF CLAIMS: 132
EXEMPLARY CLAIM: 1
LINE COUNT: 4962
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds of the formula: ##STR1##

are disclosed which have activity as inhibitors of binding between VCAM-1 and cells expressing VLA-4. Such compounds are useful for treating diseases whose symptoms and/or damage are related to the binding of VCAM-1 to cells expressing VLA-4.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **220848-16-8P**
(preparation of N-alkanoylphenylalanine derivs. as vascular cell adhesion mol.-1 (VCAM-1) binding inhibitors)
RN 220848-16-8 USPATFULL
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[(2,7-dimethylpyrazolo[1,5-a]pyridin-6-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L36 ANSWER 46 OF 57 USPATFULL on STN
ACCESSION NUMBER: 2003:159844 USPATFULL
TITLE: N-alkanoylphenylalamine derivatives
INVENTOR(S): Chen, Li, Westfield, NJ, UNITED STATES
Guthrie, Robert William, Saddle Brook, NJ, UNITED STATES

Huang, Tai-Nang, Lexington, MA, UNITED STATES
Sidduri, Achytharao, Livingston, NJ, UNITED STATES
Tilley, Jefferson Wright, North Caldwell, NJ, UNITED STATES
Hull, Kenneth Gregory, Cambridge, MA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003109459	A1	20030612
	US 6806365	B2	20041019
APPLICATION INFO.:	US 2002-117616	A1	20020405 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 1998-138353, filed on 21 Aug 1998, ABANDONED

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-56929P	19970822 (60)
	US 1998-94591P	19980729 (60)

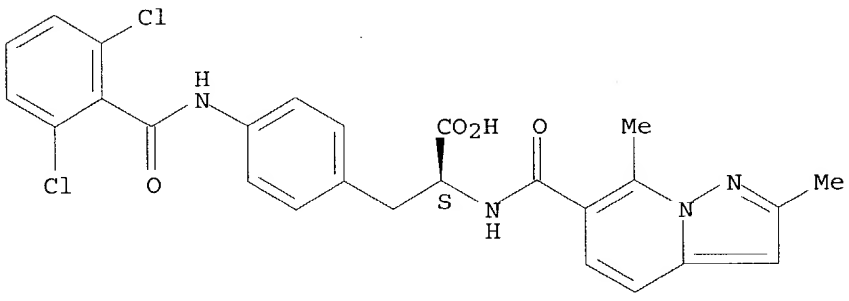
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340 KINGSLAND STREET, NUTLEY, NJ, 07110
NUMBER OF CLAIMS: 307
EXEMPLARY CLAIM: 1
LINE COUNT: 5404
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of the formula: ##STR1##

are disclosed which have activity as inhibitors of binding between VCAM-1 and cells expressing VLA-4. Such compounds are useful for treating diseases whose symptoms and/or damage are related to the binding of VCAM-1 to cells expressing VLA-4.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **220848-16-8P**
(preparation of N-alkanoylphenylalanine derivs. as vascular cell adhesion mol.-1 (VCAM-1) binding inhibitors)
RN 220848-16-8 USPATFULL
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[(2,7-dimethylpyrazolo[1,5-a]pyridin-6-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L36 ANSWER 47 OF 57 USPATFULL on STN
ACCESSION NUMBER: 2002:99607 USPATFULL
TITLE: Heterocyclic thioamide derivatives

INVENTOR(S): Hull, Kenneth G., Marlborough, MA, UNITED STATES
Sidduri, Achytharao, Livingston, NJ, UNITED STATES
Tilley, Jefferson W., North Caldwell, NJ, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002052508	A1	20020502
	US 6423728	B2	20020723
APPLICATION INFO.:	US 2001-864104	A1	20010523 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 2000-505903, filed on 17 Feb 2000, PENDING

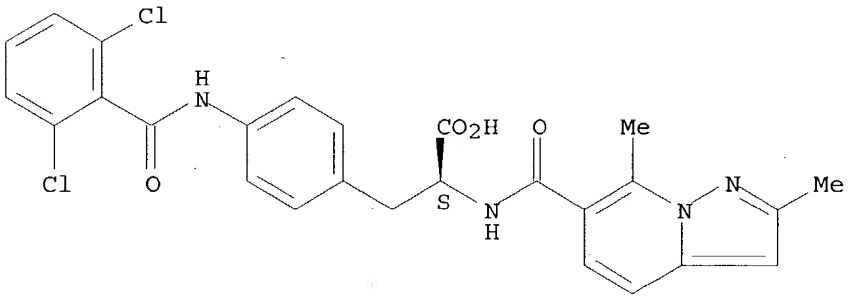
	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-120475P	19990218 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340 KINGSLAND STREET, NUTLEY, NJ, 07110
NUMBER OF CLAIMS: 85
EXEMPLARY CLAIM: 1
LINE COUNT: 2805
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB It has been discovered that compounds of the formula: ##STR1##

and the pharmaceutically acceptable salts and esters thereof wherein X and Y are as defined below, inhibit the binding of VCAM-1 to VLA-4 and are useful in treating inflammation associated with chronic inflammatory diseases such as rheumatoid arthritis (RA), multiple sclerosis, (MS), asthma, and inflammatory bowel disease (IBD).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **220848-16-8P**
(preparation of N-alkanoylphenylalanine derivs. as vascular cell adhesion mol.-1 (VCAM-1) binding inhibitors)
RN 220848-16-8 USPATFULL
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[(2,7-dimethylpyrazolo[1,5-a]pyridin-6-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L36 ANSWER 48 OF 57 USPATFULL on STN
ACCESSION NUMBER: 2002:73007 USPATFULL
TITLE: Diphenyl heterocyclic thioamide derivatives
INVENTOR(S): Hull, Kenneth G., Marlborough, MA, UNITED STATES
Sidduri, Achytharao, Livingston, NJ, UNITED STATES

Tilley, Jefferson W., North Caldwell, NJ, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002040148	A1	20020404
	US 6426348	B2	20020730
APPLICATION INFO.:	US 2001-864032	A1	20010523 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 2000-505903, filed on 17 Feb 2000, PENDING

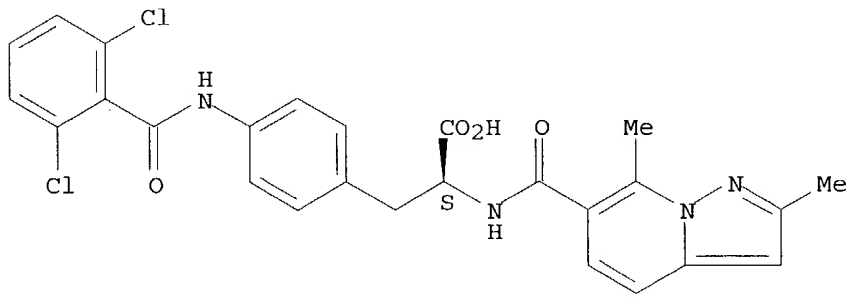
	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-120475P	19990218 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340 KINGSLAND STREET, NUTLEY, NJ, 07110
NUMBER OF CLAIMS: 85
EXEMPLARY CLAIM: 1
LINE COUNT: 2795
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB It has been discovered that compounds of the formula: ##STR1##

and the pharmaceutically acceptable salts and esters thereof wherein X and Y are as defined below, inhibit the binding of VCAM-1 to VLA-4 and are useful in treating inflammation associated with chronic inflammatory diseases such as rheumatoid arthritis (RA), multiple sclerosis, (MS), asthma, and inflammatory bowel disease (I BD).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **220848-16-8P**
(preparation of N-alkanoylphenylalanine derivs. as vascular cell adhesion mol.-1 (VCAM-1) binding inhibitors)
RN 220848-16-8 USPATFULL
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[(2,7-dimethylpyrazolo[1,5-a]pyridin-6-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L36 ANSWER 49 OF 57 USPATFULL on STN
ACCESSION NUMBER: 2002:48740 USPATFULL
TITLE: Thioamide derivatives
INVENTOR(S): Hull, Kenneth Gregory, Marlborough, MA, UNITED STATES
Sidduri, Achytharao, Livingston, NJ, UNITED STATES
Tilley, Jefferson W., North Caldwell, NJ, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002028933	A1	20020307
APPLICATION INFO.:	US 2001-812325	A1	20010320 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 2000-505903, filed on 17 Feb 2000, GRANTED, Pat. No. US 6288267

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-120475P	19990218 (60)

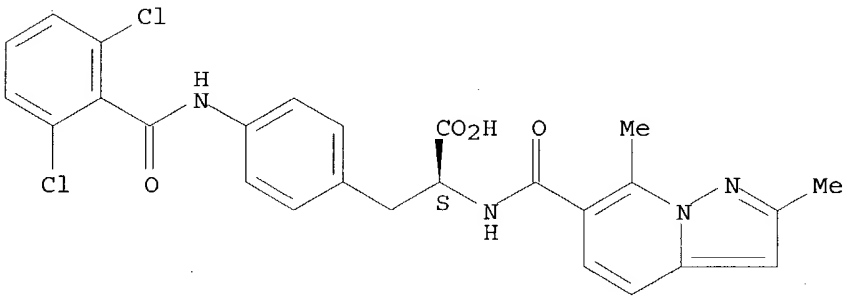
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340 KINGSLAND STREET, NUTLEY, NJ, 07110
NUMBER OF CLAIMS: 74
EXEMPLARY CLAIM: 1
LINE COUNT: 2723
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB It has been discovered that compounds of the formula: ##STR1##

and the pharmaceutically acceptable salts and esters thereof wherein X and Y are as defined below, inhibit the binding of VCAM-1 to VLA-4 and are useful in treating inflammation associated with chronic inflammatory diseases such as rheumatoid arthritis (RA), multiple sclerosis, (MS), asthma, and inflammatory bowel disease (I BD).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **220848-16-8P**
(preparation of N-alkanoylphenylalanine derivs. as vascular cell adhesion mol.-1 (VCAM-1) binding inhibitors)
RN 220848-16-8 USPATFULL
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[(2,7-dimethylpyrazolo[1,5-a]pyridin-6-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L36 ANSWER 50 OF 57 USPATFULL on STN
ACCESSION NUMBER: 2002:17458 USPATFULL
TITLE: PHENYL-KETO-IMIDAZOLIDINE THIOAMIDE DERIVATIVES
INVENTOR(S): Hull, Kenneth G., Marlborough, MA, UNITED STATES
Sidduri, Achytharao, Livingston, NJ, UNITED STATES
Tilley, Jefferson W., North Caldwell, NJ, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002010338	A1	20020124

	US 6479666	B2	20021112
APPLICATION INFO.:	US 2001-863567	A1	20010523 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 2000-505903, filed on 17 Feb 2000, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-120475P	19990218 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340 KINGSLAND STREET, NUTLEY, NJ, 07110
NUMBER OF CLAIMS: 85
EXEMPLARY CLAIM: 1
LINE COUNT: 2732
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB It has been discovered that compounds of the formula: ##STR1##

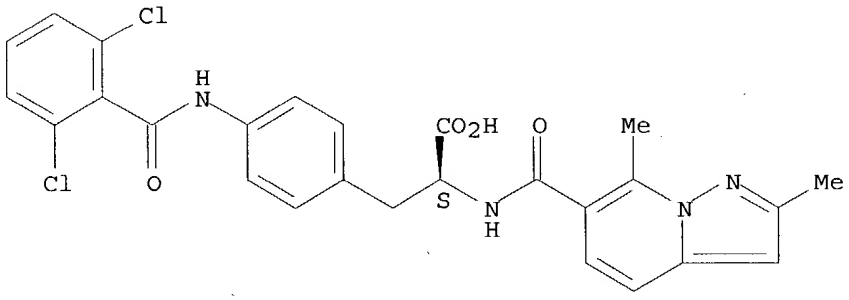
and the pharmaceutically acceptable salts and esters thereof wherein X and Y are as defined below, inhibit the binding of VCAM-1 to VLA-4 and are useful in treating inflammation associated with chronic inflammatory diseases such as rheumatoid arthritis (RA), multiple sclerosis, (MS), asthma, and inflammatory bowel disease (I BD).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **220848-16-8P**
(preparation of N-alkanoylphenylalanine derivs. as vascular cell adhesion mol.-1 (VCAM-1) binding inhibitors)

RN 220848-16-8 USPATFULL
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[(2,7-dimethylpyrazolo[1,5-a]pyridin-6-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L36 ANSWER 51 OF 57 USPATFULL on STN
ACCESSION NUMBER: 2002:45715 USPATFULL
TITLE: Substituted ureas as cell adhesion inhibitors
INVENTOR(S): DeLaszlo, Stephen E., Rumson, NJ, United States
Hagmann, William K., Westfield, NJ, United States
Kamenecka, Theodore M., Atlantic Highlands, NJ, United States
PATENT ASSIGNEE(S): Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

NUMBER	KIND	DATE

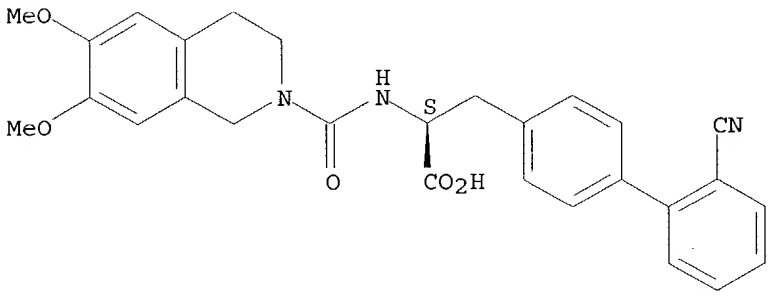
PATENT INFORMATION: US 6353099 B1 20020305
APPLICATION INFO.: US 2000-641408 20000817 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-150055P	19990820 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Killos, Paul J.
ASSISTANT EXAMINER: Chaudhry, Mahreen
LEGAL REPRESENTATIVE: Yang, Mollie M., Rose, David L.
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 1886
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds of Formula I are antagonists of VLA-4 and/or α.sub.4β.sub.7, and as such are useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. These compounds may be formulated into pharmaceutical compositions and are suitable for use in the treatment of AIDS-related dementia, allergic conjunctivitis, allergic rhinitis, Alzheimer's disease, asthma, atherosclerosis, autologous bone marrow transplantation, certain types of toxic and immune-based nephritis, contact dermal hypersensitivity, inflammatory bowel disease including ulcerative colitis and Crohn's disease, inflammatory lung diseases, inflammatory sequelae of viral infections, meningitis, multiple sclerosis, multiple myeloma, myocarditis, organ transplantation, psoriasis, pulmonary fibrosis, restenosis, retinitis, rheumatoid arthritis, septic arthritis, stroke, tumor metastasis, uveititis, and type I diabetes.

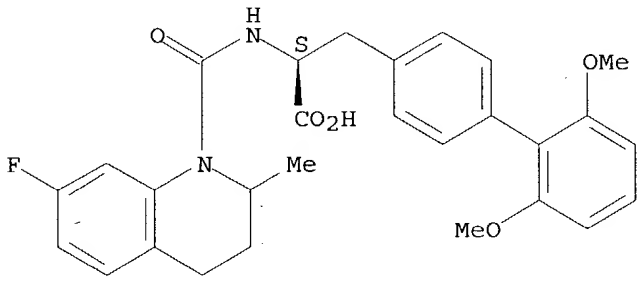
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **328257-52-9P 328258-20-4P 328258-21-5P**
(preparation of substituted ureas as cell adhesion inhibitors)
RN 328257-52-9 USPATFULL
CN [1,1'-Biphenyl]-4-propanoic acid, 2'-cyano-α-[[(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



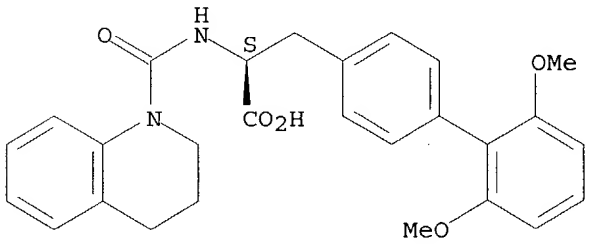
RN 328258-20-4 USPATFULL
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[(7-fluoro-3,4-dihydro-2-methyl-1(2H)-quinolinyl)carbonyl]amino]-2',6'-dimethoxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 328258-21-5 USPATFULL
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[(3,4-dihydro-1(2H)-quinolinyl)carbonyl]amino]-2',6'-dimethoxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L36 ANSWER 52 OF 57 USPATFULL on STN

ACCESSION NUMBER:	2001:206002 USPATFULL
TITLE:	Diephenyl carbocyclic thioamide derivatives
INVENTOR(S):	Hull, Kenneth G., Marlborough, MA, United States Sidduri, Achytharao, Livingston, NJ, United States Tilley, Jefferson W., North Caldwell, NJ, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001041799	A1	20011115
	US 6458844	B2	20021001
APPLICATION INFO.:	US 2001-863579	A1	20010523 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 2000-505903, filed on 17 Feb 2000, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-120475P	19990218 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340 KINGSLAND STREET, NUTLEY, NJ, 07110
NUMBER OF CLAIMS:	85
EXEMPLARY CLAIM:	1
LINE COUNT:	2742

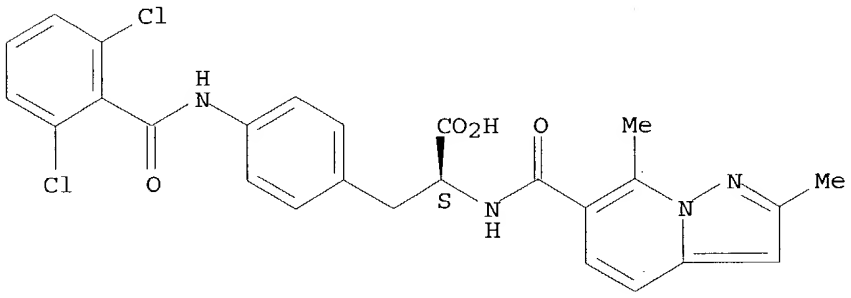
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB It has been discovered that compounds of the formula: ##STR1##

and the pharmaceutically acceptable salts and esters thereof wherein X and Y are as defined below, inhibit the binding of VCAM-1 to VLA-4 and are useful in treating inflammation associated with chronic inflammatory diseases such as rheumatoid arthritis (RA), multiple sclerosis, (MS), asthma, and inflammatory bowel disease (I BD).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **220848-16-8P**
(preparation of N-alkanoylphenylalanine derivs. as vascular cell adhesion mol.-1 (VCAM-1) binding inhibitors)
RN 220848-16-8 USPATFULL
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[(2,7-dimethylpyrazolo[1,5-a]pyridin-6-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L36 ANSWER 53 OF 57 USPATFULL on STN

ACCESSION NUMBER:	2001:191277 USPATFULL
TITLE:	8-hydroxy-7-substituted quinolines as anti-viral agents
INVENTOR(S):	Vaillancourt, Valerie Ann, Kalamazoo, MI, United States Romines, Karen Rene, Paw Paw, MI, United States Romero, Arthur Glenn, Kalamazoo, MI, United States Tucker, John Alan, Kalamazoo, MI, United States Strohbach, Joseph Walter, Mendon, MI, United States Bezencon, Olivier, Kalamazoo, MI, United States Thaisrivongs, Suvit, Kalamazoo, MI, United States
PATENT ASSIGNEE(S):	Pharmacia & Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6310211	B1	20011030	
APPLICATION INFO.:	US 1997-924683		19970905	(8)
DOCUMENT TYPE:	Utility			
FILE SEGMENT:	GRANTED			
PRIMARY EXAMINER:	Bernhardt, Emily			
LEGAL REPRESENTATIVE:	Yang, Lucy X.			
NUMBER OF CLAIMS:	9			
EXEMPLARY CLAIM:	1			
LINE COUNT:	6738			

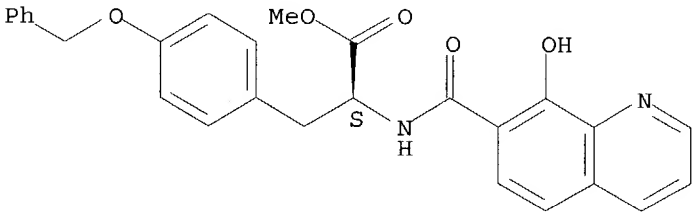
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides for 8-hydroxy-7-substituted quinoline compounds such as formula IA ##STR1##

These compounds are useful as anti-viral agents. Specifically, these compounds have anti-viral activity against the herpes virus,

cytomegalovirus (CMV). Many of these compounds are also active against other herpes viruses, such as the varicella zoster virus, the Epstein-Barr virus, the herpes simplex virus and the human herpes virus type 8 (HHV-8).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **205038-96-6P**
(preparation of 8-hydroxy-7-substituted quinolines as anti-viral agents)
RN 205038-96-6 USPATFULL
CN L-Tyrosine, N-[(8-hydroxy-7-quinolinyl)carbonyl]-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L36 ANSWER 54 OF 57 USPATFULL on STN

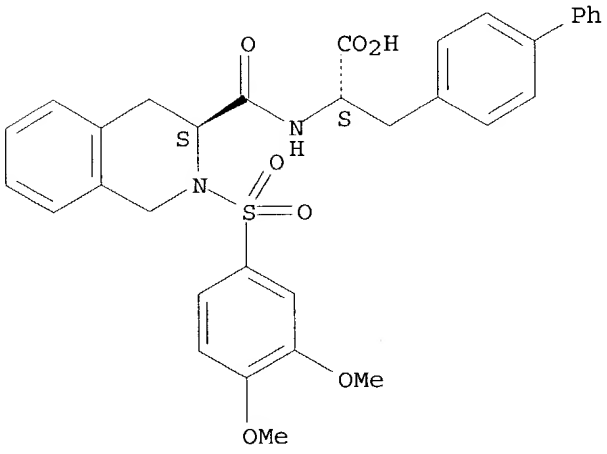
ACCESSION NUMBER:	2001:158333 USPATFULL		
TITLE:	Biarylalkanoic acids as cell adhesion inhibitors		
INVENTOR(S):	Durette, Philippe L., New Providence, NJ, United States Hagmann, William K., Westfield, NJ, United States MacCoss, Malcolm, Freehold, NJ, United States Mills, Sander G., Scotch Plains, NJ, United States Mumford, Richard A., Red Bank, NJ, United States		
PATENT ASSIGNEE(S):	Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6291511	B1	20010918
APPLICATION INFO.:	US 1999-359015		19990722 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1998-85793, filed on 28 May 1998, now abandoned		
	NUMBER		DATE
PRIORITY INFORMATION:	US 1997-47856P		19970529 (60)
	US 1997-66831P		19971125 (60)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Davis, Zinna Northington		
LEGAL REPRESENTATIVE:	Yang, Mollie M., Rose, David L.		
NUMBER OF CLAIMS:	11		
EXEMPLARY CLAIM:	1		
LINE COUNT:	2569		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds of Formula I are antagonists of VLA-4 and/or α.sub.4β.sub.7, and as such are useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. These compounds may be formulated into pharmaceutical compositions and are suitable for use in the treatment of asthma, allergies,

inflammation, multiple sclerosis, and other inflammatory and autoimmune disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **217325-07-0P**
(preparation of peptidyl biarylalkanoic acids as cell adhesion inhibitors)
RN 217325-07-0 USPATFULL
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[[(3S)-2-[(3,4-dimethoxyphenyl)sulfonyl]-1,2,3,4-tetrahydro-3-isoquinolinyl]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L36 ANSWER 55 OF 57 USPATFULL on STN
ACCESSION NUMBER: 2001:98099 USPATFULL
TITLE: 8-hydroxy-7-substituted quinolines as anti-viral agents
INVENTOR(S): Thaisrivongs, Suvit, Kalamazoo, MI, United States
Bezencon, Oliver, Kista, Sweden
PATENT ASSIGNEE(S): Pharmacia & UpJohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6252080	B1	20010626
APPLICATION INFO.:	US 1999-425564		19991022 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1997-924683, filed on 5 Sep 1997		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Ford, John M.		
ASSISTANT EXAMINER:	Mckenzie, Thomas		
LEGAL REPRESENTATIVE:	Yang, Lucy X.		
NUMBER OF CLAIMS:	5		
EXEMPLARY CLAIM:	1		
LINE COUNT:	6860		

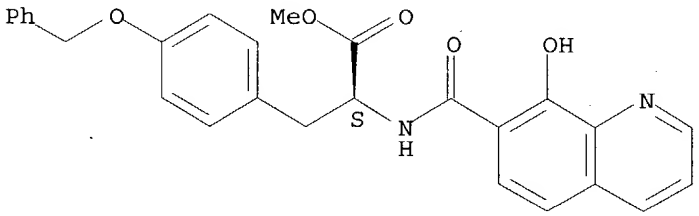
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides for 8-hydroxy-7-substituted quinoline compounds such as formula IA ##STR1##

These compounds are useful as anti-viral agents. Specifically, these compounds have anti-viral activity against the herpes virus,

cytomegalovirus (CMV). Many of these compounds are also active against other herpes viruses, such as the varicella zoster virus, the Epstein-Barr virus, the herpes simplex virus and the human herpes virus type 8 (HHV-8).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **205038-96-6P**
(preparation of 8-hydroxy-7-substituted quinolines as anti-viral agents)
RN 205038-96-6 USPATFULL
CN L-Tyrosine, N-[(8-hydroxy-7-quinolinyl)carbonyl]-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L36 ANSWER 56 OF 57 USPATFULL on STN

ACCESSION NUMBER:	2001:48248 USPATFULL
TITLE:	8-hydroxy-7-substituted quinolines as anti-viral agents
INVENTOR(S):	Romines, Karen Rene, Durham, NC, United States Tucker, John Alan, South San Francisco, CA, United States Romero, Arthur Glenn, Kalamazoo, MI, United States
PATENT ASSIGNEE(S):	Pharmacia & Upjohn Company, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6211376	B1	20010403
APPLICATION INFO.:	US 1999-425789		19991022 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1997-924683, filed on 5 Sep 1997		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Dentz, Bernard		
LEGAL REPRESENTATIVE:	Yang, Lucy X.		
NUMBER OF CLAIMS:	3		
EXEMPLARY CLAIM:	1		
LINE COUNT:	6750		

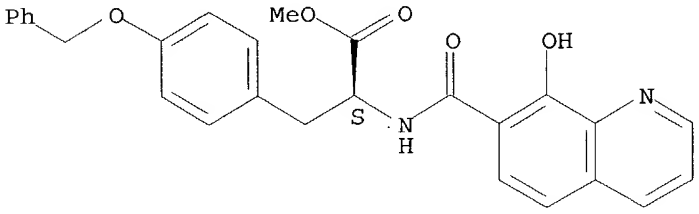
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides for 8-hydroxy-7-substituted quinoline compounds such as formula III ##STR1##

These compounds are useful as anti-viral agents. Specifically, these compounds have anti-viral activity against the herpes virus, cytomegalovirus (CMV). Many of these compounds are also active against other herpes viruses, such as the varicella zoster virus, the Epstein-Barr virus, the herpes simplex virus and the human herpes virus type 8 (HHV-8).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **205038-96-6P**
(preparation of 8-hydroxy-7-substituted quinolines as anti-viral agents)
RN 205038-96-6 USPATFULL
CN L-Tyrosine, N-[(8-hydroxy-7-quinolinyl)carbonyl]-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L36 ANSWER 57 OF 57 USPATFULL on STN
ACCESSION NUMBER: 1998:31045 USPATFULL
TITLE: Glycinamide derivatives, processes for their preparation and medicines containing them
INVENTOR(S): Bras, Jean-Pierre, Toulouse, France
de Cointet, Paul, Toulouse, France
Despeyroux, Pierre, Labarthe/Leze, France
Frehel, Daniel, alle de Barcelone, France
Gully, Danielle, Muret Toulouse, France
Maffrand, Jean-Pierre, Portet/Garonne, France
Bignon, Eric, Pinsaguel, France
PATENT ASSIGNEE(S): Sanofi, Paris, France (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5731340		19980324	
APPLICATION INFO.:	US 1995-515640		19950816	(8)

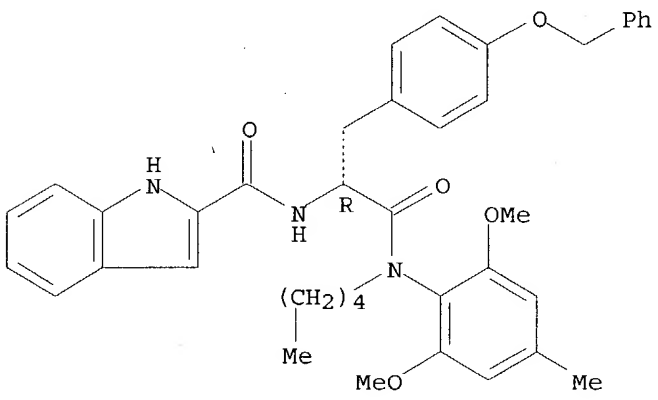
	NUMBER	DATE
PRIORITY INFORMATION:	FR 1994-10165	19940819

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Ivy, C. Warren
ASSISTANT EXAMINER: Huang, Evelyn
LEGAL REPRESENTATIVE: Jacobson, Price, Holman & Stern, PLLC
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
LINE COUNT: 2195
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to compounds of formula: ##STR1## which are agonists of cholecystokinin receptors and pharmaceutical compositions containing them.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **176526-51-5P**
(preparation of N-aryl-Nα-(indolylcarbonyl)glycineamides and analogs as cholecystokinin receptor agonists)
RN 176526-51-5 USPATFULL
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-, (R)- (9CI) (CA INDEX

NAME)

Absolute stereochemistry.



=>
=>

=> fil hcap

FILE 'HCAPLUS' ENTERED AT 08:32:34 ON 18 NOV 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 18 Nov 2004 VOL 141 ISS 21
FILE LAST UPDATED: 17 Nov 2004 (20041117/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> fil medlin

FILE 'MEDLINE' ENTERED AT 08:32:37 ON 18 NOV 2004

FILE LAST UPDATED: 17 NOV 2004 (20041117/UP). FILE COVERS 1950 TO DATE.

On February 29, 2004, the 2004 MeSH terms were loaded. See HELP RLOAD
for details.

OLDMEDLINE now back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the
MeSH 2004 vocabulary. See http://www.nlm.nih.gov/mesh/ and
http://www.nlm.nih.gov/pubs/techbull/nd03/nd03_mesh.html for a
description of changes.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> fil biosis

FILE 'BIOSIS' ENTERED AT 08:32:39 ON 18 NOV 2004

FILE COVERS 1969 TO DATE.
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT
FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 17 November 2004 (20041117/ED)

FILE RELOADED: 19 October 2003.

=> fil pascal

FILE 'PASCAL' ENTERED AT 08:32:44 ON 18 NOV 2004

FILE LAST UPDATED: 17 NOV 2004 <20041117/UP>
FILE COVERS 1977 TO DATE.

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION IS AVAILABLE
IN THE BASIC INDEX (/BI) FIELD <<<

=> fil embase

FILE 'EMBASE' ENTERED AT 08:32:47 ON 18 NOV 2004

FILE COVERS 1974 TO 12 Nov 2004 (20041112/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> fil confsci

FILE 'CONFSCI' ENTERED AT 08:32:51 ON 18 NOV 2004

FILE COVERS 1973 TO 23 Sep 2004 (20040923/ED)

=> fil jicst

FILE 'JICST-EPLUS' ENTERED AT 08:32:55 ON 18 NOV 2004

FILE COVERS 1985 TO 15 NOV 2004 (20041115/ED)

THE JICST-EPLUS FILE HAS BEEN RELOADED TO REFLECT THE 1999 CONTROLLED
TERM (/CT) THESAURUS RELOAD.

=> fil wpix

FILE 'WPIX' ENTERED AT 08:32:57 ON 18 NOV 2004

FILE LAST UPDATED: 17 NOV 2004 <20041117/UP>
MOST RECENT DERWENT UPDATE: 200474 <200474/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE,
PLEASE VISIT:
http://www.stn-international.de/training_center/patents/stn_guide.pdf <<<

>>> FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE
http://thomsonderwent.com/coverage/latestupdates/ <<<

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>>> NEW DISPLAY FORMAT HITSTR ADDED ALLOWING DISPLAY OF
HIT STRUCTURES WITHIN THE BIBLIOGRAPHIC DOCUMENT <<<

>>> SMILES and ISOSMILES strings are no longer available as
Derwent Chemistry Resource display fields <<<

=> file stnguide

FILE 'STNGUIDE' ENTERED AT 08:33:00 ON 18 NOV 2004
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Nov 12, 2004 (20041112/UP).

(FILE 'HCAPLUS, MEDLINE, BIOSIS, PASCAL, EMBASE, CONFSCI, JICST-EPLUS,
WPIX' ENTERED AT 08:17:53 ON 18 NOV 2004)

=> d que l35
L23 2081 SEA HEAD, J?/AU
L24 483 SEA ARCHIBALD, S?/AU
L25 199 SEA WARRELLOW, G?/AU
L26 10222 SEA PORTER, J?/AU
L27 230668 SEA ?PHENYLALANI?
L28 2881 SEA ?CELLTECH?/PA,CS,SO,BI
L31 110975 SEA ?INTEGRIN?
L33 36 SEA (L23 OR L24 OR L25 OR L26) AND L27 AND L28
L34 20 DUP REM L33 (16 DUPLICATES REMOVED)
L35 20 SEA L34 AND L31

=>
=> d ibib abs ed l35
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, BIOSIS, PASCAL' - CONTINUE? (Y)/N:y

L35 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:162667 HCAPLUS
DOCUMENT NUMBER: 139:94759
TITLE: **Dehydrophenylalanine** derivatives as VLA-4 **integrin** antagonists
AUTHOR(S): **Porter, John R.; Archibald, Sarah C.** ; Brown, Julien A.; Childs, Kirstie; Critchley, David; **Head, John C.**; Parton, Ted A. H.; Robinson, Martyn K.; Shock, Anthony; Taylor, Richard J.; **Warrellow, Graham J.**
CORPORATE SOURCE: **Celltech R&D Ltd, Department of Medicinal Chemistry, Slough, SL1 4EN, UK**
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(5), 805-808
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:94759
AB We describe a series of **dehydrophenylalanine** derivs. where the Z isomers are potent VLA-4 antagonists but are subject to rapid biliary clearance and the E isomers have poor activity but have a slower rate of clearance. These configurationally constrained mols. have led to the design of a novel class of benzodiazepine VLA-4 antagonists.
ED Entered STN: 04 Mar 2003
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs ed l35 2-
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, BIOSIS, PASCAL' - CONTINUE? (Y)/N:y

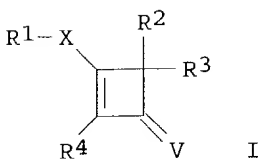
YOU HAVE REQUESTED DATA FROM 19 ANSWERS - CONTINUE? Y/(N):y

L35 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:675997 HCAPLUS
DOCUMENT NUMBER: 137:217241
TITLE: Preparation of **phenylalanine** enamide derivatives possessing a cyclobutene group for use as **integrin** inhibitors
INVENTOR(S): Bailey, Stuart; Brown, Julien Alistair; Brand, Stephen; Johnson, James Andrew; **Porter, John Robert**; **Head, John Clifford**
PATENT ASSIGNEE(S): **Celltech R & D Limited, UK**
SOURCE: PCT Int. Appl., 201 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002068393	A1	20020906	WO 2002-GB206		20020118
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
GB 2387845	A1	20031029	GB 2003-18429		20020118
EP 1370531	A1	20031217	EP 2002-715515		20020118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2002007166	A	20040210	BR 2002-7166		20020118
JP 2004524313	T2	20040812	JP 2002-567907		20020118
US 2002169336	A1	20021114	US 2002-81072		20020222
NO 2003003710	A	20031022	NO 2003-3710		20030820
PRIORITY APPLN. INFO.:			GB 2001-4418	A	20010222
			GB 2001-14000	A	20010608
			GB 2001-27562	A	20011116
			WO 2002-GB206	W	20020118

OTHER SOURCE(S): MARPAT 137:217241

GI



I

AB **Phenylalanine** enamide derivs. I [R1 is a group Ar1-L2-Ar2-Alk- in which Ar1 is an optionally substituted (hetero)aromatic group, L2 is a covalent bond or a linker atom or group, Ar2 is an optionally substituted (hetero)arylene group, and Alk is CH2CHCO2H, CH:CCO2H, or CHCH2CO2H or a derivative or biostere; X = O, S, NH or alkylimino; V = O or S; R2, R3, R4 = L1-(Alk1)n(R5)v, in which L1 is a covalent bond or a linker atom or group, Alk1 is an optionally substituted (hetero)aliphatic chain, R5 = H, halo, OH, SH, CN, (un)substituted (cyclo)alkoxy, (cyclo)alkylthio, (hetero)(poly)cycloaliph. or (hetero)aromatic group; n = 0 or 1, and v = 1-3] were prepared Compds. I inhibit the binding of **integrins** to their ligands and are of use in the prophylaxis and treatment of immuno or inflammatory disorders or disorders involving the inappropriate growth or migration of cells. Thus, (2S)-2-[(3-oxospiro[3.5]non-1-en-1-yl)amino]-3-[4-[(3,5-dichloroisonicotinoyl)amino]phenyl]propanoic acid (claimed compound) was prepared by reaction of Et (2S)-2-amino-3-[4-[(3,5-dichloroisonicotinoyl)amino]phenyl]propanoate (preparation given) with 1-keto-3-hydroxyspiro[3.5]non-2-ene, followed by hydrolysis.

ED Entered STN: 08 Sep 2002

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:407972 HCAPLUS

DOCUMENT NUMBER: 138:49373

TITLE: N-(Pyrimidin-4-yl) and N-(Pyridin-2-yl) **phenylalanine** derivatives as VLA-4 **integrin** antagonists

AUTHOR(S): **Porter, John R.**; **Archibald, Sarah C.**; Brown, Julien A.; Childs, Kirstie; Critchley, David; **Head, John C.**; Hutchinson, Brian; Parton, Ted A. H.; Robinson, Martyn K.; Shock, Anthony; **Warrellow, Graham J.**; Zomaya, Alex

CORPORATE SOURCE: **Celltech R&D Ltd, Slough, SL1 4EN, UK**

SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(12), 1595-1598
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:49373

AB The SAR studies to optimize both potency and rate of clearance in the rat for a series of pyrimidine and pyridine based VLA-4 antagonists are described.

ED Entered STN: 31 May 2002

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN

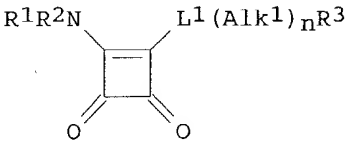
ACCESSION NUMBER: 2002:407971 HCAPLUS
DOCUMENT NUMBER: 138:66143
TITLE: Discovery and evaluation of N-(triazin-1,3,5-yl) **phenylalanine** derivatives as VLA-4 **integrin** antagonists
AUTHOR(S): **Porter, John R.**; **Archibald, Sarah C.**; Brown, Julien A.; Childs, Kirstie; Critchley, David; **Head, John C.**; Hutchinson, Brian; Parton, Ted A. H.; Robinson, Martyn K.; Shock, Anthony; **Warrellow, Graham J.**; Zomaya, Alex
CORPORATE SOURCE: **Celltech R&D Ltd, Slough, SL1 4EN, UK**
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(12), 1591-1594
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:66143
AB Structure-activity relationship (SAR) studies aimed at improving the rate of clearance of a series of VLA-4 **integrin** antagonists by the introduction of a 1,3,5-triazine as an amide isostere are described.
ED Entered STN: 31 May 2002
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:861644 HCAPLUS
DOCUMENT NUMBER: 134:29705
TITLE: Preparation of squaric acid derivatives as cell adhesion molecules
INVENTOR(S): Langham, Barry John; Alexander, Rikki Peter; **Head, John Clifford**; Linsley, Janeen Marsha; **Porter, John Robert**; **Archibald, Sarah Catherine**; Warrelow, Graham John
PATENT ASSIGNEE(S): **Celltech Chiroscience Limited, UK**
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000073260	A1	20001207	WO 2000-GB2020	20000526
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6518283	B1	20030211	US 2000-579317	20000525
CA 2375218	AA	20001207	CA 2000-2375218	20000526
EP 1181266	A1	20020227	EP 2000-935341	20000526
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003500467	T2	20030107	JP 2000-621327	20000526

AU 776704	B2	20040916	AU 2000-50889		20000526
US 2003162799	A1	20030828	US 2002-319272		20021213
PRIORITY APPLN. INFO.:			GB 1999-12640	A	19990528
			GB 2000-2858	A	20000208
			US 2000-579317	A3	20000525
			WO 2000-GB2020	W	20000526

OTHER SOURCE(S): MARPAT 134:29705
GI



I

AB Squaric acid derivs. I [R1 is an **integrin** binding group; R2 is a hydrogen atom or a C1-6 alkyl group; L1 is a covalent bond or a linker atom or group; n = 0, 1; Alk1 is an optionally substituted aliphatic chain; R3 is H or an optionally substituted heteroaliph., cycloaliph., heterocycloaliph., polycycloaliph., polyheterocycloaliph., aromatic or heteroarom. group] and their salts, solvates, hydrates and N-oxides were prepared as inhibitors of the binding of **integrins** to their ligands. Thus, treatment of Et (S)-3-(4-aminophenyl)-2-(tert-butoxycarbonylamino)propionate with 3,5-dichloro-4-pyridinecarboxylic acid, deprotection, reaction with 3,4-diisopropoxy-3-cyclobutene-1,2-dione, propylamination, and saponification afforded (S)-3-[4-(3,5-dichloro-4-pyridylcarboxamido)phenyl]-2-(2-propylamino-3,4-dioxocyclobut-1-enylamino)propanoic acid. Compds. of the invention in which R1 is an α4 **integrin** binding group generally have IC50 values <1 μM in the α4β1 and α4β7 assays.
ED Entered STN: 08 Dec 2000
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:227650 HCAPLUS
DOCUMENT NUMBER: 132:265501
TITLE: **Phenylalanine** derivatives as alpha 4 **integrin** inhibitors
INVENTOR(S): **Head, John Clifford**; **Porter, John Robert**; **Warrellow, Graham John**; **Archibald, Sarah Catherine**; Hutchinson, Brian Woodside
PATENT ASSIGNEE(S): **Celltech Therapeutics Limited, UK**
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018759	A1	20000406	WO 1999-GB3210	19990928

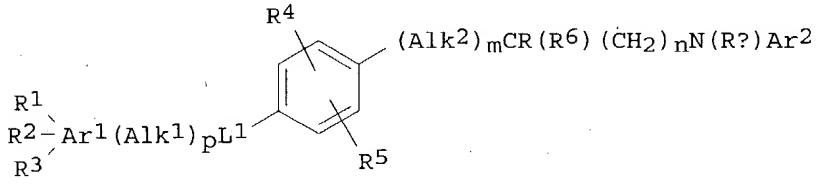
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD,

MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

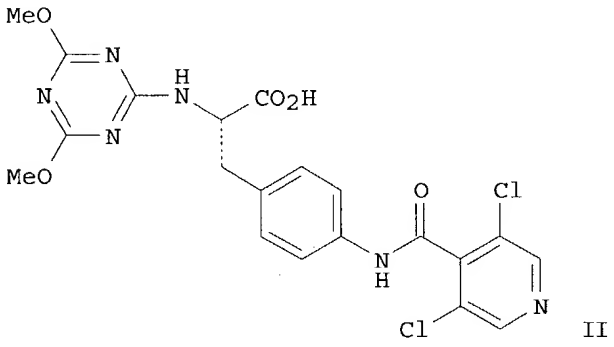
US 6348463	B1	20020219	US 1999-406560	19990927
CA 2338442	AA	20000406	CA 1999-2338442	19990928
AU 9961059	A1	20000417	AU 1999-61059	19990928
AU 773946	B2	20040610		
EP 1117657	A1	20010725	EP 1999-947680	19990928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525367	T2	20020813	JP 2000-572219	19990928
US 2002028812	A1	20020307	US 2001-927874	20010810
US 6677339	B2	20040113		

PRIORITY APPLN. INFO.:	GB 1998-21061	A 19980928
	US 1999-406560	A3 19990927
	WO 1999-GB3210	W 19990928

OTHER SOURCE(S): MARPAT 132:265501
GI



I



II

AB **Phenylalanine** derivs. I [Ar1 = aromatic or heteroarom. group; Alk1 = (un)substituted aliphatic or heteroaliph. chain; L1, L2, L3 = a covalent bond or a linker atom or group; Alk2 = alkylene; R is a carboxylic acid or derivative; Ar2 = (un)substituted aromatic or heteroarom. group; R1, R2, R3, R4,
R5 = -L2(Alk3)tL3(R7)u; Alk3 = aliphatic or heteroaliph. chain; R6, Ra = H, Me; R7 = H, halo, alkyl, OH, SH, NH2, (un)substituted alkoxy, thioalkyl, or aminoalkyl; m, n, p, t = 0, 1; u = 1-3] and their salts, solvates, hydrates, and N-oxides were prepared as selective inhibitors of α4 **integrins** useful for the prophylaxis and treatment of immune or inflammatory disorders. For example, a multi-step synthesis of the title compound II was given. Compds. I were tested for inhibition of

integrin-dependent cell adhesion and generally have IC50 values of ≤ 1μM in α4β1 and α4β7 assays, and IC50 values of ≥ 50 μM in assays of other **integrins.**

ED Entered STN: 07 Apr 2000
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:34871 HCAPLUS
DOCUMENT NUMBER: 132:93656
TITLE: Preparation of cinnamic acid derivatives having cell adhesion modulating activity
INVENTOR(S): **Warrellow, Graham John; Head, John Clifford; Porter, John Robert; Archibald, Sarah Catherine**
PATENT ASSIGNEE(S): **Celltech Therapeutics Limited, UK**
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000001690	A1	20000113	WO 1999-GB2130		19990702
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
US 6465471	B1	20021015	US 1999-346235		19990701
AU 9946349	A1	20000124	AU 1999-46349		19990702
EP 1095036	A1	20010502	EP 1999-929561		19990702
EP 1095036	B1	20030507			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002519420	T2	20020702	JP 2000-558093		19990702
AT 239721	E	20030515	AT 1999-929561		19990702
ES 2198138	T3	20040116	ES 1999-929561		19990702
PRIORITY APPLN. INFO.:			GB 1998-14414	A	19980703
			WO 1999-GB2130	W	19990702

OTHER SOURCE(S): MARPAT 132:93656
AB Compds. (R1R2R3-Het)(Alk1)rL1C6H2R4R5CR6a:CR6R [Het is a heteroarom. group; R1, R2, and R3 is each an atom or group -L2(Alk2)tL3(R7)u-, where L2 and L3 is each a covalent bond or a linker atom or group, t = 0 or 1, u = 1-3, Alk2 is an aliphatic or heteroaliph. chain, R7 = H, halo, alkyl, OH, alkoxy; Alk1 is an optionally substituted aliphatic or heteroaliph. chain; L1 is a covalent bond or a linker atom or group; R4, R5 = H, halo, alkyl, alkoxy, OH, NO2; R6 and R6a is each an atom or group -L2(Alk2)tL3R11-, where R11 = H, halo, OH, alkoxy, NO2, CN, CO2H, etc.; r = 0 or 1; R is a carboxylic acid or derivative] or their salts, solvates, hydrates, and N-oxides were prepared as inhibitors of the binding of α4 **integrins** to their ligands. Thus, N-acetyl-D-thioproline-4-[(3,5-dichloroisonicotinoyl)amino]-Z-**didehydrophenylalanine** was prepared by reaction of intermediates N-acetyl-D-thioproline-α-

phosphonoglycine tri-Me ester and 3,5-dichloro-N4-(4-formylphenyl)isonicotinamide followed by saponification Compds. of the invention generally have IC50 values of 1 μM and below in the α4β1 and α4β7 assays.

ED Entered STN: 14 Jan 2000
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:795785 HCAPLUS
DOCUMENT NUMBER: 132:36028
TITLE: Preparation of **phenylalanine** derivatives as **integrin** inhibitors
INVENTOR(S): **Porter, John Robert; Head, John Clifford; Warrellow, Graham John; Archibald, Sarah Catherine**
PATENT ASSIGNEE(S): **Celltech Therapeutics Limited, UK**
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9964390	A1	19991216	WO 1999-GB1758		19990604
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
AU 9942765	A1	19991230	AU 1999-42765		19990604
EP 1082294	A1	20010314	EP 1999-955469		19990604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP 2002517480	T2	20020618	JP 2000-553400		19990604
PRIORITY APPLN. INFO.:			GB 1998-12088	A	19980605
			WO 1999-GB1758	W	19990604

OTHER SOURCE(S): MARPAT 132:36028
AB **Phenylalanine** derivs. p-[R1(Alk1)r(L1)s]C6H4(Alk2)mCRR2X1R4 [R is a carboxylic acid or derivative; R1 = (un)substituted cycloaliph., polycycloaliph., heterocycloaliph., polyheterocycloaliph., aromatic, or heteroarom. group; Alk1 = (un)substituted aliphatic or heteroaliph. chain; L1 is a linker atom or group; r, s, m = 0 or 1; Alk2 = alkylene; R2 = H, Me; X1 = NR3CO, NR3SO2, NR3CO2, or NR3CONR3a (R3, R3a = H or alkyl); R4 = (un)substituted aliphatic cycloaliph., or polycycloaliph. group] were prepared for use as α4 **integrin** inhibitors. Thus, N-isobutyryl-N'-(3,5-dichloroisonicotinoyl)-L-4-**aminophenylalanine** was prepared via acylation/saponification of N'-(3,5-dichloroisonicotinoyl)-L-4-**aminophenylalanine** Me ester. The compds. of the invention generally have IC50 values in the α4β1 and α4β7 assays of 1 μM and below.
ED Entered STN: 17 Dec 1999

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:764060 HCAPLUS
DOCUMENT NUMBER: 132:12509
TITLE: Preparation of **phenylalanine** derivatives having VLA-4 antagonistic activity
INVENTOR(S): **Head, John Clifford; Archibald, Sarah Catherine; Warrellow, Graham John; Porter, John Robert**
PATENT ASSIGNEE(S): **Celltech Therapeutics Limited, UK**
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9961465	A1	19991202	WO 1999-GB1615	19990521
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6362204	B1	20020326	US 1999-317081	19990520
AU 9939475	A1	19991213	AU 1999-39475	19990521
EP 1080105	A1	20010307	EP 1999-922380	19990521
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002516336	T2	20020604	JP 2000-550869	19990521
PRIORITY APPLN. INFO.:			GB 1998-11159 A	19980522
			WO 1999-GB1615 W	19990521

OTHER SOURCE(S): MARPAT 132:12509

AB **Phenylalanine** derivs. R1(Alk1)r(L1)sC6H2R2R3(Alk2)mCRR4R5 [R1 = H or an optionally substituted cycloaliph., polycycloaliph., heterocycloaliph., polyheterocycloaliph., aromatic, or heteroarom. group; Alk1 is an optionally substituted aliphatic or heteroaliph. chain; L1 is a linker atom or group; r, s, m = 0 or 1; R2, R3 = H, halo, alkyl, haloalkyl, alkoxy, haloalkoxy, hydroxy, nitro; Alk2 is a straight or branched alkylene chain; R4 = H, Me; R5 = L2(CH2)tR6 in which L2 is NR7CO (R7 = H or alkyl) or NR7CS, t = 0 or 1, and R6 is an optionally substituted aliphatic, heteroaliph., cycloaliph., polycycloaliph., heterocycloaliph., polyheterocycloaliph., aromatic, or heteroarom. group; R is a carboxylic acid or derivative] were prepared as inhibitors of α4 **integrins.** Thus, N-acetyl-D-thioproline-3-[(2,6-dichloroisonicotinoyl)amino]-DL-**phenylalanine** was prepared from Et N-(diphenylmethylene)glycinate by 3-nitrobenzylation, coupling with N-acetyl-D-thioproline, reduction of the amino group, acylation with 2,6-dichloroisonicotinoyl chloride, and saponification Compds. of the invention generally have IC50 values in the α4β1 and α4β7 assays of 1μM and below.

ED Entered STN: 03 Dec 1999

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:613944 HCAPLUS
DOCUMENT NUMBER: 131:229016
TITLE: Preparation of cinnamic acid derivatives having cell adhesion modulating activity
INVENTOR(S): **Archibald, Sarah Catherine; Head, John Clifford; Warrellow, Graham John; Porter, John Robert**
PATENT ASSIGNEE(S): **Celltech Therapeutics Limited, UK**
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9947547	A1	19990923	WO 1999-GB776	19990316
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9928462	A1	19991011	AU 1999-28462	19990316
EP 1066316	A1	20010110	EP 1999-909093	19990316
EP 1066316	B1	20040512		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6329362	B1	20011211	US 1999-270408	19990316
JP 2002506879	T2	20020305	JP 2000-536739	19990316
AT 266674	E	20040515	AT 1999-909093	19990316
PRIORITY APPLN. INFO.:			GB 1998-5655 A	19980316
			WO 1999-GB776 W	19990316

OTHER SOURCE(S): MARPAT 131:229016
AB Compds. R1R2R3Ar1(Alk1)rL1(R4R5Ar2)CR6:CR7R [Ar1 and Ar2 are benzene rings; R1, R2, R3 = -L2(Alk2)tL3(R8)u, where L2 and L3 is each a covalent bond or linker atom or group, t = 0 or 1, u = 0-3, Alk2 is an aliphatic or heteroaliph. chain, R8 = H, halo, alkyl, OH, alkoxy, NO2, CN, ureido, etc.; Alk1 = (un)substituted aliphatic or heteroaliph. chain; r = 0 or 1; L1 is a covalent bond or linker atom or group; R4, R5 = H, alkyl, alkoxy, OH, NO2; R6, R7 = -L2(Alk2)tL3R12 in which L2, L3, Alk2 and t are as previously defined and R12 = H, halo, OH, alkoxy, NO2, CN, ureido, etc.; R = CO2H or a derivative] or their salts, solvates, hydrates and N-oxides were prepared for use in modulating cell adhesion. Thus, N-acetyl-D-thioproline-4-[(2,6-dichlorobenzoyl)amino]-Z-**didehydrophenylalanine**, prepared via reaction of 2,6-dichloro-N'-(4-formylphenyl)benzamide with N-acetyl-D-thioproline-α-phosphonoglycine tri-Me ester, showed potency and selectivity against α4 **integrins.**
ED Entered STN: 26 Sep 1999
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:566014 HCAPLUS
DOCUMENT NUMBER: 131:185243
TITLE: **Phenylalanine** derivatives as inhibitors of α4 **integrins**
INVENTOR(S): **Archibald, Sarah Catherine; Head, John Clifford; Warrellow, Graham John; Porter, John Robert**
PATENT ASSIGNEE(S): **Celltech Therapeutics Limited, UK**
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943642	A1	19990902	WO 1999-GB589	19990226
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9932603	A1	19990915	AU 1999-32603	19990226
EP 1056714	A1	20001206	EP 1999-936071	19990226
EP 1056714	B1	20040811		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002504534	T2	20020212	JP 2000-533401	19990226
US 6555562	B1	20030429	US 1999-258522	19990226
AT 273273	E	20040815	AT 1999-936071	19990226
US 2003166691	A1	20030904	US 2003-379092	20030303

PRIORITY APPLN. INFO.:	GB 1998-4161	A	19980226
	GB 1998-26668	A	19981203
	US 1999-258522	A1	19990226
	WO 1999-GB589	W	19990226

OTHER SOURCE(S): MARPAT 131:185243
AB **Phenylalanine** derivs. p-[R1(Alk1)r(L1)s]C6H2RaRb(Alk2)mCRR2NR3CO Ar [R is a carboxylic acid derivative; R1 = H, OH, alkoxy, (un)substituted cycloaliph., heterocycloaliph., polyheterocycloaliph., aromatic, or heteroarom. group; Alk1 = (un)substituted aliphatic or heteroaliph. chain; L1 is a linker group; r, s = 0 or 1; Ra, Rb = -L2(CH2)pL3(Rc)q, where L2 or L3 is a bond or linker atom or group; p = 0 or 1; q = 1-3; Rc = H, halo, alkyl, OH, alkoxy, etc.; Alk2 = alkylene; m = 0 or 1; R2 = H, Me; R3 = H, alkyl; Ar is an optionally substituted aromatic group] were prepared for use as α4 **integrin** inhibitors. Thus, N-(2,6-dimethoxybenzoyl)-O-[(3,5-dichloro-4-pyridinyl)methyl]-L-tyrosine was prepared via alkylation/acylation of tert-butoxycarbonyl-L-tyrosine Me ester.
ED Entered STN: 08 Sep 1999
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:487274 HCAPLUS
DOCUMENT NUMBER: 131:116520

TITLE: Preparation of **phenylalanine** derivatives as pharmaceutical agents
INVENTOR(S): **Head, John Clifford; Archibald, Sarah Catherine; Warrellow, Graham John; Porter, John Robert**
PATENT ASSIGNEE(S): **Celltech Therapeutics Limited, UK**
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937618	A1	19990729	WO 1999-GB279	19990127
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6329372	B1	20011211	US 1999-237060	19990126
AU 9924320	A1	19990809	AU 1999-24320	19990127
EP 1051399	A1	20001115	EP 1999-903798	19990127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002501051	T2	20020115	JP 2000-528542	19990127
US 2002035127	A1	20020321	US 2001-964161	20010926
PRIORITY APPLN. INFO.:			GB 1998-1674 A	19980127
			GB 1998-26669 A	19981203
			US 1999-237060 A1	19990126
			WO 1999-GB279 W	19990127

OTHER SOURCE(S): MARPAT 131:116520
AB **Phenylalanine** derivs. 4-[R1(Alk1)rL1s]C6H2RaRb(Alk2)mCHRR2NR3COH et [R is a carboxylic acid or derivative; R1 = H, OH, alkoxy or optionally substituted cycloaliph., polycycloaliph., heterocycloaliph., polyheterocycloaliph., arom, or heteroarom. group; Alk1 = optionally substituted aliphatic or heteroaliph. chain; L1 is a linker atom or group; r, s = 0, 1; Ra, Rb = -L2(CH2)pL3Rcq, where L2, L3 = a covalent bond or linker atom or group; p = 0, 1; q = 1-3; Rc = H, halo, alkyl, OH, alkoxy, etc.; Alk2 = alkylene; m = 0, 1; R2 = H, Me; R3 = H, alkyl; Het is an optionally substituted heteroarom. group] and their salts, solvates, hydrates and N-oxides were prepared as pharmaceutical agents. Thus, N-(2-chloronicotinoyl)-N'-(3,5-dichloro-4-picolyl)-L-4-**aminophenylalanine** was prepared by coupling reaction of N-(3,5-dichloro-4-picolyl)-L-4-**aminophenylalanine** Me ester with 2-chloronicotinoyl chloride followed by ester hydrolysis. Title compds. were tested for inhibition of **integrin**-dependent cell adhesion and generally have IC50 values in the $\alpha 4\beta 1$ and $\alpha 4\beta 7$ assays of 1μM and below.
ED Entered STN: 06 Aug 1999
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:454256 HCAPLUS

DOCUMENT NUMBER: 131:88205
TITLE: Preparation of **phenylalanine** derivatives as antiinflammatory agents
INVENTOR(S): **Head, John Clifford; Archibald, Sarah Catherine; Warrellow, Graham John; Porter, John Robert**
PATENT ASSIGNEE(S): **Celltech Therapeutics Limited, UK**
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9935163	A1	19990715	WO 1999-GB62		19990108
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
US 6197794	B1	20010306	US 1999-226833		19990107
AU 9919776	A1	19990726	AU 1999-19776		19990108
EP 1044215	A1	20001018	EP 1999-900560		19990108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP 2002500232	T2	20020108	JP 2000-527558		19990108
PRIORITY APPLN. INFO.:			GB 1998-396	A	19980108
			GB 1998-26499	A	19981202
			WO 1999-GB62	W	19990108

OTHER SOURCE(S): MARPAT 131:88205

AB **Phenylalanine** derivs. p-[R1(Alk1)r(L1)s]C6H2R2R3(Alk3)mCRR4NR5C(O)CHANA(L2)t(Alk2)uR6 [R1, R6 = H or (un)substituted cycloaliph., polycycloaliph., heterocycloaliph., polyheterocycloaliph., aromatic, or heteroarom. group; Alk1, Alk2 = (un)substituted aliphatic or heteroaliph. chain; L1 = a linker atom or group; r, s, m, t, u = 0-1; Alk3 = alkylene; R4 = H, Me; R5 = H, alkyl; A2 is a chain -(CR7R8)pY(CR9R10)q- in which Y is a sulfur atom, SO, or SO2, R7, R8, R9 and R10 = H, alkyl, or (un)substituted aromatic group or CR7R8 and CR9R10 form a cycloalkyl group, and p and q = 0-2 (not both zero); L2 = CO, CO2, C(S), SO2, CON(R11) (R11 = H, alkyl), CSN(R11), SON(R11), or SO2N(R11); R is a carboxylic acid or a derivative; R2, R3 = L3(CH2)pL4(R2a)q, where L3, L4 is a covalent bond or linker atom or group; p = 0, 1; q = 1-3; R2a = H, halo, alkyl, OH, etc.] or their salts, solvates and hydrates were prepared The compds. inhibit the binding of α4 **integrins** to their ligands and are of use in the prophylaxis and treatment of immune or inflammatory disorders. Thus, N-(pyrid-3-ylacetyl)-D-thioproline-N'-(2,6-dichlorobenzoyl)-L-4-**aminophenylalanine** was prepared from 4-**aminophenylalanine** Me ester dihydrochloride, N-Boc-D-thioproline, 2,6-dichlorobenzoyl chloride, and 3-pyridylacetic acid hydrochloride. The products in the examples showed potency and selectivity against α4 **integrins** (IC50 values ≥ 50 μM).

ED Entered STN: 26 Jul 1999
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 14 OF 20 BIOSIS COPYRIGHT (c) 2004 The Thomson Corporation. on STN
ACCESSION NUMBER: 2004:110657 BIOSIS
DOCUMENT NUMBER: PREV200400113521
TITLE: **Phenylalanine** derivatives.
AUTHOR(S): **Head, John Clifford** [Inventor, Reprint Author]; **Porter, John Robert** [Inventor]; **Warrellow, Graham John** [Inventor]; **Archibald, Sarah Catherine** [Inventor]; Hutchinson, Brian Woodside [Inventor]
CORPORATE SOURCE: Maidenhead, UK
ASSIGNEE: **Celltech R & D Limited, Slough, UK**
PATENT INFORMATION: US 6677339 January 13, 2004
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Jan 13 2004) Vol. 1278, No. 2. http://www.uspto.gov/web/menu/patdata.html. e-file. ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 25 Feb 2004
Last Updated on STN: 25 Feb 2004
AB **Phenylalanine** derivatives of formula (1) are described: ##STR1## in which: Ar1 is an aromatic or heteroaromatic group; L1 is a linker atom or group; R is a carboxylic acid or a derivative thereof; Ar2 is an optionally substituted aromatic or heteroaromatic group; and the salts, solvates, hydrates and N-oxides thereof. The compounds are able to inhibit the binding of alpha4 **integrins** to their ligands and are of use in the prophylaxis and treatment of immune or inflammatory disorders.
ED Entered STN: 25 Feb 2004
Last Updated on STN: 25 Feb 2004

L35 ANSWER 15 OF 20 BIOSIS COPYRIGHT (c) 2004 The Thomson Corporation. on STN
ACCESSION NUMBER: 2003:248719 BIOSIS
DOCUMENT NUMBER: PREV200300248719
TITLE: **Phenylalanine** derivatives.
AUTHOR(S): **Archibald, Sarah Catherine** [Inventor, Reprint Author]; **Head, John Clifford** [Inventor]; **Warrellow, Graham John** [Inventor]; **Porter, John Robert** [Inventor]
CORPORATE SOURCE: Maidenhead, UK
ASSIGNEE: **Celltech R and D Limited, Slough, UK**
PATENT INFORMATION: US 6555562 April 29, 2003
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Apr 29 2003) Vol. 1269, No. 5. http://www.uspto.gov/web/menu/patdata.html. e-file. ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 21 May 2003
Last Updated on STN: 21 May 2003
AB **Phenylalanine** derivatives of formula (1) are described: ##STR1## wherein R is a carboxylic acid or a derivative thereof; L1 is a linker atom or group; Ar is an optionally substituted aromatic group; and the salts, solvates, hydrates and N-oxides thereof. The compounds are able to inhibit the binding of alpha4 **integrins** to their ligands and are of use in the prophylaxis and treatment of immune or inflammatory disorders.

ED Entered STN: 21 May 2003
Last Updated on STN: 21 May 2003

L35 ANSWER 16 OF 20 BIOSIS COPYRIGHT (c) 2004 The Thomson Corporation. on STN
ACCESSION NUMBER: 2002:279805 BIOSIS
DOCUMENT NUMBER: PREV200200279805
TITLE: **Phenylalanine** derivatives.
AUTHOR(S): **Head, John Clifford** [Inventor, Reprint author]; **Archibald, Sarah Catherine** [Inventor]; **Warrellow, Graham John** [Inventor]; **Porter, John Robert** [Inventor]
CORPORATE SOURCE: Maidenhead, UK
ASSIGNEE: **Celltech Therapeutics, Ltd, Slough, UK**
PATENT INFORMATION: US 6362204 March 26, 2002
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Mar. 26, 2002) Vol. 1256, No. 4. http://www.uspto.gov/web/menu/patdata.html. e-file. CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 8 May 2002
Last Updated on STN: 8 May 2002
AB **Phenylalanine** derivatives of formula (1) are described: ##STR1## wherein R is a carboxylic acid or a derivative thereof; L1 is a linker atom or group; and R5 is a group --L2 (CH2)t R6 in which L2 is a --N(R7)CO-- or --N(R7)CS-- group. The compounds are able to inhibit the binding alpha4 **integrins** to their ligands and are of use in the prophylaxis and treatment of immune or inflammatory disorders.
ED Entered STN: 8 May 2002
Last Updated on STN: 8 May 2002

L35 ANSWER 17 OF 20 BIOSIS COPYRIGHT (c) 2004 The Thomson Corporation. on STN
ACCESSION NUMBER: 2002:197993 BIOSIS
DOCUMENT NUMBER: PREV200200197993
TITLE: **Phenylalanine** derivatives.
AUTHOR(S): **Head, John Clifford** [Inventor, Reprint author]; **Porter, John Robert** [Inventor]; **Warrellow, Graham John** [Inventor]; **Archibald, Sarah Catherine** [Inventor]; Hutchinson, Brian Woodside [Inventor]
CORPORATE SOURCE: Maidenhead, UK
ASSIGNEE: **Celltech Therapeutics Limited, UK**
PATENT INFORMATION: US 6348463 February 19, 2002
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Feb. 19, 2002) Vol. 1255, No. 3. http://www.uspto.gov/web/menu/patdata.html. e-file. CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 13 Mar 2002
Last Updated on STN: 10 May 2002
AB **Phenylalanine** derivatives of formula (1) are described: ##STR1## in which: Ar1 is an aromatic or heteroaromatic group; L1 is a linker atom or group; R is a carboxylic acid or a derivative thereof; Ar2 is an optionally substituted aromatic or heteroaromatic group; and the salts, solvates, hydrates and N-oxides thereof. The compounds are able to inhibit the binding of alpha4 **integrins** to their ligands and are of use in the prophylaxis and treatment of immune or inflammatory

disorders.
ED Entered STN: 13 Mar 2002
Last Updated on STN: 10 May 2002

L35 ANSWER 18 OF 20 BIOSIS COPYRIGHT (c) 2004 The Thomson Corporation. on STN
ACCESSION NUMBER: 2002:113904 BIOSIS
DOCUMENT NUMBER: PREV200200113904
TITLE: **Phenylalanine** derivatives.
AUTHOR(S): **Head, John Clifford** [Inventor, Reprint author]; **Archibald, Sarah Catherine** [Inventor]; **Warrellow, Graham John** [Inventor]; **Porter, John Robert** [Inventor]
CORPORATE SOURCE: Maidenhead, UK
ASSIGNEE: **Celltech Therapeutics Limited, UK**
PATENT INFORMATION: US 6329372 December 11, 2001
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Dec. 11, 2001) Vol. 1253, No. 2. http://www.uspto.gov/web/menu/patdata.html. e-file. CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 30 Jan 2002
Last Updated on STN: 26 Feb 2002
AB **Phenylalanine** derivatives of formula (1) are described: ##STR1## wherein R is a carboxylic acid or a derivative thereof; L1 is a linker atom or group; Het is an optionally substituted heteroaromatic group; and the salts, solvates, hydrates and N-oxides thereof. The compounds are able to inhibit the binding of alpha4 **integrins** to their ligands and are of use in the prophylaxis and treatment of immune or inflammatory disorders.
ED Entered STN: 30 Jan 2002
Last Updated on STN: 26 Feb 2002

L35 ANSWER 19 OF 20 BIOSIS COPYRIGHT (c) 2004 The Thomson Corporation. on STN
ACCESSION NUMBER: 2001:391783 BIOSIS
DOCUMENT NUMBER: PREV200100391783
TITLE: **Phenylalanine** derivatives.
AUTHOR(S): **Head, John Clifford** [Inventor, Reprint author]; **Archibald, Sarah Catherine** [Inventor]; **Warrellow, Graham John** [Inventor]; **Porter, John Robert** [Inventor]
CORPORATE SOURCE: Maidenhead, UK
ASSIGNEE: **Celltech Therapeutics Limited, UK**
PATENT INFORMATION: US 6197794 March 06, 2001
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Mar. 6, 2001) Vol. 1244, No. 1. e-file. CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 15 Aug 2001
Last Updated on STN: 22 Feb 2002
AB **Phenylalanine** derivatives of formula (1) are described: ##STR1## in which L1 is a linker atom or group; A is a chain --[C(R7)(R8)]p Y[C(R9)(R10)]q -- in which Y is a sulphur atom or a --S(O)-- or --S(O)2 -- group, R7, R8, R9 and R10, which may be the same or different, is each a hydrogen atom or a straight or branched alkyl or optionally substituted aromatic group, or R7 and R8 together with the carbon atom to which they are attached, or R9 and R10 together with the carbon atom to which they

are attached, each forms a C3-7 cycloalkyl group, and p and q, which may be the same or different, is each zero or an integer 1 or 2, provided that when one of p or q is zero the other is an integer 1 or 2; L2 is a linker group selected from --C(O)--, --C(O)O--, --C(S)--, --S(O)2 --, --CON(R11)--, [where R11 is a hydrogen atom or a straight or branched alkyl group], --CSN(R11)--, --SON(R11)-- or SO2 N(R11)--; R is a carboxylic acid or a derivative thereof; and the salts, solvates and hydrates thereof. The compounds are able to inhibit the binding of alpha4 **integrins** to their ligands and are of use in the prophylaxis and treatment of immune or inflammatory disorders.

ED Entered STN: 15 Aug 2001
Last Updated on STN: 22 Feb 2002

L35 ANSWER 20 OF 20 PASCAL COPYRIGHT 2004 INIST-CNRS. ALL RIGHTS RESERVED. on STN

ACCESSION NUMBER: 2002-0288039 PASCAL
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TITLE (IN ENGLISH): Squaric acid derivatives as VLA-4 **integrin** antagonists
AUTHOR: **PORTER John R.; ARCHIBALD Sarah C.** ; CHILDS Kirstie; CRITCHLEY David; **HEAD John C.**; LINSLEY Janeen M.; PARTON Ted A. H.; ROBINSON Martyn K.; SHOCK Anthony; TAYLOR Richard J.; **WARRELLOW Graham J.**; ALEXANDER Rikki P.; LANGHAM Barry
CORPORATE SOURCE: **Celltech R&D Ltd. 216 Bath Road, Slough SL1 4EN, United Kingdom**
SOURCE: Bioorganic & medicinal chemistry letters, (2002), 12(7), 1051-1054
ISSN: 0960-894X
DOCUMENT TYPE: Journal
BIBLIOGRAPHIC LEVEL: Analytic
COUNTRY: United Kingdom
LANGUAGE: English
NOTE: 1/4 p. ref. et notes
AVAILABILITY: INIST-22446, 354000102834070150

AN 2002-0288039 PASCAL
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AB SAR studies aimed at improving the rate of clearance by the incorporation of a 3,4-diamino-3-cyclobutene-1,2-dione group as an amino acid isostere in a series of VLA-4 **integrin** antagonists are described.
UP 20020626

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